

chain nodes :

19 20 21 22 23 25 27 28 30 31 32 33 34 35 36 37 39 40 41

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18

chain bonds :

5-19 6-22 11-22 15-21 19-20 19-21 22-23

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15  
15-16 16-17 17-18

exact/norm bonds :

5-19 19-21 22-23

exact bonds :

6-22 11-22 15-21 19-20

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 7-8 7-12 8-9 9-10 10-11 11-12 13-14 13-18 14-15  
15-16 16-17 17-18

G1:X,Cb,Ak

G2:X,Cb,Ak,H

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom  
12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS 20:CLASS  
21:CLASS 22:CLASS 23:CLASS 25:CLASS 27:CLASS 28:CLASS 30:CLASS 31:CLASS 32:CLASS  
33:CLASS 34:CLASS 35:CLASS 36:CLASS 37:CLASS 39:CLASS 40:CLASS 41:CLASS 42:CLASS  
43:CLASS 44:CLASS 45:CLASS 46:CLASS 47:CLASS 48:CLASS 49:CLASS 50:CLASS 51:CLASS  
52:CLASS 53:CLASS 54:CLASS 55:CLASS

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PASSWORD:

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NEWS	11	MAR 22	REGISTRY/ZREGISTRY enhanced with experimental property tags
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NEWS	15	APR 25	Patent searching, including current-awareness alerts (SDIs), based on application date in CA/CAPLUS and USPATFULL/USPAT2 may be affected by a change in filing date for U.S. applications.
NEWS	16	APR 28	Improved searching of U.S. Patent Classifications for U.S. patent records in CA/CAPLUS
NEWS	17	MAY 23	GBFULL enhanced with patent drawing images
NEWS	18	MAY 23	REGISTRY has been enhanced with source information from CHEMCATS
NEWS	19	JUN 06	STN Patent Forums to be held in June 2005
NEWS	20	JUN 06	The Analysis Edition of STN Express with Discover! (Version 8.0 for Windows) now available
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NEWS EXPRESS			JUNE 13 CURRENT WINDOWS VERSION IS V8.0, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 13 JUNE 2005
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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.06	0.27

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=> file reg		
COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	0.21	0.48

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STRUCTURE FILE UPDATES: 26 JUN 2005 HIGHEST RN 852987-17-8  
DICTIONARY FILE UPDATES: 26 JUN 2005 HIGHEST RN 852987-17-8

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\*\*\*\*\*  
\*  
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\* available and contains the CA role and document type information. \*  
\*  
\*\*\*\*\*

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Experimental and calculated property data are now available. For more  
information enter HELP PROP at an arrow prompt in the file or refer  
to the file summary sheet on the web at:  
<http://www.cas.org/ONLINE/DBSS/registryss.html>

=>  
Uploading C:\Program Files\Stnexp\Queries\10716183.str

L1 STRUCTURE UPLOADED

=> d l1  
L1 HAS NO ANSWERS  
L1 STR

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

Structure attributes must be viewed using STN Express query preparation.

=> s l1  
SAMPLE SEARCH INITIATED 19:05:29 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 52 TO ITERATE

100.0% PROCESSED 52 ITERATIONS 1 ANSWERS  
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 608 TO 1472  
PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> search l1  
ENTER TYPE OF SEARCH (SSS), CSS, FAMILY, OR EXACT:.  
ENTER SCOPE OF SEARCH (SAMPLE), FULL, RANGE, OR SUBSET:full  
FULL SEARCH INITIATED 19:05:40 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1047 TO ITERATE

100.0% PROCESSED 1047 ITERATIONS 67 ANSWERS  
SEARCH TIME: 00.00.01

L3 67 SEA SSS FUL L1

=> file caplus  
COST IN U.S. DOLLARS SINCE FILE TOTAL  
ENTRY SESSION  
FULL ESTIMATED COST 169.93 170.41

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FILE COVERS 1907 - 27 Jun 2005 VOL 143 ISS 1  
FILE LAST UPDATED: 26 Jun 2005 (20050626/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

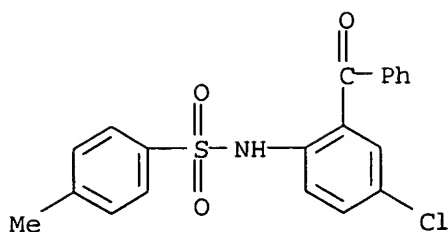
L4 64 L3

=> d l3 fbib ab hitstr 1-64

YOU HAVE REQUESTED DATA FROM FILE 'REGISTRY' - CONTINUE? (Y)/N:n

=> d l4 fbib ab hitstr 1-64

L4 ANSWER 1 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:600752 CAPLUS  
DN 141:277444  
TI New synthesis of 3-substituted indoles using lithium trimethylsilyldiazomethane  
AU Miyagi, Takashi; Hari, Yoshiyuki; Aoyama, Toyohiko  
CS Graduate School of Pharmaceutical Sciences, Nagoya City University, Mizuho-ku, Nagoya, 467-8603, Japan  
SO Tetrahedron Letters (2004), 45(33), 6303-6305  
CODEN: TELEAY; ISSN: 0040-4039  
PB Elsevier  
DT Journal  
LA English  
OS CASREACT 141:277444  
AB Lithium trimethylsilyldiazomethane smoothly reacted with N-tosyl-o-acylanilines to give 3-substituted indoles in good to high yields.  
IT 4873-59-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(synthesis of 3-substituted indoles through intramol. N-H insertion of lithium trimethylsilyldiazomethane on N-tosyl-o-acylanilines)  
RN 4873-59-0 CAPLUS  
CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



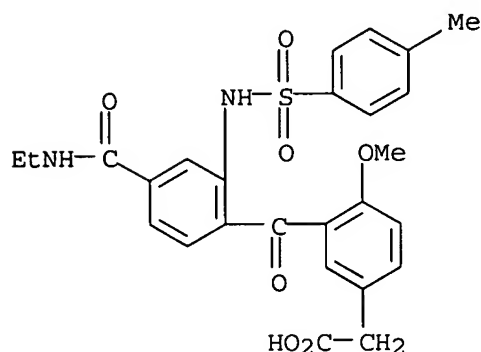
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 2004:565050 CAPLUS  
DN 141:123471  
TI Preparation of arylsulfonamide substituted carboxylic acids as asthma and  
allergic inflammation modulators  
IN Fu, Zice; Huang, Xi Alan; Liu, Jiwen; Medina, Julio C.; Schmitt, Michael  
J.; Tang, Lucy H.; Wang, Yingcai; Xu, Qingge  
PA Tularik, Inc., USA  
SO PCT Int. Appl., 132 pp.  
CODEN: PIXXD2  
DT Patent  
LA English  
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004058164	A2	20040715	WO 2003-US40617	20031219
	WO 2004058164	A3	20040826		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
				US 2002-435366P	P 20021220
	US 2004220237	A1	20041104	US 2003-742281	20031219
				US 2002-435366P	P 20021220

OS MARPAT 141:123471  
AB Title compds. I [Y = SOO-2; X = O, SOO-2; R2 = (un)substituted phenyl; R3, R5 = H, halo, alkyl, fluoroalkyl, etc.; R4 = H, carboxamido, etc.; R6 = H, halo, alkyl, fluoroalkyl, etc.; R10 = H, alkyl, fluoroalkyl, etc.; L = alkylene, heteroalkylene, etc.; Z = carboxy, carboxamido, etc.; R14 = halo, alkyl, fluoroalkyl, etc.] are prepared For instance, [4-(2-nitro-4-trifluoromethylphenoxy)phenyl]acetic acid Me ester (preparation given) is reduced to the corresponding aniline (MeOH, H2-Pd/C), sulfonylated with TsCl and saponified (MeOH/H2O, LiOH) to give II. II has IC50 < 15 µM for the CRTH2 receptor. I modulate the function and/or expression of proteins involved in atopic diseases, inflammatory conditions and cancer.  
IT 721947-94-0P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of arylsulfonamide substituted carboxylic acids as asthma and

allergic inflammation modulators)  
 RN 721947-94-0 CAPLUS  
 CN Benzeneacetic acid, 3-[4-[(ethylamino)carbonyl]-2-[[4-methylphenyl)sulfonyl]amino]benzoyl]-4-methoxy- (9CI) (CA INDEX NAME)



L4 ANSWER 3 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:950984 CAPLUS  
 DN 140:5067  
 TI Preparation of N-heteroaryl- and N-arylbenzenesulfonamide and  
 -heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory  
 agents  
 IN Fleming, Paul; Harriman, Geraldine C. B.; Shi, Zhan; Chen, Shaowu  
 PA Millennium Pharmaceuticals, Inc., USA  
 SO PCT Int. Appl., 110 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

*PCT case  
showing species.*

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003099773	A1	20031204	WO 2003-US16090	20030521
W:				
AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:				
GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2485681	AA	20031204	US 2002-383573P	P 20020524
			CA 2003-2485681	20030521
			US 2002-383573P	P 20020524
			WO 2003-US16090	W 20030521
US 2004038976	A1	20040226	US 2003-443155	20030521
			US 2002-383573P	P 20020524
EP 1507756	A1	20050223	EP 2003-755422	20030521
R:				
AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
			US 2002-383573P	P 20020524
			WO 2003-US16090	W 20030521

OS MARPAT 140:5067  
 AB The title compds. [I; Y is C(O), O, S, S(O), or S(O)2; X1, X2, and X3 are

each, independently, N or CR, provided that at least one of X1, X2, or X3 is CR; R for each occurrence and R1 are each, independently, H or a substituent; R6 is H, an aliphatic carbonyl group, or an aliphatic ester; ring

A

is substituted or unsubstituted; and Ar1 and Ar2 are each, independently, an (un)substituted aryl or heteroaryl] or pharmaceutically acceptable salts, solvates or hydrates thereof are prepared These compds. I can bind to CCR9 receptors and block the binding of a ligand (e.g., TECK) to the receptors. The invention also relates to a method of inhibiting a function of CCR9, in particular treating or preventing an inflammatory disease or condition and to the use the compds. I in research, therapeutic, prophylactic, and diagnostic methods. CCR9 and its associated chemokine TECK, have been implicated in chronic inflammatory diseases, such as inflammatory bowel diseases. Small mol. inhibitors of the interaction between CCR9 and its ligands (e.g., TECK), such as the compds. I, are useful for inhibiting harmful inflammatory processes triggered by receptor-ligand interactions and thus are useful for treating diseases mediated by CCR9, such as chronic inflammatory diseases. For example, 14 compds. including N-(2-benzoyl-4-bromophenyl)-4-methoxybenzenesulfonamide, 5-(oxazol-5-yl)thiophene-2-sulfonic acid (2-benzoyl-4-chlorophenyl)amine inhibited the binding of human TECK to human CCR9 receptors with IC50 value less than or equal to .apprx.1.0 µM.

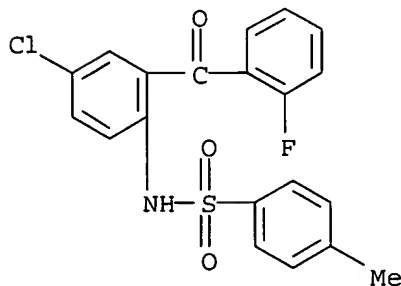
IT 747-99-9P 859-04-1P 94579-32-5P  
169263-18-7P 169263-19-8P 169263-20-1P  
314054-05-2P 392305-39-4P 628300-39-0P  
628300-40-3P 628300-41-4P 628300-43-6P  
628300-44-7P 628300-46-9P 628300-48-1P  
628300-49-2P 628300-98-1P 628301-02-0P  
628301-08-6P 628301-16-6P 628301-20-2P  
628301-22-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-heteroaryl- and N-arylbenzenesulfonamide and -heterocyclesulfonamides as chemokine CCR9 inhibitors as antiinflammatory agents)

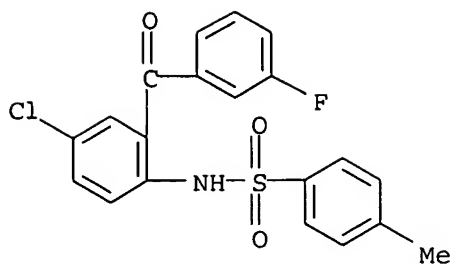
RN 747-99-9 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)  
(CA INDEX NAME)

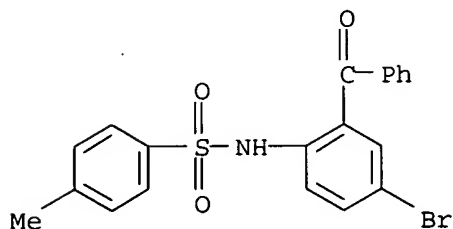


RN 859-04-1 CAPLUS

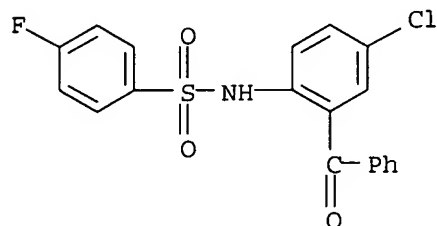
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(CA INDEX NAME)



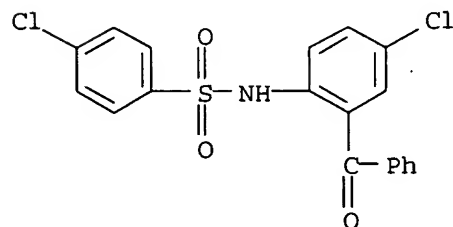
RN 94579-32-5 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-methyl- (9CI) (CA INDEX NAME)



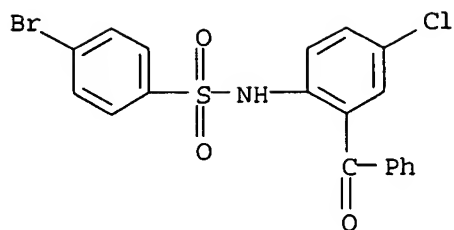
RN 169263-18-7 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-fluoro- (9CI) (CA INDEX NAME)



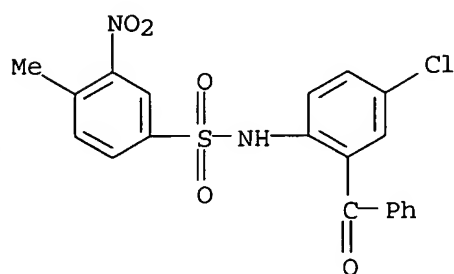
RN 169263-19-8 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-chloro- (9CI) (CA INDEX NAME)



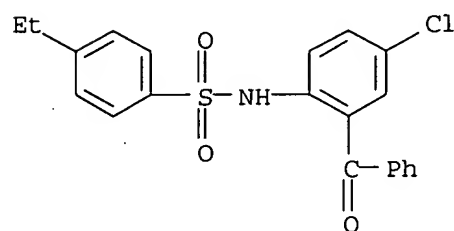
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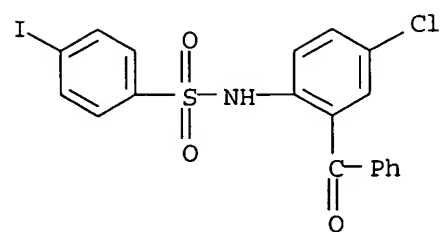
RN 314054-05-2 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-3-nitro- (9CI)  
 (CA INDEX NAME)



RN 392305-39-4 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-ethyl- (9CI) (CA INDEX  
 NAME)

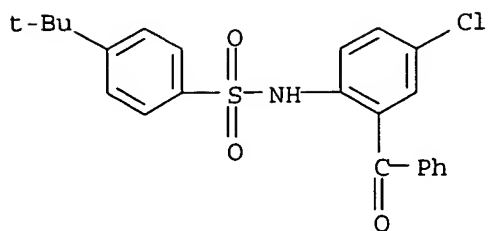


RN 628300-39-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-iodo- (9CI) (CA INDEX  
 NAME)



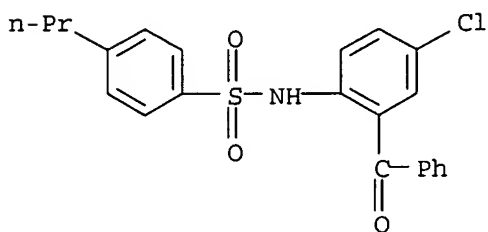
RN 628300-40-3 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-(1,1-dimethylethyl)-

(9CI) (CA INDEX NAME)



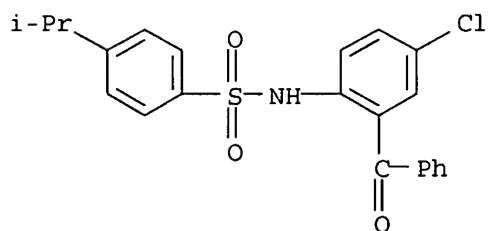
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CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-propyl- (9CI) (CA INDEX NAME)



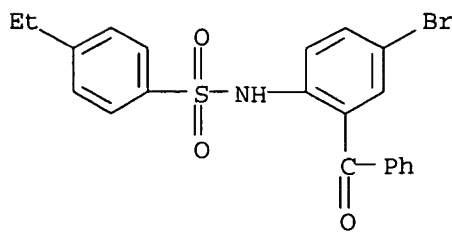
RN 628300-43-6 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 628300-44-7 CAPLUS

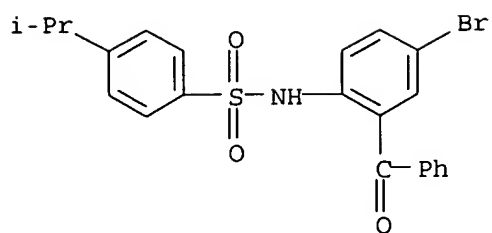
CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-ethyl- (9CI) (CA INDEX NAME)



RN 628300-46-9 CAPLUS

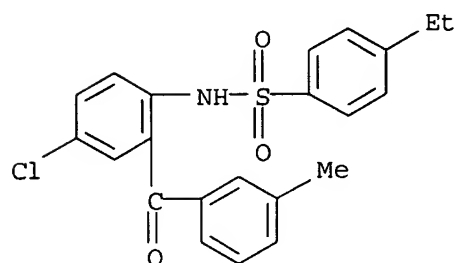
CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-(1-methylethyl)- (9CI)

(CA INDEX NAME)



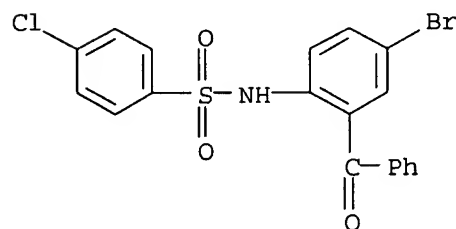
RN 628300-48-1 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(3-methylbenzoyl)phenyl]-4-ethyl- (9CI)  
(CA INDEX NAME)



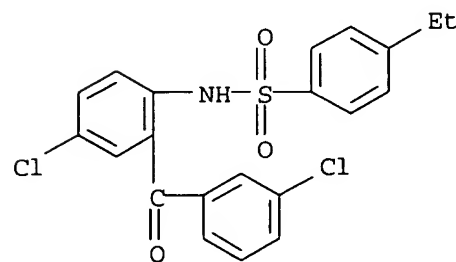
RN 628300-49-2 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-chloro- (9CI) (CA INDEX  
NAME)



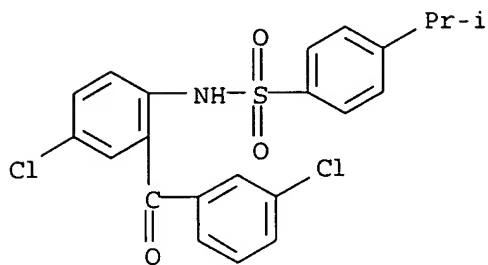
RN 628300-98-1 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(3-chlorobenzoyl)phenyl]-4-ethyl- (9CI)  
(CA INDEX NAME)

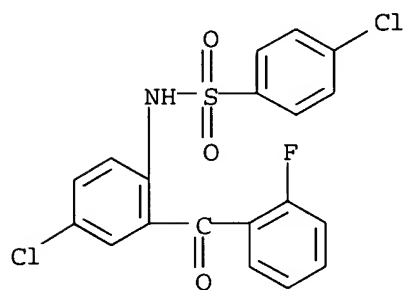




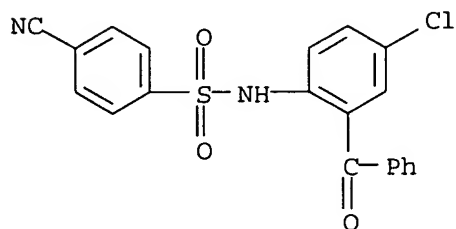
RN 628301-02-0 CAPLUS  
 CN Benzenesulfonamide, N-[4-chloro-2-(3-chlorobenzoyl)phenyl]-4-(1-methylethyl)- (9CI) (CA INDEX NAME)



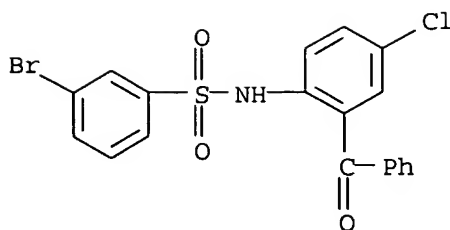
RN 628301-08-6 CAPLUS  
 CN Benzenesulfonamide, 4-chloro-N-[4-chloro-2-(2-fluorobenzoyl)phenyl]- (9CI) (CA INDEX NAME)



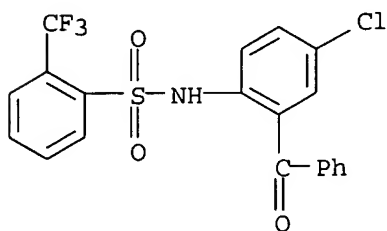
RN 628301-16-6 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-cyano- (9CI) (CA INDEX NAME)



RN 628301-20-2 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-3-bromo- (9CI) (CA INDEX NAME)



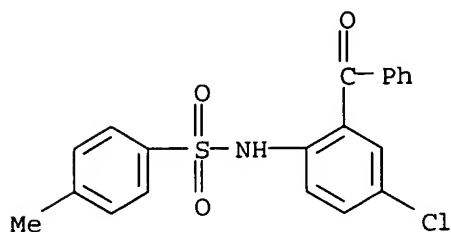
RN 628301-22-4 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-2-(trifluoromethyl)-  
 (9CI) (CA INDEX NAME)



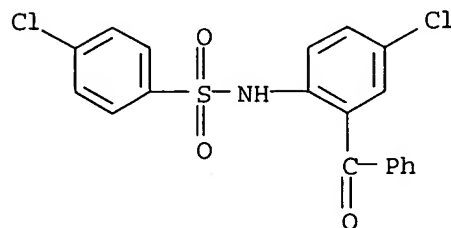
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2003:533368 CAPLUS  
 DN 139:230297  
 TI <sup>1</sup>H, <sup>13</sup>C and <sup>15</sup>N NMR spectral and X-ray structural studies of  
 2-arylsulfonylamino-5-chlorobenzophenones  
 AU Kolehmainen, E.; Nissinen, M.; Janota, H.; Gawinecki, R.; Osmialowski, B.  
 CS Department of Chemistry, University of Jyväskylä, Jyväskylä,  
 FIN-40014, Finland  
 SO Polish Journal of Chemistry (2003), 77(7), 889-894  
 CODEN: PJCHDQ; ISSN: 0137-5083  
 PB Polish Chemical Society  
 DT Journal  
 LA English  
 AB Six 2-(4-R-phenylsulfonylamino)-5-chlorobenzophenones were prepared and  
 their <sup>1</sup>H, <sup>13</sup>C and <sup>15</sup>N NMR spectra recorded and assigned. The dependence  
 between the chemical shift of the amide proton and Hammett  $\sigma$   
 substituent consts. is of the V type. Substituent effect on the chemical  
 shift of the amide nitrogen atom was found insignificant. X-ray anal.  
 shows that the terminal benzene rings in 2-(4-nitrophenylsulfonylamino)-5-  
 chlorobenzophenone are located close to each other. They are not,  
 however, parallel, dihedral angle between them being equal to 10.86 deg  
 (MP2/6-31G\*\*//HF/6-31G\*\* ab initio calcns. show this to be 20.44 deg).  
 This shows that the mutual orientation of two benzene rings in the mol. of  
 this compound is caused by the  $\pi$ - $\pi$  stacking. It is addnl. reinforced  
 by the intramol. NH...O:C hydrogen bond. Except the  
 dihedral angle between the benzene rings, X-ray determined structure of  
 2-(4-nitrophenylsulfonylamino)-5-chlorobenzophenone is very similar to  
 this optimized by the ab initio calcns.  
 IT 4873-59-0 169263-19-8 169263-20-1  
 RL: PRP (Properties)  
 (proton, carbon-13, and nitrogen-15 NMR and crystallog. study of  
 2-arylsulfonylamino-5-chlorobenzophenones)

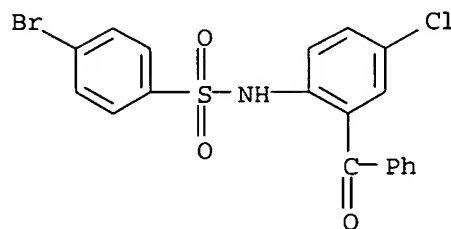
RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



RN 169263-19-8 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-chloro- (9CI) (CA INDEX NAME)



RN 169263-20-1 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-bromo- (9CI) (CA INDEX NAME)



RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2002:861062 CAPLUS  
 DN 139:197300  
 TI Product class 13: indole and its derivatives  
 AU Joule, J. A.  
 CS Department of Chemistry, University of Manchester, Manchester, M13 9PL, UK  
 SO Science of Synthesis (2001), 10, 361-652  
 CODEN: SSCYJ9  
 PB Georg Thieme Verlag  
 DT Journal; General Review  
 LA English  
 AB A review of preparation of indoles and its derivs. Covered reactions include

cyclization, ring transformation, aromatization and substituent modifications. Subclasses covered include 1H-indol-1-ols, 1,3-dihydro-2H-indol-2-ones, and 1,2-dihydro-3H-indol-3-ones.

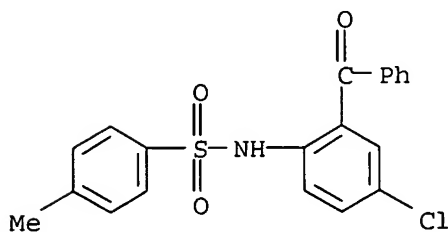
IT 4142-76-1

RL: RCT (Reactant); RACT (Reactant or reagent)

(review of preparation of indoles and analogs thereof via cyclization, ring transformation, aromatization and substituent modifications)

RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)



● Na

RE.CNT 1348 THERE ARE 1348 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:18962 CAPLUS

DN 134:86383

TI Preparation and effect of phosphonic acid diester derivatives as antidiabetics

IN Miyata, Kazuyoshi; Tsuda, Yoshihiko; Inoue, Yasuhide

PA Ohtsuka Pharmaceutical Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 18 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	JP 2001002687	A2	20010109	JP 1999-172175	19990618
				JP 1999-172175	19990618

OS MARPAT 134:86383

AB Title compds. [ANR3SO<sub>2</sub>Q(CH<sub>2</sub>)<sub>n</sub>P(:O)(OR<sub>1</sub>)(OR<sub>2</sub>); A = 2-CH<sub>3</sub>NHCO-3-ClC<sub>6</sub>H<sub>3</sub>, 2-CH<sub>3</sub>NHCO-3-FC<sub>6</sub>H<sub>3</sub>, 2-CH<sub>3</sub>NHCOC<sub>6</sub>H<sub>4</sub>, 2-CH<sub>3</sub>OCO-4-CH<sub>3</sub>OCOC<sub>6</sub>H<sub>3</sub>, 2-CH<sub>3</sub>CO-4-BrC<sub>6</sub>H<sub>3</sub>, 2-CH<sub>3</sub>NHCO-5-ClC<sub>6</sub>H<sub>3</sub>, 2-HOOC<sub>6</sub>H<sub>4</sub>; R<sub>1</sub> = H, CH<sub>3</sub>CH<sub>2</sub>; R<sub>2</sub> = H, CH<sub>3</sub>CH<sub>2</sub>; R<sub>3</sub> = H, CH<sub>3</sub>, C<sub>6</sub>H<sub>5</sub>CH<sub>2</sub>; Q(CH<sub>2</sub>)<sub>n</sub> = 4-C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 4-C<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>CH<sub>2</sub>, (CH<sub>2</sub>)<sub>2</sub>, (CH<sub>2</sub>)<sub>3</sub>] are prepared as antidiabetics with ability of lowering the blood sugar level. Thus, the title compound I was prepared and tested.

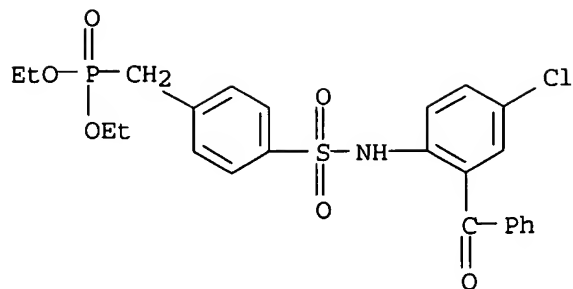
IT 316380-07-1P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

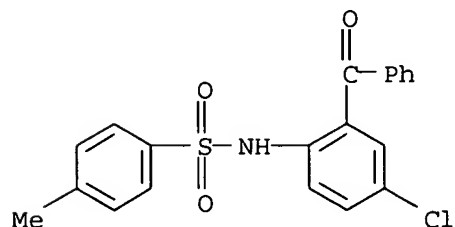
(Preparation and effect of phosphonic acid diester derivs. as antidiabetics)

RN 316380-07-1 CAPLUS

CN Phosphonic acid, [[4-[[[(2-benzoyl-4-chlorophenyl)amino]sulfonyl]phenyl]methyl]-, diethyl ester (9CI) (CA INDEX NAME)



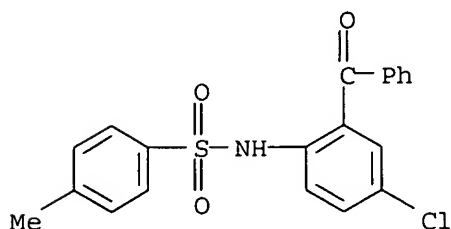
L4 ANSWER 7 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 2000:446552 CAPLUS  
 DN 133:266698  
 TI Synthesis and characterization of substances related to nifedipine and diazepam to establish them as official standards  
 AU Sorla A., Olivia; Perez M., Herminia I.; Manjarrez A., Norberto; Cejundo U., Blanca L.  
 CS Mex.  
 SO Revista Mexicana de Ciencias Farmaceuticas (2000), 31(1), 7-10  
 CODEN: RMCFTD; ISSN: 1027-3956  
 PB Asociacion Farmaceutica Mexicana  
 DT Journal  
 LA Spanish  
 AB 4-(2-Nitrosophenyl)-3,5-dicarbomethoxy-2,6-dimethylpyridine and 4-(2-nitrophenyl)-3,5-dicarbomethoxy-2,6-dimethylpyridine (substances related to nifedipine) and 2-methylamino-5-chlorobenzophenone and 7-chloro-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-one (substances related to diazepam) were prepared and characterized for the Mexican National Laboratory of Public Health to be further established as official stds.  
 IT **4873-59-0P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and characterization of substances related to nifedipine and diazepam)  
 RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



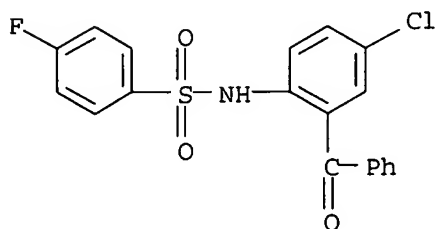
RE.CNT 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD  
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1995:811922 CAPLUS  
 DN 123:285437

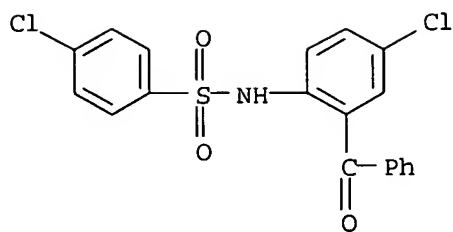
TI Synthesis of substituted amides and their bioactivity  
 AU Wu, Jingping; Chen, Fuheng  
 CS Department of Applied Chemistry, Beijing Agricultural University, Beijing, 100094, Peop. Rep. China  
 SO Yingyong Huaxue (1995), 12(4), 80-3  
 CODEN: YIHUED; ISSN: 1000-0518  
 PB Yingyong Huaxue Bianji Weiyuanhui  
 DT Journal  
 LA Chinese  
 AB Thirty substituted amides e.g. 2,4-RC1C6H3NHXR1 (R = Bz, PhCHOH, R1 = substituted Ph; X = CO, SO2) have been synthesized from 5-chloro-2-aminobenzophenone. Most of the compds. showed an inhibition effect on rice growth.  
 IT 4873-59-0P 169263-18-7P 169263-19-8P  
 169263-20-1P 169263-21-2P  
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)  
 (synthesis of substituted amides and their plant growth regulator activity)  
 RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



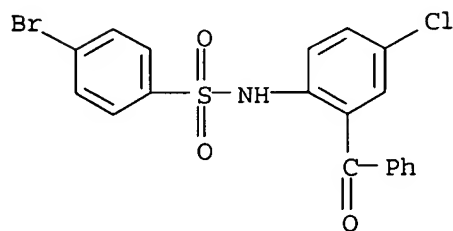
RN 169263-18-7 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-fluoro- (9CI) (CA INDEX NAME)



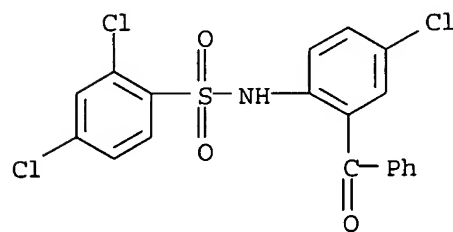
RN 169263-19-8 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-chloro- (9CI) (CA INDEX NAME)



RN 169263-20-1 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-bromo- (9CI) (CA INDEX NAME)



RN 169263-21-2 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-2,4-dichloro- (9CI) (CA INDEX NAME)



L4 ANSWER 9 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1995:777639 CAPLUS  
 DN 123:198616  
 TI Preparation of N-sulfonylindoline derivatives with affinity for vasopressin and oxytocin receptors  
 IN Wagnon, Jean; de Cointet, Paul; Nisato, Dino; Plouzane, Claude; Sereadeil-Legal, Claudine; Tonnerre, Bernard  
 PA Elf Sanofi SA, Fr.  
 SO U.S., 50 pp. Cont.-in-part of U.S. Ser. No.737,655, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	US 5338755	A	19940816	US 1992-923839	19920803
				FR 1990-9778	A 19900731
				US 1991-737655	B2 19910730
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FR 2665441	A1	19920207	FR 1990-9778	19900731
FR 2665441	B1	19921204		
IL 114934	A1	19960804	IL 1991-114934	19910730
			FR 1990-9778	A 19900731
			IL 1991-99012	A3 19910730
HU 219351	B	20010328	HU 1971-99045	19910731
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FR 2679903	A1	19930205	FR 1991-9908	19910802
FR 2679903	B1	19931203		
AU 9224758	A1	19930302	AU 1992-24758	19920731
AU 658664	B2	19950427		
			FR 1991-9908	A 19910802
			WO 1992-FR758	A 19920731
BR 9205336	A	19931116	BR 1992-5336	19920731
			FR 1991-9908	A 19910802
			WO 1992-FR758	A 19920731
JP 06501960	T2	19940303	JP 1993-503337	19920731
			FR 1991-9908	A 19910802
			WO 1992-FR758	W 19920731
RU 2104268	C1	19980210	RU 1993-5168	19920731
			FR 1991-9908	A 19910802
			WO 1992-FR758	W 19920731
IL 117592	A1	19990411	IL 1992-117592	19920731
			FR 1991-9908	A 19910802
			IL 1992-102703	A3 19920731
CZ 288173	B6	20010516	CZ 1993-682	19920731
			FR 1991-9908	A 19910802
			CS 1993-682	A 19920731
CA 2206776	C	20020226	CA 1992-2206776	19920731
			FR 1991-9908	A 19910802
			CA 1992-2093221	A3 19920731
SK 283463	B6	20030805	SK 1993-426	19920731
			FR 1991-9908	A 19910802
			WO 1992-FR758	W 19920731
NO 9301262	A	19930526	NO 1993-1262	19930401
NO 180047	B	19961028		
NO 180047	C	19970205		
			FR 1991-9908	A 19910802
			WO 1992-FR758	W 19920731
FI 104069	B1	19991115	FI 1993-1476	19930401
			FR 1991-9908	A 19910802
			WO 1992-FR758	W 19920731
US 5397801	A	19950314	US 1994-240360	19940510
			FR 1990-9778	A 19900731
			US 1991-737655	B2 19910730
			FR 1991-9908	A 19910802
			US 1992-923839	A3 19920803
US 5481005	A	19960102	US 1994-348150	19941128
			FR 1990-9778	A 19900731
			US 1991-737655	B2 19910730
			FR 1991-9908	A 19910802
			US 1993-923839	A3 19930803
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US 5578633	A	19961126	US 1995-458614	19950602
			FR 1990-9778	A 19900731
			US 1991-737655	B2 19910730
			FR 1991-9908	A 19910802
			US 1992-923839	A3 19920803
			US 1994-240360	A3 19940510



FI 9800175	A	19980127	US 1994-348150	A3 19941128
FI 107048	B1	20010531	FI 1998-175	19980127
			FR 1991-9908	A 19910802
			WO 1992-FR758	W 19920731
			FI 1993-1476	A 19930401

PATENT FAMILY INFORMATION:

FAN 1992:214341

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 469984	A2	19920205	EP 1991-402123	19910730
	EP 469984	A3	19920311		
	EP 469984	B1	19951018		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
	FR 2665441	A1	19920207	FR 1990-9778	A 19900731
	FR 2665441	B1	19921204	FR 1990-9778	19900731
	FI 9103614	A	19920201	FI 1991-3614	19910729
	FI 97224	B	19960731		
	FI 97224	C	19961111		
				FR 1990-9778	A 19900731
	CA 2048139	AA	19920201	CA 1991-2048139	19910730
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	NO 9102970	A	19920203	NO 1991-2970	19910730
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				FR 1990-9778	A 19900731
	AT 129236	E	19951115	AT 1991-402123	19910730
				FR 1990-9778	A 19900731
	ES 2080922	T3	19960216	ES 1991-402123	19910730
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	IL 114934	A1	19960804	IL 1991-114934	19910730
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				IL 1991-99012	A3 19910730
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	ZA 9106031	A	19920429	ZA 1991-6031	19910731
				FR 1990-9778	A 19900731
	HU 59669	A2	19920629	HU 1991-2552	19910731
				FR 1990-9778	A 19900731
	JP 04234361	A2	19920824	JP 1991-192078	19910731
	JP 3195381	B2	20010806		
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	KR 211434	B1	19990802	KR 1991-13249	19910731
				FR 1990-9778	A 19900731
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				FR 1990-9778	A 19900731
				HU 1991-2552	A 19910731
	AU 9350473	A1	19940113	AU 1993-50473	19931105
	AU 664491	B2	19951116		
				FR 1990-9778	A 19900731
	US 5481005	A	19960102	US 1994-348150	19941128
				FR 1990-9778	A 19900731
				US 1991-737655	B2 19910730
				FR 1991-9908	A 19910802
				US 1993-923839	A3 19930803

FAN 1993:539091				US 1994-240360	A3 19940510
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 526348	A1	19930203	EP 1992-402213	19920803
	EP 526348	B1	19980218		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
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	CA 2093221	AA	19930203	CA 1992-2093221	19920731
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				FR 1991-9908	A 19910802
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	W: AU, BR, CA, CS, FI, HU, JP, KR, NO, RU				
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	JP 2633085	B2	19970723	JP 1992-503337	19920731
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OS MARPAT 123:198616

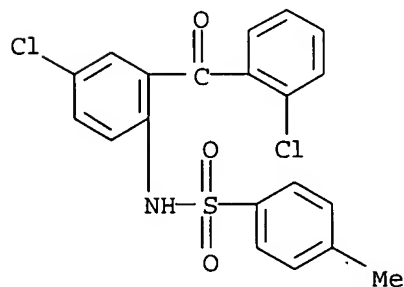
AB Title compds. I (R'1 = halo, C1-4 alkyl, HO, C1-4 alkoxy, PhCH2O, NC, F3C, O2N, H2N; R'2 = C1-6 alkyl, C3-7 cycloalkyl, C5-7 cycloalkylene, (substituted) Ph, etc.; R'3 = H; R'4 = H2NCO, R'6R'7NCO wherein R'6R'7N = saturated 5-membered substituted N-heterocycllyl; R'5 = C1-4 alkyl, 1-, 2-naphthyl, (substituted) Ph, etc.; n = m = 0-2) or a salt thereof, are prepared CH2BrCONMe2 (preparation given) and 5-chloro-2-(tosylamino)phenyl cyclohexyl ketone were reacted to give 2-[N-tosyl-N-(dimethylcarbamoylmethyl)amino]-5-(chlorophenyl) cyclohexyl ketone which in THF was treated with Li diisopropylamide to give after workup trans-I (R'1n = 5-Cl, R'2 = cyclohexyl, R'3 = H, R'4 = Me2NCO, R'5 = 4-MeC6H4, m = 0). The IC50 of I affinity for oxytocin receptors was 10-5-10-8M.

IT 5649-39-8

RL: RCT (Reactant); RACT (Reactant or reagent)  
(preparation of N-sulfonylindoline derivs. with affinity for vasopressin and oxytocin receptors)

RN 5649-39-8 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI)  
(CA INDEX NAME)



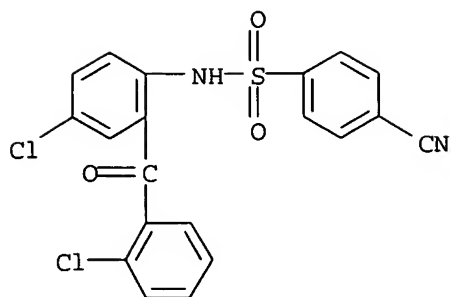
IT 140916-59-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation of N-sulfonylindoline derivs. with affinity for vasopressin and oxytocin receptors)

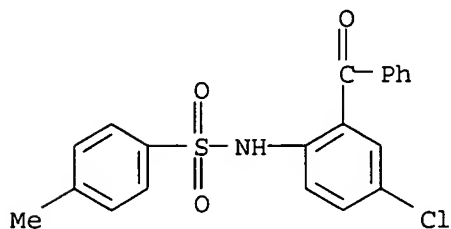
RN 140916-59-2 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-cyano- (9CI)

(CA INDEX NAME)



L4 ANSWER 10 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 1993:147270 CAPLUS  
DN 118:147270  
TI Antiarrhythmic amidinohydrazones of substituted benzophenones. Part 1:  
synthesis of new amidinohydrazones and N-phenylamidinohydrazones of  
substituted benzophenones  
AU Richter, P. H.; Kasbohm, K.; Besch, A.; Hagen, A.  
CS Fachbereich Pharm., Ernst-Moritz-Arndt-Univ., Greifswald, Germany  
SO Pharmazie (1992), 47(10), 758-64  
CODEN: PHARAT; ISSN: 0031-7144  
DT Journal  
LA German  
AB Title compds. I (R = NH<sub>2</sub>, NMe<sub>2</sub>, NHBz, NHCO<sub>2</sub>Et, NHSO<sub>2</sub>Me, NHSO<sub>2</sub>C<sub>6</sub>H<sub>4</sub>Me-4, Br,  
CO<sub>2</sub>H, Cl, OH, OMe, NO<sub>2</sub>, Me, F, NHMe; R<sub>1</sub> = H, NH<sub>2</sub>, Br, Cl, Me, NO<sub>2</sub>, OH,  
NMe<sub>2</sub>; R<sub>2</sub> = H, Cl, Me, OH, NO<sub>2</sub>, NH<sub>2</sub>, NMe<sub>2</sub>; R<sub>3</sub> = H, Ph) (70 compds.) were  
prepared, mostly from the ketones and H<sub>2</sub>NNHC(=NH)NHR<sub>3</sub>.  
IT 4873-59-0  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with aminoguanidine)  
RN 4873-59-0 CAPLUS  
CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA  
INDEX NAME)



L4 ANSWER 11 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 1992:214341 CAPLUS  
DN 116:214341  
TI Preparation of 1-arylsulfonyl-3-hydroxyindoline-2-carboxylates and analogs  
as vasopressin and oxytocin receptor ligands  
IN Wagon, Jean; De Cointet, Paul; Nisato, Dino; Plouzane, Claude;  
Serradeil-Legal, Claudine  
PA Sanofi SA, Fr.  
SO Eur. Pat. Appl., 44 pp.

CODEN: EPXXDW  
 DT Patent  
 LA French  
 FAN.CNT 3

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PATENT FAMILY INFORMATION:

FAN 1993:539091

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OS MARPAT 116:214341

AB Title compds. [I; R1 = halo, alkyl, alkoxy, PhCH2O, etc.; R2 = (cyclo)alkyl, cycloalkenyl, (substituted) Ph; R3 = H, alkyl; R4 = CO2H, alkoxy carbonyl, CO2CH2Ph, (substituted) CONH2; R5 = alkyl, naphthyl, (substituted) Ph, etc.; m, n = 0-2] were prepared. Thus, 4,2-Cl(R2CO)C6H3R (R2 = cyclohexyl) (II; R = NH2) was condensed with 1-naphthylsulfonyl chloride and the product condensed with BrCH2CO2Et to give II [R = N(CH2CO2Et)SO2R5; R5 = 1-naphthyl] which was treated with NaOMe/MeOH to give title compound III (cis and trans isomers). I had IC50 of .apprx.10<sup>-7</sup>M against oxytocin binding with a membrane preparation from pregnant rats.

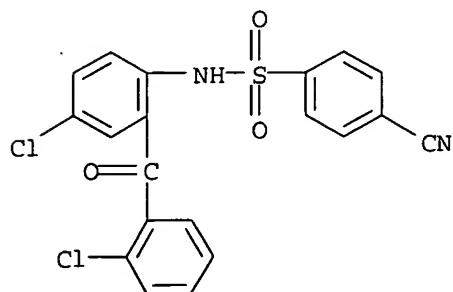
IT 140916-59-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation and reaction of, in preparation of vasopressin and oxytocin receptor ligands)

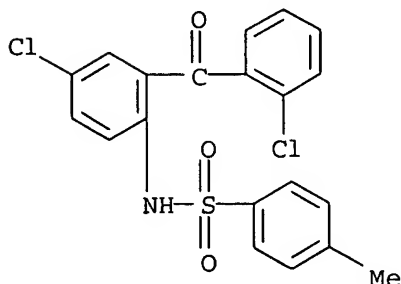
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CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-cyano- (9CI)  
(CA INDEX NAME)

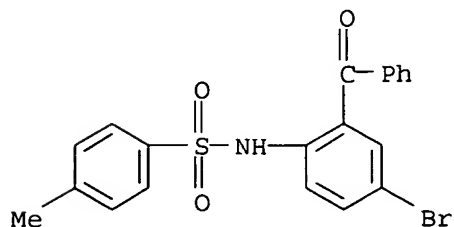




IT 5649-39-8  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, in preparation of vasopressin and oxytocin receptor ligands)  
 RN 5649-39-8 CAPLUS  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



L4 ANSWER 12 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1992:140597 CAPLUS  
 DN 116:140597  
 TI Crystal and molecular structure of 2-N-tosylamino-5-bromobenzophenone  
 AU Gifeisman, T. Sh.; Dvorkin, A. A.; Simonov, Yu. A.; Andronati, S. A.;  
 Pavlovskii, V. I.; Yavorskii, A. S.  
 CS Inst. Prikl. Fiz., Kishinev, USSR  
 SO Zhurnal Strukturnoi Khimii (1991), 32(5), 148-50  
 CODEN: ZSTKAI; ISSN: 0136-7463  
 DT Journal  
 LA Russian  
 AB The title compound is monoclinic, space group 21/b, with a 10.681(4), b 19.462(8), c 8.959(4) Å, and γ 95.99(2)°; d. (calculated) = 1.543 for Z = 4. Final R = 0.081 for 1298 reflections. Atomic coordinates are given. There is a strong intramol. H bond N-H...O. Substitution on the amino group has little affect on the configuration of the central part of the mol.  
 IT 94579-32-5, 2-N-Tosylamino-5-bromobenzophenone  
 RL: PRP (Properties)  
 (crystal structure of)  
 RN 94579-32-5 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 13 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1990:497463 CAPLUS  
 DN 113:97463

TI Preparation of (phenylureido)phenylquinolines as acyl-CoA:cholesterol  
 acyltransferase (ACAT) inhibitors  
 IN Meguro, Kanji; Ikeda, Hitoshi  
 PA Takeda Chemical Industries, Ltd., Japan  
 SO Eur. Pat. Appl., 56 pp.  
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 DT Patent  
 LA English  
 FAN.CNT 1

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OS MARPAT 113:97463

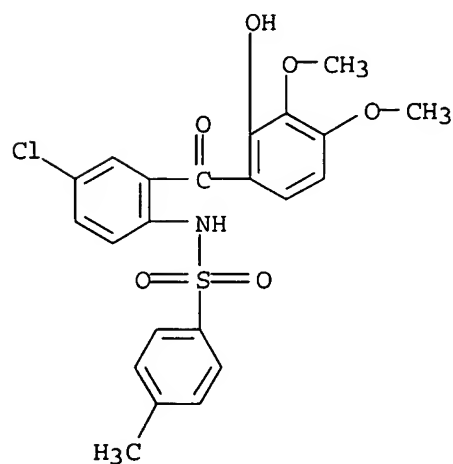
AB The title compds. [I; R = H, alkyl, aralkyl; R1-R3 = H, 1-4 halo, (halo)alkyl, alkoxy, alkylthio, (un)esterified CO<sub>2</sub>H NO<sub>2</sub>, OH, Cl-4 acyloxy, Cl-3 acyl; m, n = 0, 1] or their pharmaceutically acceptable salts and carriers or diluents, useful for preventing and treating hypercholesterolemia, atherosclerosis, myocardial and cerebral infarction, cerebral apoplexy, etc., were prepared, e.g., by an addition reaction of 3-aminoquinolines with isocyanates C<sub>6</sub>H<sub>5</sub>(CH<sub>2</sub>)<sub>n</sub>NCO (n as defined). A mixture of 3-amino-6-chloro-4-phenylquinoline and 2,4-F<sub>2</sub>C<sub>6</sub>H<sub>3</sub>NCO in THF was allowed to stand 20 h at room temperature to give 77.8% I (R = R<sub>2</sub> = H, R<sub>1</sub> = 6-Cl, R<sub>3</sub> = 2,4-F<sub>2</sub>, m = n = 0) (II). In rats, 10-6M II inhibited 88.3% production of the labeled cholesterol ester from [1-<sup>14</sup>C]oleoyl-CoA and endogenous cholesterol. Three other I in cholesterol fed rats reduced plasma cholesterol level from 240 ± 85 mg/dL for the control to 119 ± 46 - 143 ± 21 mg/dL. A tablet containing I was formulated.

IT 128832-47-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and reaction of, in preparation of anticholesteremic)

RN 128832-47-3 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-hydroxy-3,4-dimethoxybenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 14 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1988:195923 CAPLUS

DN 108:195923

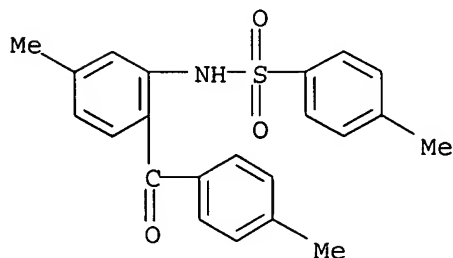
TI Electrophotographic photoreceptor containing bisazo compound as

charge-generating substance  
 IN Hirose, Hisahiro; Kinoshita, Akira; Sawada, Kiyoshi; Yamazaki, Hiroshi;  
 Watanabe, Kazumasa  
 PA Konica Co., Japan  
 SO Jpn. Kokai Tokkyo Koho, 35 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 62269146	A2	19871121	JP 1986-113286	19860516
				JP 1986-113286	19860516

AB In an electrophotog. photoreceptor containing a bisazo compound as a charge-generating substance, the bisazo compound is at least partially aggregated and the visible maximum absorption peak of the aggregate is  $\geq 100$  nm longer than that of the bisazo compound. The preferable bisazo compound has the general formula I [A = Y or N:CHY; Y = (substituted) aromatic group; Q1 = :CQ2Q3; Q2, Q3 = H, CN, alkyl, (substituted) aromatic group, halogen, vinyl, acyl or ester, or Q2 and Q3 may form a ring with other group; P1, P2 = H, Me, methoxy]. The electrophotog. photoreceptor shows excellent chargeability and storage stability.

IT **114190-46-4P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and reaction of, electrophotog. charge-generating substance from)  
 RN 114190-46-4 CAPLUS  
 CN Benzenesulfonamide, 4-methyl-N-[5-methyl-2-(4-methylbenzoyl)phenyl]- (9CI)  
 (CA INDEX NAME)



L4 ANSWER 15 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1988:131304 CAPLUS  
 DN 108:131304  
 TI 2-Arylsulfonamidobenzophenones and -acetophenones and their oximes  
 IN Schewe, Tankred; Rapoport, Samuel Mitja; Beger, Joerg; Kuehn, Hartmut;  
 Binte, Hans Joachim; Slapke, Juergen  
 PA VEB Fahlberg-List, Ger. Dem. Rep.  
 SO Ger. Offen., 44 pp.  
 CODEN: GWXXBX  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 3544409	A1	19861016	DE 1985-3544409	19851216
				DD 1984-271462	A2 19841221

DD 251126	A1	19871104	DD 1984-271462	19841221
CH 670389	A	19890615	CH 1985-5505	19851223
			DD 1984-271462	A 19841221

OS CASREACT 108:131304

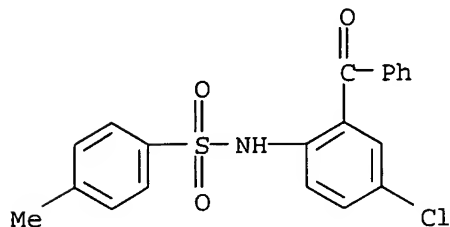
AB The title compds. (I; R = Me, Ph, p-substituted Ph; R1 = H, alkyl, alkoxy, amino, acylamino; R2 = H, halo, NO2, amino, acylamino; X = O, oximino) were prepared as lipoxxygenase and cyclooxygenase inhibitors. Thus, 0.02 mol 2-(p-methoxybenzenesulfonamido)acetophenone in EtOH was treated with 0.044 mol NH2OH.HCl in pyridine and the mixture was refluxed for 3 h to give 90% I (R = Me, R1 = 4-MeO, X = NOH, R2 = H) which at 50 µM showed 80% inhibition of arachidonic acid-induced contractions in guinea pigs vs. 30% for benoxaprofen.

IT 4873-59-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as cyclooxygenase and lipoxxygenase inhibitor)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 16 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1987:598158 CAPLUS

DN 107:198158

TI Synthesis and pharmacological activities of 3-phenylindazole derivatives

AU Fujimura, Yasuo; Ikeda, Yugo; Matsunaga, Isao

CS Cent. Res. Lab., Chugai Pharm. Co., Ltd., Tokyo, 171, Japan

SO Yakugaku Zasshi (1986); 106(11), 995-1001

CODEN: YKKZAJ; ISSN: 0031-6903

DT Journal

LA Japanese

OS CASREACT 107:198158

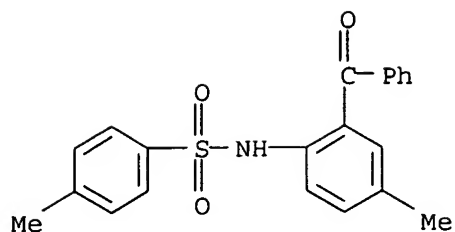
AB 3-Phenylindazoles I [R = H, Cl, Br, Me; R1 = NH2, NHMe, NMe2, NEt2, NCH2CH:CH2)2, piperidino, morpholino, 4-methylpiperazino; n = 2,3] were prepared by diazotization and cyclization of benzophenones II. I (n = 3, R = Me, R1 = NHMe; n = 3, R = H, Me, Br, R1 = NMe2) were as effective in preventing reserpine-induced hypothermia as imipramine.

IT 111016-39-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

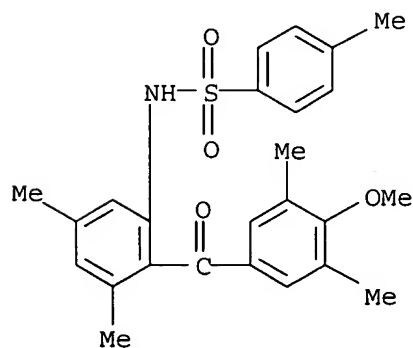
RN 111016-39-8 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-methylphenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)



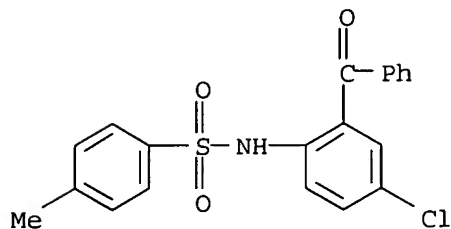
● Na

L4 ANSWER 17 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1987:175880 CAPLUS  
 DN 106:175880  
 TI [5,5] Sigmatropic rearrangement of arylhydrazones followed by 1,2-shift of an aryl group. VII  
 AU Sanniccolo, Franco  
 CS Ist. Chim. Ind., Univ. Milano, Milan, I-20133, Italy  
 SO Gazzetta Chimica Italiana (1985), 115(2), 91-5  
 CODEN: GCITA9; ISSN: 0016-5603  
 DT Journal  
 LA English  
 OS CASREACT 106:175880  
 AB The arylhydrazones I (R = Me, H, R1 = CO2Et; R = R1 = Me) rearranged in hot polyphosphoric acid to give bisphenyl derivs. arising from a [5,5]-sigmatropic rearrangement followed by an aryl group 1,2-shift. Thus, I (R = Me, R1 = CO2Et) was treated with polyphosphoric acid at 100° for 3 min to give the biphenylglyoxylate II and the fluorenone III.  
 IT **107642-75-1P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation and conversion to aminomethoxytetramethyldiphenyl ketone)  
 RN 107642-75-1 CAPLUS  
 CN Benzenesulfonamide, N-[2-(4-methoxy-3,5-dimethylbenzoyl)-3,5-dimethylphenyl]-4-methyl- (9CI) (CA INDEX NAME)

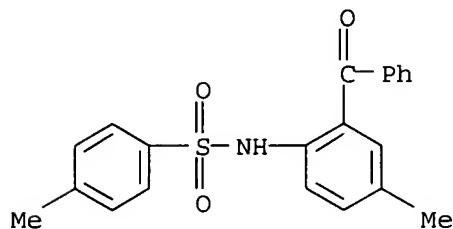


L4 ANSWER 18 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1985:560483 CAPLUS  
 DN 103:160483  
 TI Macrocyclic (amidoacyl)hydrazones

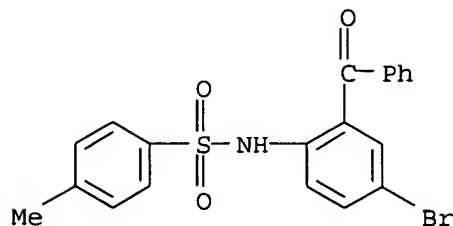
AU Yavorskii, A. S.; Bondarev, M. L.; Andronati, S. A.; Terent'ev, P. B.  
 CS Fiz.-Khim. Inst., Odessa, 270080, USSR  
 SO Khimiya Geterotsiklicheskikh Soedinenii (1985), (7), 991-5  
 CODEN: KGSSAQ; ISSN: 0453-8234  
 DT Journal  
 LA Russian  
 OS CASREACT 103:160483  
 AB The title compds. I (R1 = Br, Cl, Me, R2 = Ph; R1 = Br, R2 = o-ClC6H4)  
 were prepared in 85-90% yields in 4 steps from benzophenones II by treatment  
 with N2H4.H2O, reaction with (COCl)2, hydrolysis to give dihydrazide III,  
 and ring closure by (COCl)2.  
 IT 4873-59-0 28561-54-8 94579-32-5  
 98608-63-0  
 RL: PROC (Process)  
 (hydrazone formation of, with hydrazine hydrate)  
 RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA  
 INDEX NAME)



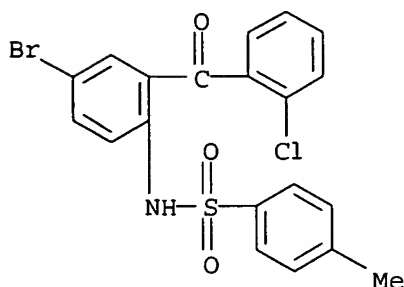
RN 28561-54-8 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-methylphenyl)-4-methyl- (9CI) (CA  
 INDEX NAME)



RN 94579-32-5 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-methyl- (9CI) (CA INDEX  
 NAME)

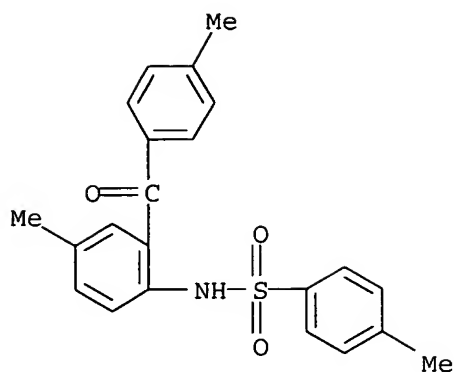


RN 98608-63-0 CAPLUS  
CN Benzenesulfonamide, N-[4-bromo-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI)  
(CA INDEX NAME)



L4 ANSWER 19 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 1984:5549 CAPLUS  
DN 100:5549  
TI Carbanionically induced [1,3]-migrations of  $\pi$ - and coordinatively unsaturated groups  
AU Hellwinkel, Dieter; Laemmerzahl, Frank; Hofmann, Gunter  
CS Org.-Chem. Inst., Univ. Heidelberg, Heidelberg, D-6900/1, Fed. Rep. Ger.  
SO Chemische Berichte (1983), 116(10), 3375-405  
CODEN: CHBEAM; ISSN: 0009-2940  
DT Journal  
LA German  
OS CASREACT 100:5549  
AB I (R = Ph, CMe<sub>3</sub>; X = O, NMe) reacted under mild conditions to give intensely colored Li derivs. of o-acylphenols and o-acylanilines, which were then hydrolyzed to II. Analogous reactions occurred with III, IV, and V. In the case of Me<sub>3</sub>CCON(C<sub>6</sub>H<sub>4</sub>Me-p)<sub>2</sub>, such a [1,3] rearrangement could be induced by direct metalation of the educt, but with Me<sub>3</sub>CCONMePh exclusive metalation of the N-Me group occurred, followed by [1,2] migration of the pivaloyl group. Similar rearrangement of VI, followed by alkylation of the product, gave VII (R = Me, Bu). Only the Bz group underwent a [1,3] shift in VIII. The migration tendencies of the Me<sub>3</sub>Si and Bz groups in IX were the same.  
IT **87995-70-8P**  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 87995-70-8 CAPLUS  
CN Benzenesulfonamide, 4-methyl-N-[4-methyl-2-(4-methylbenzoyl)phenyl]- (9CI)  
(CA INDEX NAME)





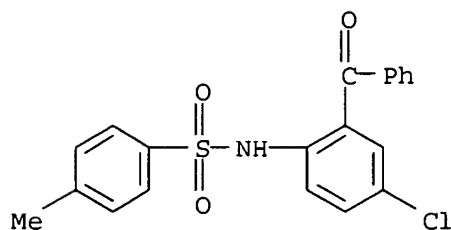
L4 ANSWER 20 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1983:539507 CAPLUS  
 DN 99:139507  
 TI N-Methyl-2-(p-toluenesulfonamido)-5-chlorobenzophenone  
 PA East India Pharmaceutical Works Ltd., India  
 SO Indian, 6 pp.  
 CODEN: INXXAP  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	IN 150962	A	19830129	IN 1981-CA504	19810513
				IN 1981-CA504	19810513

AB The conversion of benzophenone derivative I (R = H) to N-Me derivative I (R = Me) was catalyzed by Me(CH<sub>2</sub>)<sub>15</sub>N+Me<sub>3</sub> Br<sup>-</sup> (II). I (R = H) was treated with Me<sub>2</sub>SO (or MeI), NaOH (or KOH) and II in C<sub>6</sub>H<sub>6</sub> (or PhMe, or CH<sub>2</sub>Cl<sub>2</sub>) to give 95-97% I (R = Me).

IT 4873-59-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (N-methylation of, catalysts for)

RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 21 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1983:406040 CAPLUS  
 DN 99:6040  
 TI Substituted 2-benzoyl-4-chloroglycinanilide derivatives and their use as medicaments  
 IN Mouzin, Gilbert; Cousse, Henri; Stenger, Antoine; Casadio, Sylvano

PA Fabre, Pierre, S. A., Fr.  
 SO U.S., 12 pp. Cont.-in-part of U.S. Ser. No. 916,651, abandoned.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4372975	A	19830208	US 1980-200622	19801027
				FR 1977-18511	A 19770616
				US 1978-916651	A2 19780619
	FR 2403330	A1	19790413	FR 1977-18511	19770616
	FR 2403330	B1	19821105		

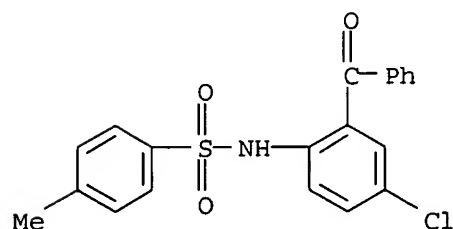
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PATENT FAMILY INFORMATION:

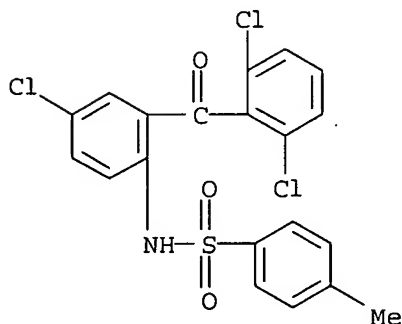
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FAN	1979:420092				
PI	JP 54036238	A2	19790316	JP 1978-73141	19780616
				FR 1977-18511	A 19770616
	FR 2403330	A1	19790413	FR 1977-18511	19770616
	FR 2403330	B1	19821105		
					A
	EP 299	A1	19790110	EP 1978-400009	19780601
	EP 299	B1	19801112		
	R: BE, CH, DE, FR, GB, NL				
				FR 1977-18511	19770616
	ZA 7803410	A	19790627	ZA 1978-3410	19780614
				FR 1977-18511	A 19770616
	CA 1124256	A1	19820525	CA 1978-305549	19780615
				FR 1977-18511	A 19770616
	ES 470861	A1	19790201	ES 1978-470861	19780616
				FR 1977-18511	A 19770616

AB Title compds. I (R = allyl, methylallyl, diethylpropargyl, ethynylcyclohexyl, cyclopropyl) were prepared as central nervous system agents. Thus, benzophenone II (R1 = R2 = H) was tosylated to give 95% II (R1 = tosyl, R2 = H), which was methylated with Me2SO4 to give 87% II (R1 = tosyl, R2 = Me), which was detosylated by 96% H2SO4 to give 85% II (R1 = H, R2 = Me). The latter was N-acylated with BrCH2COCl to give 82% II (R1 = BrCH2CO, R2 = Me), which was treated with H2NCMe2C.tplbond.CH and then with HCl to give I.HCl (R = CMe2C.tplbond.CH) (III). III exhibited anti-pentamethylene tetrazole activity in mice with an ED50 of 1.5 mg/kg p.o.

IT **4873-59-0P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and N-methylation of)  
 RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 22 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1982:472335 CAPLUS  
 DN 97:72335  
 TI Quinazolines and 1,4-benzodiazepines. 91. Structure-activity relationship between substituted 2-amino-N-(2-benzoyl-4-chlorophenyl)acetamides and 1,4-benzodiazepinones  
 AU Fryer, R. Ian; Leimgruber, Willy; Trybulski, Eugene J.  
 CS Chem. Res. Dep., Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA  
 SO Journal of Medicinal Chemistry (1982), 25(9), 1050-5  
 CODEN: JMCMAR; ISSN: 0022-2623  
 DT Journal  
 LA English  
 AB 2-Amino-N-(2-benzoyl-4-chlorophenyl)acetamides, e.g. I, were prepared from 3,6-Cl(O2N)C6H3CHO in several steps. The pharmacol. properties of these compds. were compared with data obtained from the corresponding cyclized products [5-(2,6-dichlorophenyl)-1,4-benzodiazepin-2-ones], e.g. II. Evidence is presented which suggests that the central nervous system activity observed for 1,4-benzodiazepines is inherent only in the closed seven-membered ring and is not due to the ring-opened form.  
 IT **82082-27-7P**  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and methylation of)  
 RN 82082-27-7 CAPLUS  
 CN Benzenesulfonamide, N-[4-chloro-2-(2,6-dichlorobenzoyl)phenyl]-4-methyl-(9CI) (CA INDEX NAME)



L4 ANSWER 23 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1982:142456 CAPLUS  
 DN 96:142456  
 TI Benzodiazepine intermediates  
 IN Mayer, Joseph; Peer, Lydia; Babad, Esther  
 PA Schering Corp., USA  
 SO U.S., 4 pp.  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4312996	A	19820126	US 1980-221136	19801229
				US 1980-221136	A 19801229

OS CASREACT 96:142456  
 AB I (R = PhSO<sub>2</sub> or Cl-C<sub>6</sub> alkyl-substituted derivs.; R1 and R2 independently

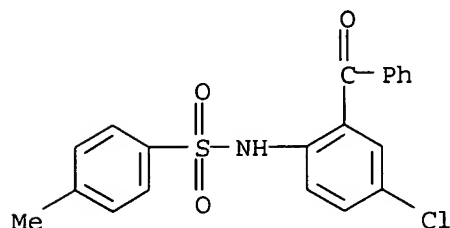
are H, halo, CF<sub>3</sub>, NO<sub>2</sub>, C<sub>1</sub>-C<sub>6</sub> alkyl or alkoxy) were prepared and hydrolyzed to I (R = H), which are intermediates in the preparation of benzodiazepines such as halazepam. Thus, I (R = PhSO<sub>2</sub>, R<sub>1</sub> = 5-Cl, R<sub>2</sub> = H) was prepared by alkylation of 5,2-Cl(H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>COPh with PhSO<sub>2</sub>OCH<sub>2</sub>CF<sub>3</sub> by refluxing a C<sub>6</sub>H<sub>4</sub>Et<sub>2</sub> solution containing Na<sub>2</sub>CO<sub>3</sub> and K<sub>2</sub>CO<sub>3</sub>.

IT 4873-59-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and N-trifluoroethylation of)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 24 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1982:34558 CAPLUS

DN 96:34558

TI Hyperfluorinated alkanesulfonates and their use as 2,2,2-trifluoroethylating agents

IN Perlotto, Tito

PA Fabbrica Italiana Sintetici S.p.A., Italy

SO Fr. Demande, 13 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN.CNT 1

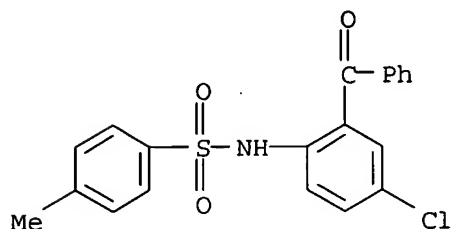
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	FR 2470119	A1	19810529	FR 1980-24664	19801120
	FR 2470119	B1	19840928		
				GB 1979-40622	A 19791123
				GB 1979-40623	A 19791123
	CH 645617	A	19841015	CH 1980-8507	19801117
				GB 1979-40622	A 19791123
				GB 1979-40623	A 19791123
	GB 2065112	A	19810624	GB 1980-37131	19801119
				GB 1979-40622	A 19791123
				GB 1979-40623	A 19791123
	JP 56087553	A2	19810716	JP 1980-165224	19801121
	JP 02024807	B4	19900530		
				GB 1979-40622	A 19791123
				GB 1979-40623	A 19791123
	DE 3043950	A1	19810903	DE 1980-3043950	19801121
	DE 3043950	C2	19900802		
				GB 1979-40622	A 19791123
				GB 1979-40623	A 19791123
	JP 02152955	A2	19900612	JP 1989-274779	19891018
	JP 02060663	B4	19901217		
				GB 1979-40622	A 19791123

AB F(CF<sub>2</sub>)<sub>n</sub>SO<sub>3</sub>CH<sub>2</sub>CF<sub>3</sub> (n = 3-8) were prepared for use as trifluoroethylating agents. Thus F(CF<sub>2</sub>)<sub>4</sub>SO<sub>2</sub>F was treated with CF<sub>3</sub>CH<sub>2</sub>OH to give F(CF<sub>2</sub>)<sub>4</sub>SO<sub>2</sub>CH<sub>2</sub>CF<sub>3</sub> which was used to alkylate demethyldiazepam in the presence of NaOMe to give the 1-(2,2,2-trifluoroethyl) derivative

IT 4873-59-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (trifluoroethylation of, trifluoroethyl perfluorobutane sulfonate as reagent for)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 25 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1980:586309 CAPLUS

DN 93:186309

TI Synthesis methods of diazepam

AU Kim, Dong Jun; Kang, Won Mo; Lee, Gyon I.; Kim, Ung Hak

CS N. Korea

SO Choson Minjujuui Inmin Konghwaguk Kwahagwon Tongbo (1980), (1), 42-4  
 CODEN: CKWTAN; ISSN: 0366-6662

DT Journal

LA Korean

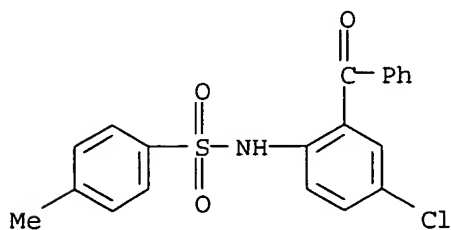
OS CASREACT 93:186309

AB Diazepam (I; R = Me) (II) was prepared via 2 major synthetic routes, i.e., cyclization of III over hexamine gave 85% II, whereas methylation of I (R = H) with PhSO<sub>3</sub>Me gave 67% II. All intermediates were prepared

IT 4873-59-0P  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and methylation of)

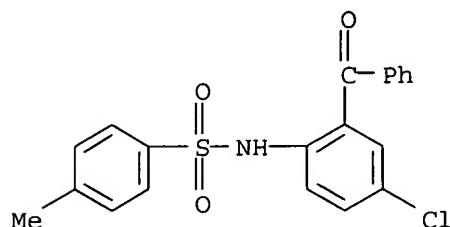
RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 26 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1980:567810 CAPLUS  
 DN 93:167810  
 TI Perchloric acid-acetic acid mixture as a reagent for detosylation of  
 2-N-p-toluenesulfonylaminophenyl (phenyl)methanones  
 AU Wakankar, D. M.; Hosangadi, B. D.  
 CS Dep. Chem., Univ. Bombay, Bombay, 400 098, India  
 SO Indian Journal of Chemistry, Section B: Organic Chemistry Including  
 Medicinal Chemistry (1980), 19B(3), 223-4  
 CODEN: IJSBDB; ISSN: 0376-4699  
 DT Journal  
 LA English  
 OS CASREACT 93:167810  
 AB HClO<sub>4</sub>-HOAc was a good reagent for the detosylation of ketones I (R =  
 p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>; R<sub>1</sub>-5 = H; R<sub>1</sub> = Cl, R<sub>2</sub> = R<sub>3</sub> = R<sub>4</sub> = R<sub>5</sub> = H; R<sub>1</sub> = R<sub>3</sub> = R<sub>5</sub> = H,  
 R<sub>2</sub> = R<sub>4</sub> = MeO; R<sub>1</sub> = R<sub>2</sub> = R<sub>3</sub> = R<sub>5</sub> = H, R<sub>4</sub> = MeO; R<sub>1</sub> = R<sub>3</sub> = R<sub>4</sub> = H, R<sub>2</sub> = R<sub>5</sub>  
 = MeO; R<sub>1</sub> = R<sub>2</sub> = R<sub>5</sub> = H, R<sub>3</sub> = R<sub>4</sub> = MeO) to give the corresponding amino  
 ketones I (R = H) in 53-87% yield.  
 IT 4873-59-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (detosylation of, with perchloric acid-acetic acid mixture)  
 RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA  
 INDEX NAME)



L4 ANSWER 27 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1979:420092 CAPLUS  
 DN 91:20092  
 TI 2-Benzoyl-4-chloroglycinanilide derivatives  
 PA Fabre, Pierre, S. A., Fr.  
 SO Jpn. Kokai Tokkyo Koho, 26 pp.  
 CODEN: JKXXAF  
 DT Patent  
 LA Japanese  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	JP 54036238	A2	19790316	JP 1978-73141	19780616
				FR 1977-18511	A 19770616
	FR 2403330	A1	19790413	FR 1977-18511	19770616
	FR 2403330	B1	19821105		
	EP 299	A1	19790110	EP 1978-400009	A 19780601
	EP 299	B1	19801112		
	R: BE, CH, DE, FR, GB, NL				
				FR 1977-18511	19770616
	ZA 7803410	A	19790627	ZA 1978-3410	19780614
				FR 1977-18511	A 19770616
	CA 1124256	A1	19820525	CA 1978-305549	19780615

ES 470861	A1	19790201	FR 1977-18511	A	19770616
			ES 1978-470861		19780616
			FR 1977-18511	A	19770616

PATENT FAMILY INFORMATION:

FAN 1983:406040

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 4372975	A	19830208	US 1980-200622	19801027
				FR 1977-18511	A 19770616
				US 1978-916651	A2 19780619
	FR 2403330	A1	19790413	FR 1977-18511	19770616
	FR 2403330	B1	19821105		

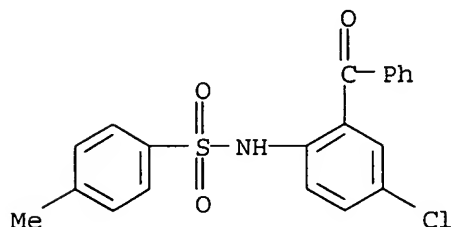
AB Glycinanilide derivs. I (R = H, alkyl, alkenyl, cycloalkylmethyl, etc.; R1 are R2 H, alkyl, hydroxyalkyl, aryl, aralkyl, etc.), effective anticonvulsants with ED50 0.8-4.1 mg/kg p.o. and LD50 550-1700 mg/kg in mice, were prepared. Thus, 0.9 mol II (R = R3 = H) and 190.6 g p-MeC6H4SO2Cl in pyridine was heated 1 h at 100° to give 95% II (R = H, R3 = p-MeC6H4SO2), which (0.8 mol) was treated with Me2SO4 in NaOMe at 25°-70° to give 87% II (R = Me, R3 = p-MeC6H4SO2) (III). Hydrolysis of III in aqueous H2SO4 at 110° gave 85% II (R = Me, R3 = H), and the latter was treated with BrCH2COCl in C6H6 to give 82% II (R = Me, R3 = BrCH2CO) (IV). Addition of 16.48 g IV to excess Me2CHNH2 in Me2CO and heating of the mixture 6 h at 45° followed by treatment with saturated HCl-MeOH gave 13.18 g I (R = Me, R1 = H, R2 = Me2CH).HCl; similarly prepared were 63 addnl. I and their salts.

IT 4873-59-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and N-alkylation of)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 28 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1977:55401 CAPLUS

DN 86:55401

TI 1,4-Benzodiazepines. XI. Synthetic studies on 1,4-benzodiazepines. Preparation of various N(1)-substituted-7-chloro-1,3-H-5-phenyl-1,4-benzodiazepines and their 2-deoxo derivatives

AU Kajfez, Franjo; Oklobdzija, Milan; Mihalic, Mladen; Sunjic, Vitomir; Blazevic, Nikola

CS Fac. Pharm. Biochem., Univ. Zagreb, Zagreb, Yugoslavia

SO Acta Pharmaceutica Jugoslavica (1976), 26(3), 199-207

CODEN: APJUA8; ISSN: 0001-6667

DT Journal

LA English

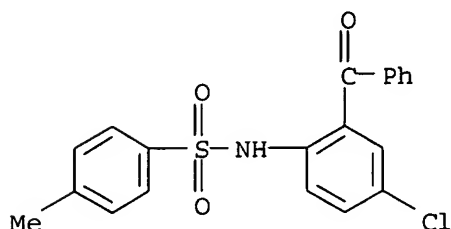
OS CASREACT 86:55401

AB Benzodiazepinones I (R = H, Me, R1 = H, CH2OC6H4Cl-2, CH2OPh; R = H, R1 = CH2OC6H4Cl-4, CH2OC6H4Me-3; R = Me, R1 = CH2OC6H4OMe-2, CH2OMe) were prepared by treating 2,4-BzClC6H3NHCH2CHR1OR with BrCH2COBr, and cyclizing 2,4-BzClC6H3N(COCH2Br)CH2CHR1OR with hexamine. II [R2 = CH2CH(OH)CH2OH, R3 = H, R4 = Cl, NO2, R3 = Me, R4 = Cl; R2 = 2,3-epoxypropyl, R3 = H, R4 = Cl] were prepared by N-alkylating II (R2 = H) with epibromohydrin. III (R5 = 2-Me, 3-Me, 3-Ph, H, 3-CH2OPh) were prepared by brominating 2,4-BzClC6H3NMeCH2CHR5OH and cyclizing the bromo derivs. with hexamine.

IT **4142-76-1**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (alkylation of)

RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)



● Na

L4 ANSWER 29 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1977:55093 CAPLUS

DN 86:55093

TI 1,4-Benzodiazepines. X. Synthetic studies on 1,4-benzodiazepines. Preparation of 2-N-β-hydroxy- and 2-N-β-methoxy-ethylamino-5-chlorobenzophenones

AU Kajfez, Franjo; Lisini, Adriana; Oklobdzija, Milan; Mihalic, Mladen; Sunjic, Vitomir; Blazevic, Nikola

CS Fac. Pharm. Biochem., Univ. Zagreb, Zagreb, Yugoslavia

SO Acta Pharmaceutica Jugoslavica (1976), 26(3), 187-98  
 CODEN: APJUA8; ISSN: 0001-6667

DT Journal

LA English

OS CASREACT 86:55093

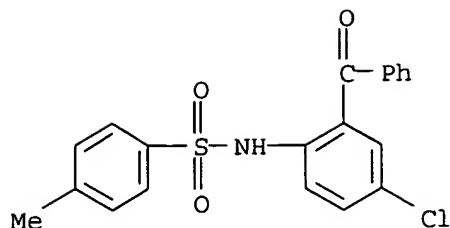
AB 2,4-BzClC6H3NRCH2CHR1OH (I, R = H, R1 = H, CH2Br, CH2OC6H4Me-3, CH2OC6H4Cl-4, CH2OC6H4Cl-2, CH2OC6H4OMe-2, CH2OPh, Me, CH2OH, Ph; R = CH2CH2OH, R1 = H; R = Me, R1 = CH2Br, H, Ph) were prepared by treating 2,4-BzClC6H3NHR with the epoxides II. Methylation of I with MeI in BaO-DMF gave 2,4-BzClC6H3NHCH2CHR1OMe (R1 = H, Ph, CH2Ph, CH2OC6H4Cl-2, CH2OC6H4OMe-2, CH2OC6H4Cl-4, CH2OC6H4Cl-3, CH2OMe). II (R1 = 2,4-BzClC6H3NHCH2), 3,4-diphenyl-6-chloroquinoline, and the benzodiazepine III were obtained as byproducts.

IT **4142-76-1**  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (reaction of, with dibromopropanol)

RN 4142-76-1 CAPLUS

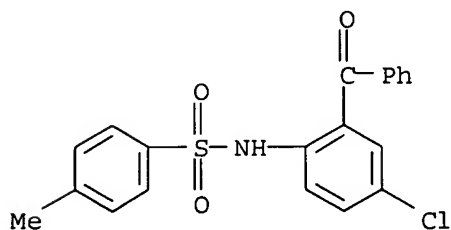
CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)





● Na

L4 ANSWER 30 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1976:31018 CAPLUS  
 DN 84:31018  
 TI Synthesis of 1,4-benzodiazepine-2-one derivatives  
 AU Inukai, Noriyoshi; Nakano, Kohzi; Murakami, Masuo  
 CS Yamanouchi Cent. Res. Lab., Tokyo, Japan  
 SO Yamanouchi Seiyaku Kenkyu Hokoku (1974), 2, 196-205  
 CODEN: YSKHDO; ISSN: 0287-2935  
 DT Journal  
 LA Japanese  
 OS CASREACT 84:31018  
 AB Chlorodihydrophenylbenzodiazepinone (I) was prepared from 2-amino-5-chlorobenzophenone and 3 equivalent of glycine in pyridine in the presence of 6 equivalent of p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H or PhSO<sub>3</sub>H by azeotropic dehydration. Methylation of I gave diazepam (II). The method was also applied to the preparation of 15 3-substituted-7-chloro-1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones, 5,6-dihydro-6-oxodibenzo[b,f][1,5]diazocines III (R = H, Cl) and related compds. 4-Phenylquinazolines and 4-alkyl-1-phenylisoquinolines were prepared  
 IT 4873-59-0  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (cyclization of, with serine)  
 RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 31 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1975:497409 CAPLUS  
 DN 83:97409  
 TI Benzodiazepine derivatives  
 IN Field, George F.; Sternbach, Leo H.  
 PA Hoffmann-La Roche, F., und Co., A.-G., Switz.

SO Patentschrift (Switz.), 5 pp. Division of Swiss 549,586 (See Ger.  
2,062,927, CA 76;59670r).  
CODEN: SWXXAS

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 561703	A	19750515	CH 1973-17455	19701211
				CH 1973-17455	A 19701211

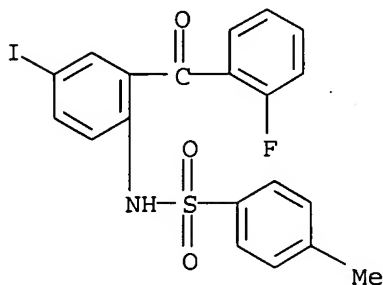
AB The cyclization of 2'-fluoro-5-iodo-2-methylaminobenzophenone with  
H<sub>2</sub>NCH<sub>2</sub>CO<sub>2</sub>Et gave I (R = Me). I (R = H) was similarly prepared The ED<sub>50</sub> for  
I as sedatives, muscle relaxants, and anticonvulsants were given.

IT 34932-79-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(preparation and methylation of)

RN 34932-79-1 CAPLUS

CN Benzenesulfonamide, N-[2-(2-fluorobenzoyl)-4-iodophenyl]-4-methyl- (9CI)  
(CA INDEX NAME)



L4 ANSWER 32 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1975:171112 CAPLUS

DN 82:171112

TI Benzodiazepinones

IN Jaunin, Roland; Hellerbach, Joseph

PA Hoffmann-La Roche, F., und Co., A.-G., Switz.

SO Patentschrift (Switz.), 4 pp. Division of Swiss 538,492 (See Ger.  
2,150,075, CA 77;48523q).

CODEN: SWXXAS

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 559191	A	19750228	CH 1973-5744	19701007
				CH 1973-5744	A 19701007

AB Approx. 25 sedatives and muscle relaxants (I, R<sub>1</sub> = Ph, o-FC<sub>6</sub>H<sub>4</sub>, o-ClC<sub>6</sub>H<sub>4</sub>,  
2-pyridyl; R<sub>2</sub> = Br, Cl, NO<sub>2</sub>; R<sub>3</sub> = e.g. NCCH<sub>2</sub>O, H<sub>2</sub>NCOCH<sub>2</sub>SCH<sub>2</sub>, Me<sub>2</sub>NCOCH<sub>2</sub>O,  
H<sub>2</sub>NCOCH<sub>2</sub>NEt) were prepared by treatment of R<sub>3</sub>CH<sub>2</sub>CH<sub>2</sub>Cl with the corresponding  
2-(tosylamino)benzophenone followed by detosylation and cycloaddn. with  
N<sub>3</sub>CH<sub>2</sub>COCl. Thus, refluxing 2,5-(p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>NH)ClC<sub>6</sub>H<sub>3</sub>COPh in NaOMe and  
MeOH and then heated 48 hr at 120° with Me<sub>2</sub>NCOCH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>Cl,  
followed by detosylation with 33% HBr in PhOH and cycloaddn. of N<sub>3</sub>CH<sub>2</sub>COCl  
gave I (R<sub>1</sub> = Cl, R<sub>2</sub> = Ph, R<sub>3</sub> = Me<sub>2</sub>NCOCH<sub>2</sub>O). I (R<sub>1</sub> = Cl, R<sub>2</sub> = o-FC<sub>6</sub>H<sub>4</sub>, R<sub>3</sub>  
= H<sub>2</sub>NCOCH<sub>2</sub>O), useful as an anticonvulsant at 0.176 mg/kg orally, had LD<sub>50</sub>

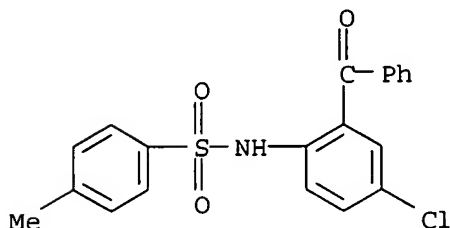
= >1250 mg/kg in mice.

IT 4873-59-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with chloroethoxyacetamide derivs.)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA  
INDEX NAME)



L4 ANSWER 33 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1975:125095 CAPLUS

DN 82:125095

TI 2-Alkylaminobenzophenones

IN Welstead, William J., Jr.; Stauffer, Harold F., Jr.

PA A. H. Robins Co., Inc.

SO U.S., 6 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	---	-----	-----	-----
PI	US 3846477	A	19741105	US 1972-290568	19720920
				US 1972-290568	A 19720920

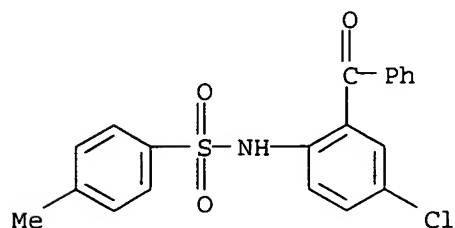
AB 5-Chloro-2-(tosylamido)benzophenone was treated with substituted alkyl halides and NaH to give the aminobenzophenones (I, R = H, CH<sub>2</sub>OH). Similarly prepared were the following II (n and R given): 1, H; 2, Me. N-methylation and N-acylation of the I gave 5,2-Cl [HOCH<sub>2</sub>CH(OH)CH<sub>2</sub>NMe]C<sub>6</sub>H<sub>3</sub>COPh and 5,2-Cl [HO(CH<sub>2</sub>)<sub>2</sub>N(CO<sub>2</sub>Et)]C<sub>6</sub>H<sub>3</sub>COPh which demonstrated tranquilizer activity.

IT 4873-59-0

RL: RCT (Reactant); RACT (Reactant or reagent)  
(reaction of, with alkyl halides)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA  
INDEX NAME)



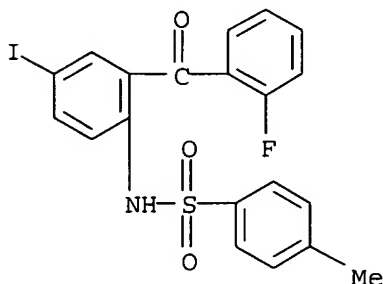
L4 ANSWER 34 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1974:37186 CAPLUS  
 DN 80:37186  
 TI Benzodiazepine derivatives  
 IN Field, George F.; Sternbach, Leo H.  
 PA Hoffmann-La Roche, F., und Co., A.-G.  
 SO Brit., 19 pp.  
 CODEN: BRXXAA  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1332697	A	19731003	GB 1970-60449 GB 1970-60449	19701221 A 19701221

AB The title compds. (I, R = H, Me), useful as sedatives, muscle relaxants, and anticonvulsants, were prepared E.g., refluxing 2-bromo-2'-(2-fluorobenzoyl)-4'-iodo-N-methylacetanilide in DMF containing concentrated aqueous NH<sub>3</sub> for 3 min gave I (R = Me). I-containing compns. for tablets, capsules, and injection solns. were reported.

IT **34932-79-1P**  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 34932-79-1 CAPLUS  
 CN Benzenesulfonamide, N-[2-(2-fluorobenzoyl)-4-iodophenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



L4 ANSWER 35 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1974:36879 CAPLUS  
 DN 80:36879  
 TI 2-Amino-2'-fluoro-5-iodobenzophenone derivatives  
 IN Field, George F.; Sternbach, Leo H.  
 PA Hoffmann-La Roche, F., und Co., A.-G.  
 SO Brit., 4 pp. Division of Brit. 1,332,697.  
 CODEN: BRXXAA  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 1332698	A	19731003	GB 1972-12290 GB 1972-12290	19701221 A 19701221

AB 2-Amino-2'-fluorobenzophenone with 2 moles ICl<sub>3</sub> in CHCl<sub>3</sub> 1 hr at room temperature gave the benzophenone (I, R = R<sub>1</sub> = H) which on tosylation, methylation, and acid hydrolysis gave I (R = Me, R<sub>1</sub> = H). Acylation of

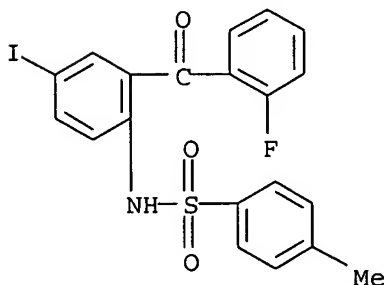
the appropriate benzophenones with BrCH<sub>2</sub>COBr gave I (R = H, Me, R<sub>1</sub> = BrCH<sub>2</sub>CO).

IT 34932-79-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 34932-79-1 CAPLUS

CN Benzenesulfonamide, N-[2-(2-fluorobenzoyl)-4-iodophenyl]-4-methyl- (9CI)  
(CA INDEX NAME)



L4 ANSWER 36 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1973:542798 CAPLUS

DN 79:142798

TI Synthesis and antiinflammatory activity of 1-alkyl-4-aryl-2(1H)-quinazolinones and quinazolinethiones

AU Coombs, R. V.; Danna, R. P.; Denzer, M.; Hardtmann, G. E.; Huegi, B.; Koletar, G.; Koletar, J.; Ott, H.; Jukniewicz, E.; et al.

CS Med. Chem. Dep., Sandoz-Wander, Inc., East Hanover, NJ, USA

SO Journal of Medicinal Chemistry (1973), 16(11), 1237-45

CODEN: JMCMAR; ISSN: 0022-2623

DT Journal

LA English

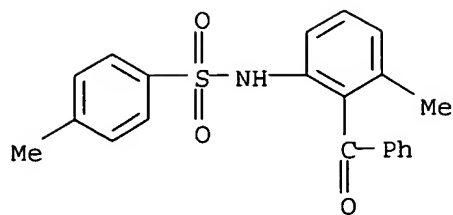
AB Addnl. data considered in abstracting and indexing are available from a source cited in the original document. A number of quinazolinones and quinazolinethiones compared favorably in antiinflammatory activity with indomethacin and phenylbutazone. The most potent compound in the series, 1-isopropyl-7-methyl-4-phenyl-2(1H)-quinazolinone (I) [22760-18-5], showed the following ED<sub>50</sub> values: carrageenan-induced paw edema inhibition in normal and adrenalectomized rats, 5 and 6 mg/kg orally, resp.; bradykinin-induced bronchoconstriction reversal in guinea pigs, 0.008 mg/kg, i.v.; adjuvant arthritis inhibition in rats, 1 mg/kg orally. The quinazolinones were prepared from the appropriately substituted anthranilic acids or anilines via the corresponding o-aminobenzophenones.

IT 50817-59-9

RL: RCT (Reactant); RACT (Reactant or reagent)  
(detosylation of)

RN 50817-59-9 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-3-methylphenyl)-4-methyl- (9CI) (CA INDEX NAME)

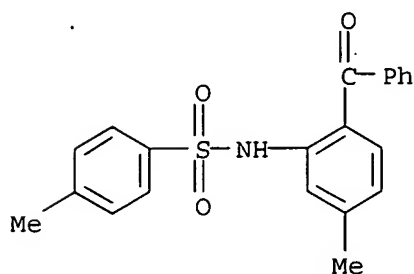


IT 50817-55-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 50817-55-5 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-5-methylphenyl)-4-methyl- (9CI) (CA  
INDEX NAME)



L4 ANSWER 37 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1973:72231 CAPLUS

DN 78:72231

TI 1,4-Benzodiazepin-2-one derivatives

IN Field, George Francis; Sternbach, Leo Henryk

PA Hoffmann-La Roche, F., und Co., A.-G.

SO S. African, 75 pp.

CODEN: SFXXAB

DT Patent

LA English

FAN.CNT 1

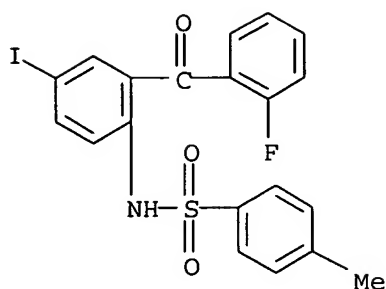
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	ZA 7008348		19720612	ZA 1970-8348	19701210
AB	5-(o-Fluorophenyl)-1,3-dihydro-7-iodo-2H-1,4-benzodiazepin-2-one (I; R = H) and its Me derivative I (R = Me) were prepared by 12 different methods, utilizing ring closure, ring expansion, dehydration, dehydrohalogenation, decarboxylation, deoxidization, Sandmeyer, and methylation reactions. Compds. I (R = H, Me) were useful as sedatives, muscle relaxants, and anticonvulsants.				

IT 34932-79-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 34932-79-1 CAPLUS

CN Benzenesulfonamide, N-[2-(2-fluorobenzoyl)-4-iodophenyl]-4-methyl- (9CI)  
(CA INDEX NAME)



L4 ANSWER 38 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1972:99721 CAPLUS

DN 76:99721

TI 5-Phenyl-2,3-dihydro-1H-1,4-benzodiazepines

IN Kajfez, Franjo; Blazevic, Nikola

PA CRC Compagnia di Ricerca Chimica S.A.

SO Ger. Offen., 12 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 2129683	A	19720113	DE 1971-2129683	19710615
	CH 549030	A	19740515	CH 1970-9124	A 19700616
				CH 1970-9124	19700616
	ES 392229	A1	19731116	ES 1971-392229	A 19710614
				CH 1970-9124	A 19700616
	NO 131597	B	19750317	NO 1971-2220	19710614
				CH 1970-9124	A 19700616
	AT 310759	B	19731010	AT 1971-5153	19710615
				CH 1970-9124	A 19700616
	CA 946386	A1	19740430	CA 1971-115626	19710615
				CH 1970-9124	A 19700616
	SE 414306	B	19800721	SE 1971-7734	19710615
	SE 414306	C	19801106		
				CH 1970-9124	A 19700616
	NL 7108245	A	19711220	NL 1971-8245	19710616
	NL 155544	B	19780116		
				CH 1970-9124	A 19700616
	ZA 7103923	A	19720126	ZA 1971-3923	19710616
				CH 1970-9124	A 19700616
	FR 2099752	A5	19720317	FR 1971-21851	19710616
				CH 1970-9124	A 19700616
	GB 1317339	A	19730516	GB 1971-28229	19710616
				CH 1970-9124	A 19700616
	JP 52018198	B4	19770520	JP 1971-43220	19710616
				CH 1970-9124	A 19700616

AB Title compds. (I, R = H, Me, Et, or cyclopropyl; R1 = Cl, NO2, or CF3) were prepared by cyclization of o-[(β-bromoethyl)amino]benzophenones (II) with NH3 or hexamethylenetetramine (III) or of the II-III complex. Thus, 5,2-Cl(H2N)C6H3Bz reacted with p-MeC6H4-SO2Cl to give 2-(p-tosylamino)-5-chlorobenzophenone, which reacted with MeONa to give the Na salt. This reacted with BrCH2CH2Br to give 2-[N-p-tosyl(2-bromoethyl)amino]-5-chlorobenzophenone, which was treated with 75% H2SO4 to give 5,2-Cl(BrCH2CH2NH)C6H3Bz. This reacted

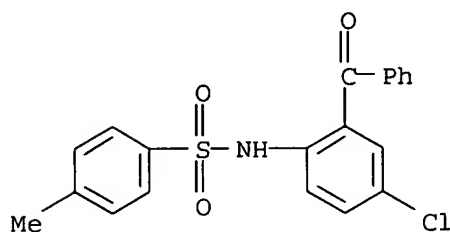
with MeI to give 5,2-Cl(BrCH<sub>2</sub>CH<sub>2</sub>NMe)C<sub>6</sub>H<sub>3</sub>Bz, which was refluxed 10 hr with III in EtOH to give I (R = Me, R<sub>1</sub> = Cl) (IV, medazepam). Similarly prepared were I (R and R<sub>1</sub> given): Et, Cl; cyclopropyl, Cl; Me, NO<sub>2</sub>; H, CF<sub>3</sub>; H, Cl. IV.HCl and IV.HBr were also prepared

IT 4142-76-1P 4873-59-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 4142-76-1 CAPLUS

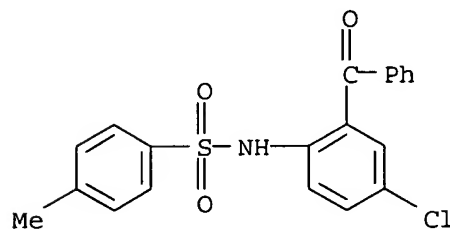
CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt  
(9CI) (CA INDEX NAME)



● Na

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 39 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1972:59670 CAPLUS

DN 76:59670

TI Sedative and muscle-relaxing 2H-1,4-benzodiazepin-2-one derivatives

IN Field, George F.; Sternbach, Leo H.

PA Hoffmann-La Roche, F., und Co., A.-G.

SO Ger. Offen., 63 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI	DE 2062927	A	19711216	DE 1970-2062927	19701221
				US 1970-42533	A 19700601
	CH 549586	A	19740531	CH 1970-18381	19701211
				US 1970-42533	A 19700601
	CH 561684	A	19750515	CH 1973-17454	19701211



NL 7018577	A	19711203	US 1970-42533	A	19700601
			NL 1970-18577		19701221
FR 2093923	A5	19720204	US 1970-42533	A	19700601
FR 2093923	B1	19740524	FR 1970-46020		19701221
			US 1970-42533	A	19700601
ES 386685	A1	19730316	ES 1970-386685		19701221
			US 1970-42533	A	19700601
GB 1332699	A	19731003	GB 1972-12291		19701221
			US 1970-42533	A	19700601
IL 35885	A1	19740516	IL 1970-35885		19701221
			US 1970-42533	A	19700601
CA 954513	A1	19740910	CA 1970-101133		19701221
				A	
NO 130681	B	19741014	NO 1970-4888		19701221
			US 1970-42533	A	19700601
SE 385298	B	19760621	SE 1970-17330		19701221
			US 1970-42533	A	19700601
DK 136647	B	19771107	DK 1970-6493		19701221
			US 1970-42533	A	19700601
CA 979012	A2	19751202	CA 1972-152990		19721002
			US 1970-42533	A	19700601
			CA 1970-101133	A3	19701221
SE 7513327	A	19751126	SE 1975-13327		19751126
			US 1970-42533	A	19700601
DK 7600866	A	19760301	DK 1976-866		19760301
			US 1970-42533	A	19700601
			DK 1970-6493	A	19701221

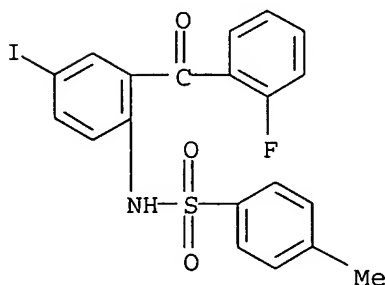
AB 5-(2-Fluorophenyl)-1,3-dihydro-7-iodo-2H-1,4-benzodiazepin-2-one (I) and its 1-methyl derivative were prepared by various methods. The sedative and muscle relaxing paralyzing doses in mice were 1 and 3.5 mg/kg, resp., in the sloping plane test. In cats the min. effective dose was 0.05 and 0.1 mg/kg resp. In mice in the aggression test the 100% inhibiting dose was 1 and 2 mg/kg, resp. As an anticonvulsant in the elec. shock test in mice the ED50 was 1.6 and 1.3 mg/kg, resp. I was prepared by treating 4,2-I(o-FC6H4CO)C6H3NHCOCH2Br (II) 5 hr with NH3 (1), and then boiling 2 hr in pyridine. II was obtained by iodinating o-FC6H4COC6H4NH2-o and then treating it with BrCH2COBr.

IT 34932-79-1P

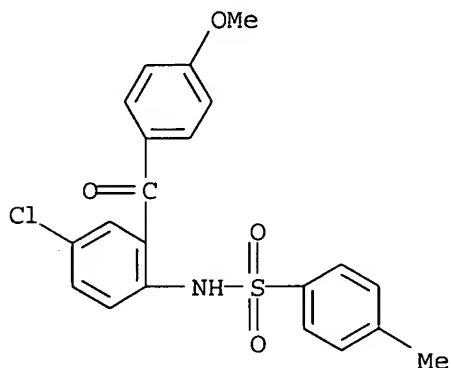
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 34932-79-1 CAPLUS

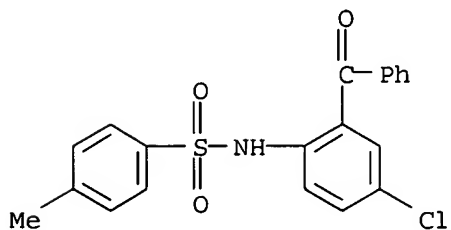
CN Benzenesulfonamide, N-[2-(2-fluorobenzoyl)-4-iodophenyl]-4-methyl- (9CI)  
(CA INDEX NAME)



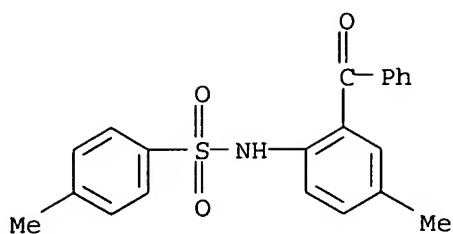
AN 1970:477127 CAPLUS  
 DN 73:77127  
 TI Synthesis of heterocyclic compounds. CCCLXVI. Syntheses ofazole derivatives. II. Syntheses of N-(1-or 2-substituted)indazolones via diazotization  
 AU Kametani, Tetsuji; Sota, Kaoru; Shio, Masahisa  
 CS Pharm. Inst., Tohoku Univ., Sendai, Japan  
 SO Journal of Heterocyclic Chemistry (1970), 7(4), 815-20  
 CODEN: JHTCAD; ISSN: 0022-152X  
 DT Journal  
 LA English  
 AB Syntheses of 2,5-disubstituted-indazolones and 3-hydroxy-1-substituted-1H-indazoles were achieved by diazotization of 2-benzoylanilines and N-benzoylhydrazines resp.  
 IT 2237-07-2P 4873-59-0P 28561-54-8P  
 28561-55-9P 28561-57-1P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 2237-07-2 CAPLUS  
 CN p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro- (7CI, 8CI) (CA INDEX NAME)



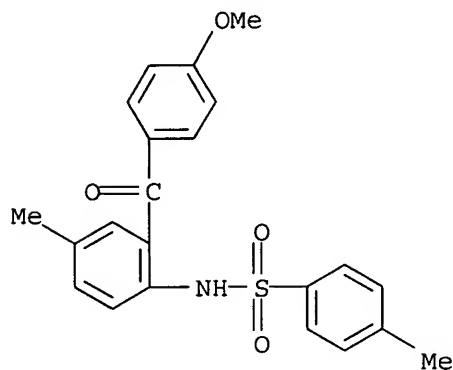
RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



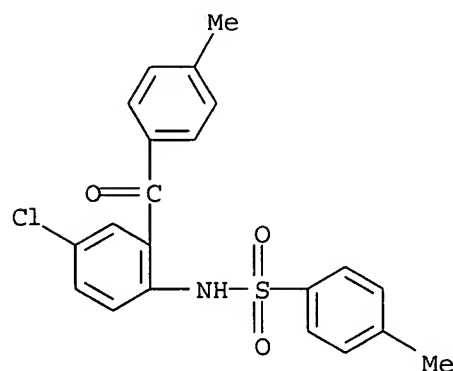
RN 28561-54-8 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-methylphenyl)-4-methyl- (9CI) (CA INDEX NAME)



RN 28561-55-9 CAPLUS  
 CN p-Toluenesulfonyl-p-toluidide, 2'-p-anisoyl- (8CI) (CA INDEX NAME)



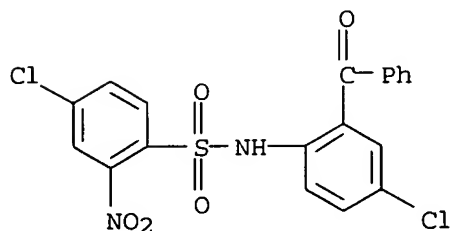
RN 28561-57-1 CAPLUS  
 CN p-Toluenesulfonanilide, 4'-chloro-2'-p-toluoyl- (8CI) (CA INDEX NAME)



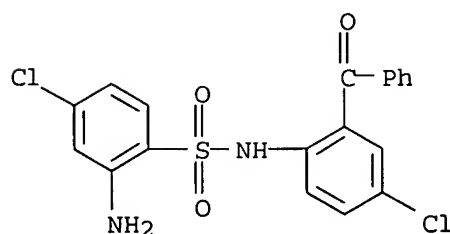
L4 ANSWER 41 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1970:456144 CAPLUS  
 DN 73:56144  
 TI Antidiabetic dibenzo[c,g][1,2,6]thiadiazocines  
 PA Upjohn Co.  
 SO Brit., 10 pp.  
 CODEN: BRXXAA  
 DT Patent  
 LA English  
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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PI GB 1193917 19700603 US 19670516  
 DE 1770289 DE  
 FR 1584277 FR  
 US 3534062 19700000 US  
 AB Title compds. (I), useful against anaphylaxis and as antidiabetic agents, as well as starting materials in the manufacture of bleaching agents, herbicides and disinfectants, were prepared Thus, 25 g 5,2-Cl(H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>Bz and 23.9 o-O<sub>2</sub>NC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl in 50 ml pyridine was refluxed .apprx.1 hr to give 35.2 g 2'-benzoyl-4-chloro-2-nitrobenzenesulfonanilide, which was reduced (Fe powder) then treated with p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>3</sub>H to give I (R = R<sub>1</sub> = R<sub>2</sub> = H, R<sub>3</sub> = 2-Cl). Other I (.apprx.3) were prepared, and many other I were cited.  
 IT 20434-83-7P 20434-84-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 20434-83-7 CAPLUS  
 CN Benzenesulfonanilide, 2'-benzoyl-4,4'-dichloro-2-nitro- (8CI) (CA INDEX NAME)



RN 20434-84-8 CAPLUS  
 CN Benzenesulfonanilide, 2-amino-2'-benzoyl-4,4'-dichloro- (8CI) (CA INDEX NAME)



L4 ANSWER 42 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1969:461183 CAPLUS  
 DN 71:61183  
 TI Azabenzocycloheptenones. IX. New synthesis and some reactions of the 5,6-dihydrodibenz[b,e]azepin-11-one system  
 AU MacDonald, Ian; Proctor, George R.  
 CS Univ. Strathclyde, Glasgow, UK  
 SO Journal of the Chemical Society [Section] C: Organic (1969), (10), 1321-5  
 CODEN: JSOOAX; ISSN: 0022-4952  
 DT Journal  
 LA English  
 AB Cyclization of N-(m-methoxybenzyl)-N-tolylsulfonyl-anthraniloyl chloride with AlCl<sub>3</sub> at -20° yielded 70% 5,6-dihydro-8-methoxy-5-

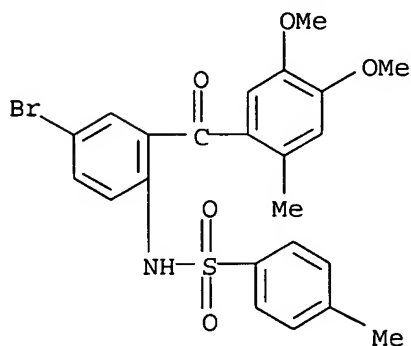
tosyldibenz[b,e]azepin-11-one (I) (R = p-Me-C<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>), which could be detosylated with polyphosphoric acid. Some reactions of the dihydrodibenzazepinone system are described.

IT 23258-15-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 23258-15-3 CAPLUS

CN p-Toluenesulfonanilide, 4'-bromo-2'-(6-methylveratroyl)- (8CI) (CA INDEX NAME)



L4 ANSWER 43 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1969:37850 CAPLUS

DN 70:37850

TI 5-Aryl-3H-1,4-benzodiazepin-2(1H)-ones

IN Reeder, Earl; Sternbach, Leo H.

PA Hoffmann-La Roche Inc.

SO U.S., 18 pp. Continuation-in-part of U.S. 3051701 and Division of U.S. 3136815

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	US 3402171	A	19680917	US 1963-326337	19631127
				CH 1960-13489	A 19601202
				CH 1960-13492	A 19601202
				CH 1960-13494	A 19601202
	US 3371085	A	19680227	US 1961-154921	19611120
				CH 1960-13489	A 19601202
				CH 1960-13490	A 19601202
				CH 1960-13491	A 19601202
				CH 1960-13492	A 19601202
				CH 1960-13493	A 19601202
				CH 1960-13494	A 19601202
				CH 1960-13495	A 19601202
				CS 1960-7357	A 19611020

PATENT FAMILY INFORMATION:

FAN 1969:450004

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	US 3442946	A	19690506	US 1963-331904	19631219
				CS 1960-7357	A 19611029
	US 3371085	A	19680227	US 1961-154921	19611120

CH 1960-13489	A	19601202
CH 1960-13490	A	19601202
CH 1960-13491	A	19601202
CH 1960-13492	A	19601202
CH 1960-13493	A	19601202
CH 1960-13494	A	19601202
CH 1960-13495	A	19601202
CS 1960-7357	A	19611020

FAN 1970:445551

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

PI

US 3515755

A

19700602

US 1968-737861

19680618

CH 1960-13489

A

19601202

CH 1960-13490

A

19601202

CH 1960-13491

A

19601202

CH 1960-13492

A

19601202

CH 1960-13493

A

19601202

CH 1960-13494

A

19601202

CH 1960-13495

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19601202

US 3371085

A

19680227

US 1961-154921

19611120

CH 1960-13489

A

19601202

CH 1960-13490

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CH 1960-13491

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CH 1960-13492

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CH 1960-13493

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CH 1960-13494

A

19601202

CH 1960-13495

A

19601202

CS 1960-7357

A

19611020

US 3412086

A

19681119

US 1964-406906

19641027

CH 1960-13490

A

19601202

CH 1960-13492

A

19601202

CH 1960-13493

A

19601202

CH 1960-13494

A

19601202

CH 1960-13495

A

19601202

US 3427304

A

19690211

US 1967-625638

19670324

CH 1960-13489

A

19601202

CH 1960-13490

A

19601202

CH 1960-13491

A

19601202

CH 1960-13492

A

19601202

CH 1960-13493

A

19601202

CH 1960-13494

A

19601202

CH 1960-13495

A

19601202

AB

Continuation-in-part of U.S. 3,051,701 and division of U.S. 3,136,815 (C A 57: 16641c and C A 61: 9515f). I (X = amino) are treated with amino acids to give benzodiazepinones (II), which are also prepared by cyclization of I (X = NHCOCH<sub>2</sub>Y) (Y = amino group). Thus, 6.5 g. 2-methylamino-5-chlorobenzophenone is heated with 10 g. Et glycinate-HCl in pyridine to give 7-chloro-1-methyl-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one, m. 125-6°. Similarly prepared are the following II (R, R<sub>1</sub>, Ar, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, and m.p. given): H, H, Ph, H, Me, H, 255-6°; H, H, o-ClC<sub>6</sub>H<sub>4</sub>, Me, H, H, 223-4°; H, iso-Pr, Ph, Cl, H, H, 226-7°; H, iso-Bu, Ph, Cl, H, H, 213-14°; H, H, Ph, F, H, H, 197-8°; H, MeOCH<sub>2</sub>, Ph, Cl, H, H, 166-7°; and H, H, m-tolyl, Cl, H, H, 198-9°. 2-Bromoacetamido-3-methylbenzophenone (18.2 g.) is treated with liquid NH<sub>3</sub> and the product heated in pyridine to give 9-methyl-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one, m. 184-5°. Similarly prepared are the following II (R, R<sub>1</sub>, Ar, R<sub>2</sub>, R<sub>3</sub>, R<sub>4</sub>, and m.p. given): H, H, o-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 180-1°; H, H, p-FC<sub>6</sub>H<sub>4</sub>, Cl, H, H, 223-4°; H, H, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, H, 212-13°; H, H, o-ClC<sub>6</sub>H<sub>4</sub> Cl, H, H, 199-201°; H, H, Ph, Br, H, H, 219-20.5°; H, H, Ph, Me,

H, H, 209-10°; H, H, Ph, F, H, H, 197-8°; H, H, p-ClC6H4, Cl, H, H, 247-8°; Me, H, Ph, Cl, H, H, 125-6°; and H, H, o-FC6H4, Br, H, H, 186-7°. Also prepared, according to known methods are the following I (Ar, X, R, R1, R2, and m.p. given): Ph, NH2, Cl, H, H, 56.5-58°; o-ClC6H4, BrCH2CONH, H, H, Cl, 136°; Ph, EtNH, H, H, Cl, 56-7°; o-tolyl, BrCH2CONH, H, H, Cl, 137-8°; o-ClC6H4, p-MeC6H4SO2NH, H, H, Cl, 136-8°; o-ClC6H4, p-MeC6H4SO2NMe, H, H, Cl, 153-5°; o-ClC6H4, p-MeC6H4SO2NMe, H, H, Cl, 153-5°; o-ClC6H4, MeNH, H, H, Cl, 88-90°; o-FC6H4, p-MeC6H4SO2NH, H, H, Cl, 119-20°; o-FC6H4, p-MeC6H4SO2NMe, H, H, Cl, 151-2°; o-FC6H4, MeNH, H, H, Cl, 119-20°; Ph, NH2, Cl, H, Cl, 93-4°; Ph, NH2, Me, H, Cl, -; Ph, NH2, Me, H, H, 51-2°; Ph, BrCH2CONH, Me, H, H, 117-18°; OH, N:CHNMe2, H, Me, H, 196-8°; Ph, NH2, H, Me, H, 68-70°; o-FC6H4, NH2, H, H, Me, 68.5-5°; o-ClC6H4, NH2, H, H, Me, 106-7°; o-FC6H4, p-MeC6H4SO2NH, H, H, H, 129.5-30°; o-FC6H4, BrCH2CONH, H, H, H, 117-18.5°; p-FC6H4, NH2, H, H, Cl, 108-9°; p-FC6H4, p-MeC6H4SO2NH, H, H, Br, 114-15°; o-FC6H4, p-MeC6H4SO2NMe, H, H, Br, 154-5°; o-FC6H4, MeNH, H, H, Br, 112-13°; o-O2NC6H4, Cl, H, H, H, 76-9°; o-ClC6H4, NH2, H, H, H, 58-60°; o-ClC6H4, BrCH2CONH, H, H, H, 119-21°; o-ClC6H4, H2NCH2CONH, H, H, H, 162-4°; o-FC6H4, p-MeC6H4SO2NH, H, H, Cl, 132-3°; o-ClC6H4, ClCH2CONH, H, H, Cl, 157-9°; Ph, BrCH2CONH, H, H, Br, 117.5-18.5°; Ph, BrCH2CONH, H, H, Me, 116-17°; m-tolyl, NH2, H, H, Cl, 90-1°; Ph, BrCH2CONH, H, H, F, 103-5°; p-ClC6H4, BrCH2CONH, H, H, Cl, 127-8°; p-ClC6H4, H2NCH2CONH, H, H, Cl, 139-40°; Ph, ClCH2CONMe, H, H, Cl, 123-4°; Ph, ICH2CONMe, H, H, Cl, 95°; o-FC6H4, BrCH2CONH, H, H, Br, 139-40°; o-FC6H4, H2NCH2CONH, H, H, Br, 110-11°; o-FC6H4, ClCH2CONH, H, H, Cl, 141-2°; Ph, BrCH2CONH, H, H, H, 94-5°; and Ph, BrCH2CONH, Cl, H, Cl, 162-3°. Also prepared were the following II (R, R1, Ar, R2, R3, R4, and m.p. given): H, H, Ph, Cl, H, H, 216-17°; Me, H, Ph, Cl, H, H, 125-6°; Me, H, o-FC6H4, H, H, H, 113-14°; iso-Pr, H, o-ClC6H4, Cl, H, H, 148-50°; allyl, H, o-ClC6H4, Cl, H, H, 128-30°; Me, H, Ph, F, H, H, 109-10°; Me, H, p-ClC6H4, Cl, H, H, 154-6°; and NCCH2CH2, H, Ph, Cl, H, H, 117-18°. Also prepared were the following compds. (m.p. given): 7-chloro-2-(N-methylacetamido)-5-phenyl-3H-1,4-benzodiazepin 4-oxide, 186-7°; 7-chloro-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one 4-oxide, 235-6°; and 7-bromo-4,5-dihydro-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one, 191-2°.

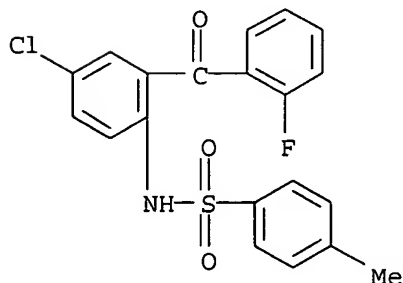
IT 747-99-9P 805-61-8P 909-51-3P

4142-76-1P 4873-59-0P 5649-39-8P

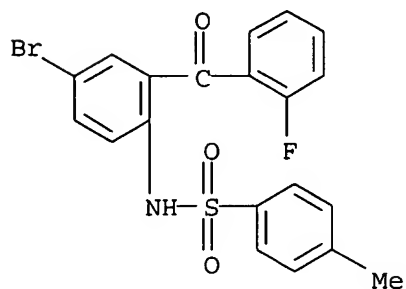
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 747-99-9 CAPLUS

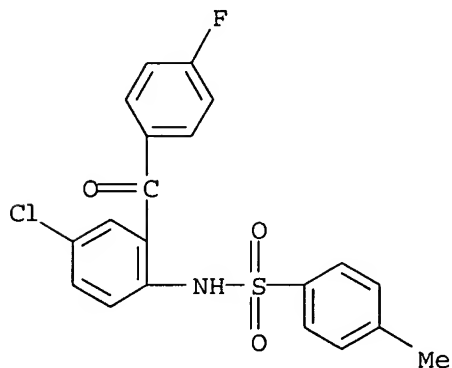
CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)  
(CA INDEX NAME)



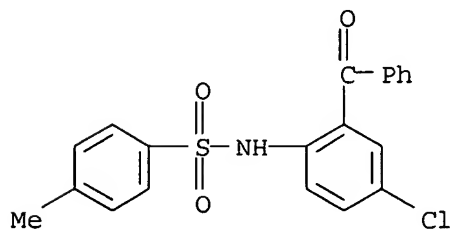
RN 805-61-8 CAPLUS  
 CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)



RN 909-51-3 CAPLUS  
 CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)



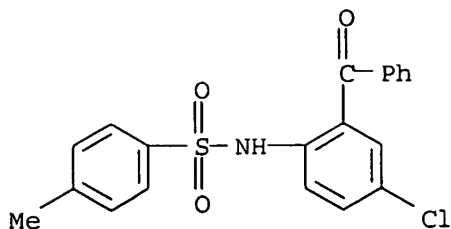
RN 4142-76-1 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)



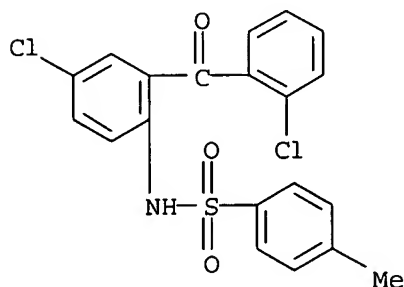
● Na

RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)





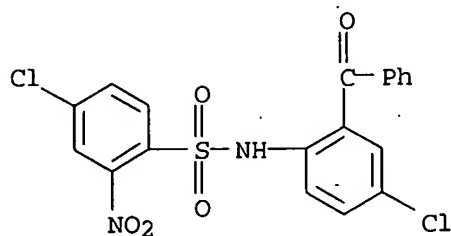
RN 5649-39-8 CAPLUS  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



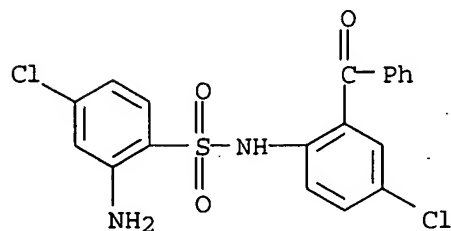
L4 ANSWER 44 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1968:477247 CAPLUS  
 DN 69:77247  
 TI Preparation of 2H-1,2,3-benzothiadiazine 1,1-dioxides, 11H-11,11a-dihydrobenzimidazo[1,2-b][1,2]benzisothiazole 5,5-dioxides, 6H-dibenzo[c,g][1,2,5]thiadiazocine 5,5-dioxides and 5H-dibenzo[c,g][1,2,6]thiadiazocine 6,6-dioxides  
 AU Wright, John B.  
 CS Upjohn Co., Kalazoo, MI, USA  
 SO Journal of Heterocyclic Chemistry (1968), 5(4), 453-9  
 CODEN: JHTCAD; ISSN: 0022-152X  
 DT Journal  
 LA English  
 OS CASREACT 69:77247  
 AB o-Benzoylbenzenesulfonyl chlorides (I) were prepared conveniently from aminobenzophenones by diazotization followed by reaction with SO<sub>2</sub> in the presence of Cu<sup>+</sup>, according to the general method of Meerwein. Reaction of I with hydrazine led to 4-phenyl-2H-1,2,3-benzothiadiazine 1,1-dioxides, which could be methylated and acetylated readily in the 2-position. The 2-methyl derivative was prepared by reaction of I with methylhydrazine. Catalytic hydrogenation of 6-chloro-4-phenyl-2H-1,2,3-benzothiadiazine 1,1-dioxide gave the 3,4-dihydro derivative. Reaction of I with o-phenylenediamine followed by cyclodehydration gave 11H-11,11a-dihydrobenzimidazo[1,2-b]-(1,2)benzoisothiazole 5,5-dioxides (II). One of the II derivs. in NaOH solution in the presence of MeI or benzyl chloride was transformed into 6-methyl- and 6-benzyl-5H-dibenzo[c,g][1,2,6]thiadiazocine 5,5-dioxide (III), resp. 5H-Dibenzo[c,g][1,2,6]thiadiazocine 6,6-dioxides were prepared also by cyclodehydration of 2-amino-2'-benzoylbenzenesulfonanilides.  
 IT 20434-83-7P 20434-84-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

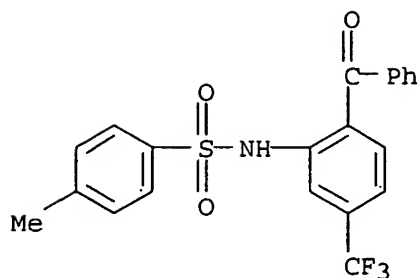
RN 20434-83-7 CAPLUS  
CN Benzenesulfonanilide, 2'-benzoyl-4,4'-dichloro-2-nitro- (8CI) (CA INDEX NAME)



RN 20434-84-8 CAPLUS  
CN Benzenesulfonanilide, 2-amino-2'-benzoyl-4,4'-dichloro- (8CI) (CA INDEX NAME)

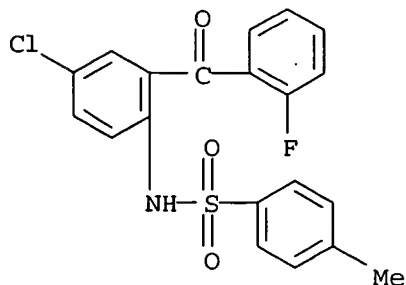


L4 ANSWER 45 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
AN 1968:419132 CAPLUS  
DN 69:19132  
TI 1H-2,1,5-Benzothiadiazocines. II  
AU Hromatka, O.; Knollmueller, M.; Binder, D.; Desehler, H.; Schollnahammer, G.  
CS Tech. Hochsch. Wein, Vienna, Austria  
SO Monatshefte fuer Chemie (1968), 99(3), 1111-16  
CODEN: MOCHAP  
DT Journal  
LA German  
AB The synthesis of trifluoromethyl-substituted 2-vinylsulfonylaminobenzophenones and their cyclization to 1H-2,1,5-benzothiadiazocines, e.g. I, are reported.  
IT 18509-90-5P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 18509-90-5 CAPLUS  
CN p-Toluenesulfono-m-toluidide, 6'-benzoyl- $\alpha'$ , $\alpha'$ , $\alpha'$ -trifluoro- (8CI) (CA INDEX NAME)

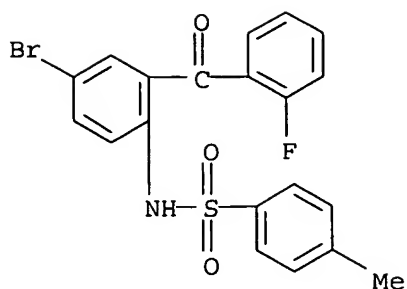


L4 ANSWER 46 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1968:95869 CAPLUS  
 DN 68:95869  
 TI 2-N-Substituted aminobenzophenones  
 IN Reeder, Earl; Sternbach, Leo H.  
 PA Hoffmann-La Roche Inc.  
 SO U.S., 26 pp. Continuation-in-part of U.S. 3051701  
 CODEN: USXXAM  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3344183		19670926	CH	19601202
AB	The disclosure is the same but the claims are different.				
IT	747-99-9P 805-61-8P 909-51-3P 4142-76-1P 4873-59-0P 5649-39-8P				
RL	SPN (Synthetic preparation); PREP (Preparation) (preparation of)				
RN	747-99-9 CAPLUS				
CN	Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)				

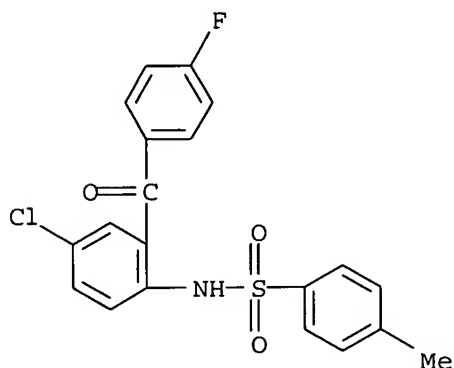


RN 805-61-8 CAPLUS  
 CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)



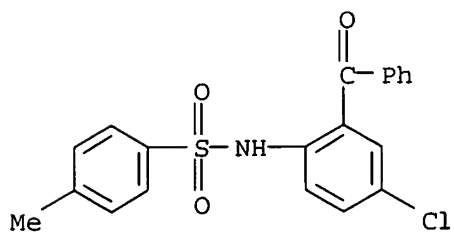
RN 909-51-3 CAPLUS

CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)



RN 4142-76-1 CAPLUS

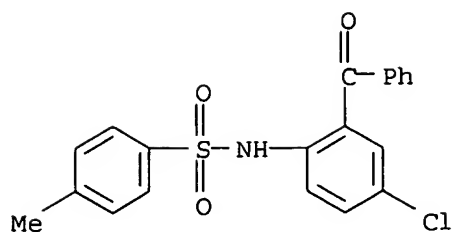
CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)



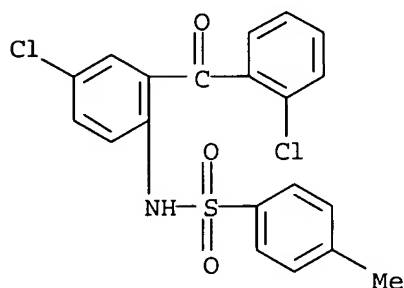
● Na

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



RN 5649-39-8 CAPLUS  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



L4 ANSWER 47 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1968:69054 CAPLUS  
 DN 68:69054  
 TI 1,4-Benzodiazepine derivatives  
 IN Reeder, Earl; Sternbach, Leo H.; Keller, Oscar; Steiger, Norbert; Stempel, Arthur  
 PA Hoffmann-La Roche, F., und Co., A.-G.  
 SO Patentschrift (Switz.), 16 pp.  
 CODEN: SWXXAS  
 DT Patent  
 LA German  
 FAN. CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CH 414652		19661230		
				US	19591210
				US	19600426
	DE 1290143			DE	
	US 3427304		19690000	US	

AB In addition to a description of the title compds. mentioned in the earlier patents (loc. cit.) the preparation of some new ones and of the starting materials by conventional methods are given. 2-Chloroacetamido-5-chlorobenzophenone, m. 117-18° (C6H6-petroleum ether) is prepared from 2-amino-5-chlorobenzophenone (I) and ClCH2COCl; 2-(α-bromopropionamido)-5-chlorobenzophenone, m. 114-15°, from I and MeCHBrCOBr; 2-aminoacetamido-5-nitrobenzophenone (II), m. 166-7° (CHCl3-Et2O) (decomposition), from 2-bromoacetamido-5-nitrobenzophenone (III) and NH3 in MeOH. II heated 5 min. at 165-87° gives 7-nitro-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one (IIIa). 2-Amino-5-nitrobenzophenone and BrCH2COBr (IV) give III, m. 155-6°. 2-Amino-4-nitrobenzophenone and IV gives 2-bromoacetamido-4-nitrobenzophenone, m. 120-1°, which with NH3-MeOH gives

8-nitro-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one, m. 252° (decomposition) (EtOH). I and p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl gives the Na salt (V) of 2-(p-toluenesulfonamido)-5-chlorobenzophenone, m. 298-9° (HCONMe<sub>2</sub>-CHCl<sub>3</sub>), which, refluxed 1.5 hrs. in MeCN with allyl bromide, gives 2-allylamino-5-chlorobenzophenone (VI), m. 76-7°; VI treated with IV gives 2-(α-bromo-N-allylacetamido)-5-chlorobenzophenone, m. 81-2° (C<sub>6</sub>H<sub>14</sub>); treated with NH<sub>3</sub>-MeOH it gives 1-allyl-7-chloro-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one, m. 105-6° (C<sub>6</sub>H<sub>14</sub>). 2-Methylamino-5-chlorobenzophenone and IV gives 2-(α-bromo-N-methylacetamido)-5-chlorobenzophenone, m. 95-6° (Et<sub>2</sub>O-petroleum ether), which with NH<sub>3</sub>-MeOH gives 7-chloro-1-methyl-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one, m. 125-6° (Et<sub>2</sub>O). V (61.2 g.), 30 ml. PhCH<sub>2</sub>Cl, 0.5 g. NaI and 250 ml. MeCN refluxed 5 hrs. gives 2-(N-benzyl-p-toluenesulfonamido)-5-chlorobenzophenone, m. 116-18°, which treated at 145° with 70% H<sub>2</sub>SO<sub>4</sub> gives 2-benzylamino-5-chlorobenzophenone, m. 86-7°; this treated with IV gives 2-(α-bromo-N-benzylacetamido)-5-chlorobenzophenone, m. 159-60°. 2-Aminoacetamido-2',5-bis(trifluoromethyl)benzophenone is heated 0.5 hr. at 210° to give 2',5-bis(trifluoromethyl)-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one, m. 226-7° (C<sub>6</sub>H<sub>6</sub>-C<sub>6</sub>H<sub>14</sub>). 2-Amino-6-chlorobenzophenone and IV gives 2-bromoacetamido-6-chlorobenzophenone, m. 97-8° (EtOAc-C<sub>6</sub>H<sub>14</sub>). 2-Bromoacetamido-3-chlorobenzophenone m. 129-30°. Condensation of aceto-m-anisidine with BzCl in CS<sub>2</sub> in the presence of AlCl<sub>3</sub> gives 2-acetamido-4-methoxybenzophenone, m. 118-19.5° (dilute EtOH), which, refluxed 3 hrs. with alc. HCl and then condensed with IV, gives 2-bromoacetamido-4-methoxybenzophenone, m. 106-7.5° (C<sub>6</sub>H<sub>6</sub>-C<sub>6</sub>H<sub>14</sub>). Bromination of 3-acetamido-4-methoxybenzophenone gives 2-acetamido-5-bromo-4-methoxybenzophenone, m. 144-6° (dilute EtOH), which when hydrolyzed with boiling alc. HCl gives 2-amino-5-bromo-4-methoxybenzophenone, m. 150-1.5° (C<sub>6</sub>H<sub>6</sub>-C<sub>6</sub>H<sub>14</sub>); it is condensed with IV to give 2-bromoacetamido-5-bromo-4-methoxybenzophenone, m. 144-5°. Addition of a Grignard reagent from 10.3 g. o-bromoanisole and 1.3 g. Mg in 100 ml. Et<sub>2</sub>O to 9.8 g. 6-chloro-2-methyl-3,1,4H-benzoxazin-4-one (VII) in 150 ml. icecold C<sub>6</sub>H<sub>6</sub> and 50 ml. Et<sub>2</sub>O gives 2-acetamido-5-chloro-2'-methoxybenzophenone, m. 124-6°, which saponified and condensed with IV gives 2-bromoacetamido-5-chloro-2'-methoxybenzophenone, m. 129-30.5° (MeCN). Condensation of m-MeOC<sub>6</sub>H<sub>4</sub>MgBr with VII gives 2-acetamido-5-chloro-3'-methoxybenzophenone, which saponified and treated with IV gives 2-bromoacetamido-5-chloro-3'-methoxybenzophenone, m. 97-8.5° (C<sub>6</sub>H<sub>14</sub>). Saponification of 2-acetamido-5-chloro-4'-methoxybenzophenone and condensation with IV give 2-bromoacetamido-5-chloro-4'-methoxybenzophenone, m. 116-18° (C<sub>6</sub>H<sub>6</sub>-C<sub>6</sub>H<sub>14</sub>). Condensation of 2-amino-3-nitrobenzophenone in MeNO<sub>2</sub> with IV gives 2-bromoacetamido-3-nitrobenzophenone, m. 120.5-1.5°. Treatment of 2-bromoacetamido-5-chloro-2'-fluorobenzophenone (VIII) with liquid NH<sub>3</sub> gives 2-aminoacetamido-5-chloro-2'-fluorobenzophenone, m. 115-15.5°, which, refluxed 17 hrs. in C<sub>5</sub>H<sub>5</sub>N, PhMe, or p-cymene gives up to 90% 7-chloro-5-(2-fluorophenyl)-3H-1,4-benzodiazin-2(1H)-one, m. 205-6° (MeOH-C<sub>6</sub>H<sub>14</sub>); it is also obtained when VIII is stirred overnight with alc. NH<sub>3</sub>. Condensation of 176 g. o-FC<sub>6</sub>H<sub>4</sub>COCl and 64 g. p-ClC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub> at 180° in the presence of ZnCl<sub>2</sub> gives 2-amino-5-chloro-2'-fluorobenzophenone, m. 94-5° (MeOH), which condensed with IV gives 2-bromoacetamido-5-chloro-2'-fluorobenzophenone (IX), m. 132.5-33°. IX and liquid NH<sub>3</sub> gives 2-aminoacetamido-5-bromo-2'-fluorobenzophenone, m. 110-11°. Condensation of o-FC<sub>6</sub>H<sub>4</sub>COCl with p-BrC<sub>6</sub>H<sub>4</sub>NH<sub>2</sub> in the presence of ZnCl<sub>2</sub> gives 2-amino-5-bromo-2'-fluorobenzophenone, m. 101-2°, which with IV gives 2-bromoacetamido-5-bromo-2'-fluorobenzophenone, m. 139-40°. 8-Trifluoromethylbenzophenone m. 184-6°. The following

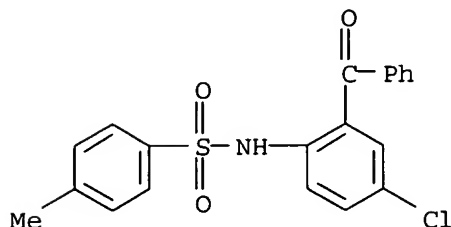
R-substituted-3H-1,4-benzodiazepin-2(1H)-one are prepared (R and m.p. given): 1-methyl-7-chloro-5-(2-chlorophenyl), 135-8°; 7-chloro-5-(o-tolyl), 180-1°; 7,8-dimethyl-5-(2-chlorophenyl), 259-60°; 7-chloro-1-hydroxymethyl-5-phenyl, 201-2°; 7-chloro-1-ethyl-5-phenyl, 127-8°; 7-chloro-5-(2-methoxyphenyl)-1-methyl, 161-2°; 7-chloro-1-methyl-5-(2-fluorophenyl), oil.

IT 4873-59-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 48 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1967:490721 CAPLUS

DN 67:90721

TI Quinazolines and 1,4-benzodiazepines. XXXVI. Formation of 1,3-dihydro- and 1,5-dihydro-1,4-benzodiazepines from tosyl- and mesyl-substituted 1,3,4,5-tetrahydro-5-phenyl-1,4-benzodiazepine derivatives

AU Fryer, R. Ian; Winter, D. P.; Sternbach, Leo H.

CS Chem. Res. Dep., Hoffmann-La Roche, Inc., Nutley, NJ, USA

SO Journal of Heterocyclic Chemistry (1967), 4(3), 355-9

CODEN: JHTCAD; ISSN: 0022-152X

DT Journal

LA English

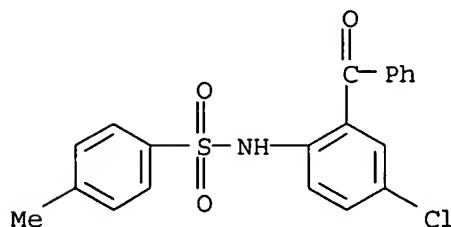
AB cf. CA 67: 82198r. The treatment of 4-sulfonyl derivs. of 5-phenyl-1,3,4,5-tetrahydro-1,4-benzodiazepin-2-ones with base was shown to result in the formation of 1,3-dihydro- or 1,5-dihydro-1,4-benzodiazepin-2-ones depending upon the conditions used. The base treatment of 1-sulfonyl-substituted 2,3-dihydro-1,4-benzodiazepines, such as I, was shown to give the vinylimines, such as II.

IT 4873-59-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 49 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1967:37669 CAPLUS  
 DN 66:37669  
 TI Benzophenone derivatives  
 IN Sternbach, Leo H.; Keller, Oscar; Steiger, Norbert  
 PA Hoffmann-La Roche, F., und Co., A.-G.  
 SO Patentschrift (Switz.), 8 pp.  
 CODEN: SWXXAS  
 DT Patent  
 LA German  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
	-----	----	-----	-----	-----
PI	CH 408045		19660228		
				US	19600627

AB A halogenated benzophenone (I) (Hal-halogen) is treated with NH<sub>3</sub> to give the amino derivative (II). II have anticonvulsant, muscle-relaxing, and sedative properties and are useful intermediates for preparation of 5-phenyl-3H-1,4-benzodiazepin-2-1H-ones having the same properties. Thus, 5 g. 2-bromoacetamido-5-trifluoromethylbenzophenone (III) in 150 ml. anhydrous Et<sub>2</sub>O is treated 1 hr. with 50 ml. anhydrous liquid NH<sub>3</sub>. The solution is refluxed for 5 hrs. (reflux temperature of NH<sub>3</sub>) with a dry ice-Me<sub>2</sub>CO condenser and the NH<sub>3</sub> distilled overnight. After 5 days at room temperature, the suspension is worked up to give crude 2-aminoacetamido-5-trifluoromethylbenzophenone, m. 97-9°. To obtain III, 80 g. NaNO<sub>2</sub> is added slowly and with stirring to 460 ml. concentrated H<sub>2</sub>SO<sub>4</sub> and at 70° a clear solution is obtained. At 10-20°, 200 g. 2-chloro-5-trifluoromethylaniline is added slowly, the mixture stirred 1 hr., and poured over 200 g. NaCl and 1.6 kg. dry ice. The excess NaCl is filtered off, a solution of 280 g. ZnCl<sub>2</sub> in 300 ml. H<sub>2</sub>O added, giving the ZnCl<sub>2</sub> double salt of the corresponding diazonium compound (IV), which is filtered off after keeping overnight at 0° and washed with cold saturated NaCl solution. To a solution of 120 g. NaCN and 72 g. CuCN in 300 ml. H<sub>2</sub>O, 291 g. IV is added with cooling and stirring and, after the addition of 24 g. Na<sub>2</sub>CO<sub>3</sub>, the mixture is heated 1 hr. to 20°, then 0.5 hr. to 70°. After cooling and extracting with Et<sub>2</sub>O, the crude 2-chloro-5-trifluoromethylbenzonitrile (V) is steam-distilled and recrystd. from C<sub>6</sub>H<sub>14</sub>, m. 39-40°. To a solution of PhMgBr (from 9.5 g. Mg, 58.5 g. PhBr and 500 ml. anhydrous Et<sub>2</sub>O) a solution of 39 g. V in 200 ml. C<sub>6</sub>H<sub>6</sub> is added with stirring, 400 ml. solvent distilled, and the mixture refluxed 16 hrs. NH<sub>4</sub>Cl (40 g.) and 200 g. ice is added, the mixture extracted with C<sub>6</sub>H<sub>6</sub>, and 2-chloro-5-trifluoromethylbenzo-phenonimine-HCl (VI) precipitated with 40 ml. concentrated HCl. VI is filtered off, washed, and dried in vacuo m. 248-51°. VI (60 g.) is refluxed overnight with stirring with a mixture of 300 ml. PhMe and 300 ml. 25% H<sub>2</sub>SO<sub>4</sub>, the PhMe layer separated, washed with H<sub>2</sub>O, dried, evaporated in vacuo, the residue recrystd. from C<sub>6</sub>H<sub>6</sub>, to give pure 2-chloro-5-trifluoromethylbenzophenone (VII), m. 39-40°. A mixture of 50 g. VII and 500 ml. concentrated aqueous NH<sub>3</sub> in a closed vessel for 10 hrs. at 140° in the presence of 10 g. CuCl gave yellow crystals of 2-amino-5-trifluoromethylbenzophenone (VIII), m. 81-2°. VIII (26.5 g.) in 250 ml. anhydrous Et<sub>2</sub>O and 7.5 ml. pyridine, is stirred, cooled to 0°, and a solution of 23.2 g. BrCH<sub>2</sub>COBr in 50 ml. anhydrous Et<sub>2</sub>O added. After stirring 0.5 hr. at 0° and 3 hrs. at room temperature, the mixture is worked up to give crude III m. 102-3°. Also prepared were: 2-aminoacetamido-2',5-bis(trifluoromethyl)benzophenone, m. 108-9°;



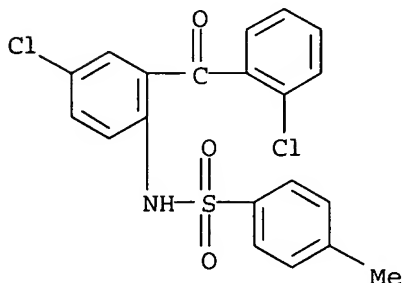
$\alpha$ -amino-2-(trifluoromethylbenzoyl)acetanilide, m. 141-2°;  
 2-(2-aminoacetamido)-2',5-dichlorobenzophenone, m. 122-4°;  
 2-aminoacetamido-5-chloro-2'-methylbenzophenone, m. 121-3°;  
 2-aminacetamido-5-chloro-2'-fluorobenzophenone, m. 115-15.5°;  
 2-aminoacetamido-5-bromo-2'-fluorobenzophenone, m. 110-11°;  
 2-aminoacetamido-5-chlorobenzophenone, m. 97-9°;  
 2-aminoacetamido-5-chlorobenzophenone-HCl, m. 192-3° (decomposition);  
 2-aminoacetamido-6-nitrobenzophenone, m. 133-4°;  
 2-aminoacetamido-5-nitrobenzophenone, m. 166-7°;  
 2-aminoacetamido-5-nitrobenzophenone-HCl, m. 212-14° (decomposition);  
 2-aminoacetamido-4-nitrobenzophenone, m. 118-20°;  
 2-aminoacetamido-5-methyl-benzophenone, 80° (decomposition);  
 5-bromo-2-aminoacetamido-4-methoxybenzophenone, m. 161-3°, it  
 solidifies at 165-8° and melts agains at 248-51°;  
 2-aminoacetamido-5-methylthiobenzophenone-HCl, m. 169-71°;  
 2-( $\alpha$ -aminopropionamido)-5-nitrobenzophenone, m. 155-6°;  
 2-amino-4'-chloro-2'-(2-chlorobenzoyl)-N-methylacetanilid, m.  
 157-9°. The preparation of the majority of the intermediates is given.

IT 5649-39-8P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 5649-39-8 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



L4 ANSWER 50 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1967:28808 CAPLUS

DN 66:28808

TI 2-( $\alpha$ -Halo-lower alkanoylamino)benzophenones

IN Reeder, Earl; Sternbach, Leo H.

PA Hoffmann-La Roche Inc.

SO U.S., 26 pp. Continuation-in-part of U.S. 3051701  
 CODEN: USXXAM

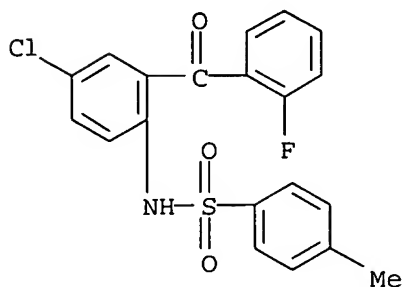
DT Patent

LA English

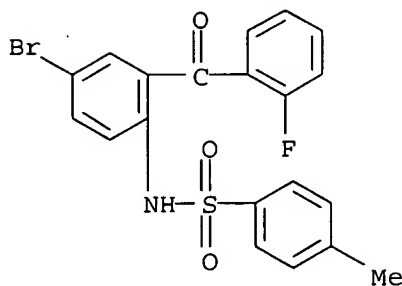
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3270053		19660830	CH	19601202
AB	Continuation-in-part of U.S. 3,051,701 (CA 57, 16641c). The disclosures are the same as U.S. 3,136,815 (CA 61, 9515f), but the claims are different. Compds. described here but not previously abstracted are: m-[5,2-Cl(H <sub>2</sub> N)C <sub>6</sub> H <sub>3</sub> CO)-C <sub>6</sub> H <sub>4</sub> F, m. 90-1°; 5,2- Me(HO <sub>2</sub> C)C <sub>6</sub> H <sub>3</sub> N:CHNMe <sub>2</sub> .HCl, m. 196-8° (MeCN-EtOH); and 7-chloro-3-isopropyl-5-phenyl-3H-1,4 benzodiazapin-2(1H)-one, m.				

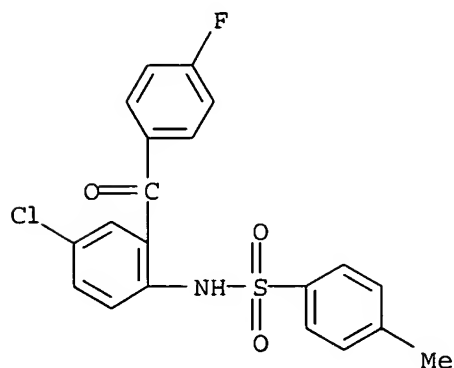
226-7° (Et2O-petroleum ether).  
 IT 747-99-9P, p-Toluenesulfonanilide, 4'-chloro-2'-(o-fluorobenzoyl)-  
 805-61-8P 909-51-3P 4142-76-1P  
 4873-59-0P 5649-39-8P  
 RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)  
 RN 747-99-9 CAPLUS  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



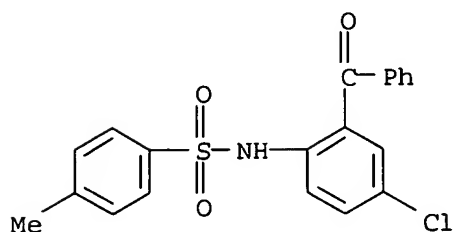
RN 805-61-8 CAPLUS  
 CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA  
 INDEX NAME)



RN 909-51-3 CAPLUS  
 CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA  
 INDEX NAME)

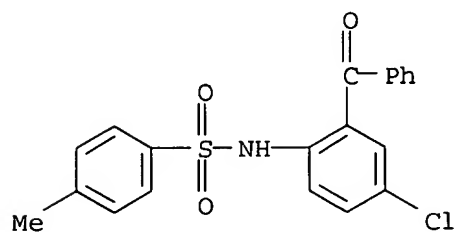


RN 4142-76-1 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt  
 (9CI) (CA INDEX NAME)

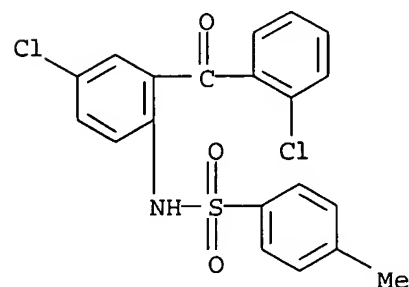


● Na

RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA  
 INDEX NAME)



RN 5649-39-8 CAPLUS  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



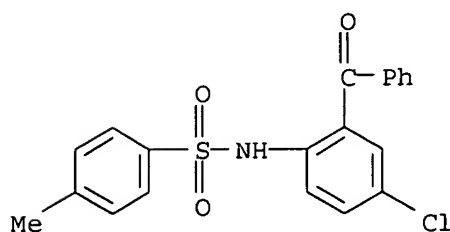
L4 ANSWER 51 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1966:499248 CAPLUS  
 DN 65:99248  
 OREF 65:18558e-g  
 TI Trichloroacetoacetates. I. Synthesis and reactions of ethyl and  
 β,β,β,-trifluoroethyl trichloroacetoacetates  
 AU Wald, David K.; Joullie, Madeleine M.  
 CS Univ. of Pennsylvania, Philadelphia

SO Journal of Organic Chemistry (1966), 31(10), 3369-74  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DT Journal  
 LA English  
 OS CASREACT 65:99248  
 AB A study of the reaction of chloral and Et diazoacetate as a potential source of Et trichloroacetoacetate (I) showed that the main product of this reaction was Et 3-(trichloromethyl)glycidate. The reaction of trichloroacetyl chloride, ketene, and an alc., in liquid SO<sub>2</sub>, was found to be an excellent method to prepare trichloro- $\beta$ -oxo esters. The acid hydrolysis of I yielded  $\alpha,\alpha,\alpha$ -trichloroacetone but this reaction could not be utilized as a general synthetic route to trichloromethyl ketones because alkylation of the ester could not be accomplished. The reactions of I with amines were studied and the products formed depended on the basicity and structure of the amine. NH<sub>3</sub> reacted with the ester to form Et malonamate. Primary aliphatic amines yielded malonamides and secondary amines formed amine salts. Aromatic amines did not react with I under similar conditions but in the presence of polyphosphoric acid they gave 2-trichloromethyl-4-quinolones. These compds. could be hydrolyzed to kynurenic acids (II), thus providing a new synthetic route to these compds. The condensation of I with o-phenylenediamine, under neutral conditions, yielded 4-(trichloromethyl)-1H-1,5-benzodiazepin-2(3H)-one. 32 references.

IT 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-  
 (preparation of)

RN 4873-59-0 CAPLUS

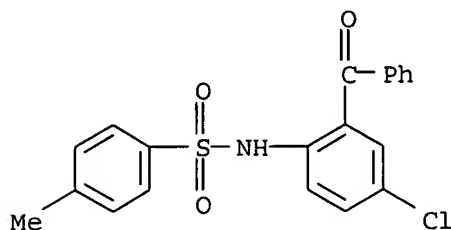
CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 52 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1966:499247 CAPLUS  
 DN 65:99247  
 OREF 65:18558d-e  
 TI Reactions of phosphorus compounds. XI. A general synthesis of substituted 1,2-dihydroquinolines  
 AU Schweizer, Edward E.; Smucker, Leland D.  
 CS Univ. of Delaware, Newark  
 SO Journal of Organic Chemistry (1966), 31(10), 3146-9  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DT Journal  
 LA English  
 OS CASREACT 65:99247  
 AB A series of acyl- and arylsulfonyl-1,2-dihydroquinolines was prepared from substituted o-formyl- and o-ketoanilines employing vinyltriphenylphosphonium bromide as the cyclization agent. 21 references.

IT 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-  
 (preparation of)

RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA  
 INDEX NAME)



L4 ANSWER 53 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1966:103893 CAPLUS

DN 64:103893

OREF 64:19498a-h

TI 2-(N-Substituted amino)halobenzophenones

IN Reeder, Earl; Sternbach, Leo H.

SO 11 pp.

DT Patent

LA Unavailable

FAN.CNT 1

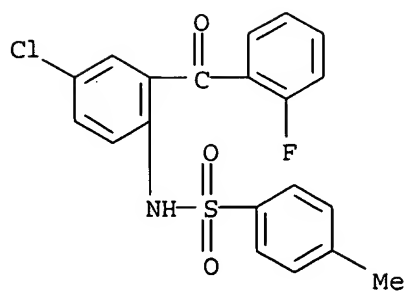
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3239564		19660308	US CH	19601202

AB The title compds. (I) were prepared by published methods by condensing substituted benzoyl chlorides with anilines in the presence of  $\text{ZnCl}_2$  or by reaction of II with Grignard reagents. I were used as intermediates for III, IV, V, and VI which are sedatives, muscle relaxants, and anticonvulsants. The I prepared were tabulated. Further prepared were II (R, m.p. given): 5-Cl, 143.5-46°; 8-Cl, 131.5-2.5°; 7-Cl, solid. 2,5-R(NHR1)C6H3C(NOHC6H4R2-p (III) ( $\alpha$  or  $\beta$  form, R, R1, R2, m.p. given):  $\alpha$ , H, Br, Mc, 204-5°;  $\beta$ , H, Br, Mc, 115-16°;  $\alpha$ , ClCH2CO, Br, Me, 179-80°;  $\alpha$ , H, Cl, Cl, 151-4°. Other compds. prepared were listed in the 2nd table. Also prepared was 2-chloro-2'-nitrobenzophenone, m. 76-9°, and 2-dimethylformamidinoanthranilic acid-HCl.

IT 747-99-9, p-Toluenesulfonanilide, 4'-chloro-2'-(o-fluorobenzoyl)-  
 805-61-8, p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)-  
 909-51-3, p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)-  
 4142-76-1, Sodium, [N-(2-benzoyl-4-chlorophenyl)-p-toluenesulfonamido]-  
 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-  
 5649-39-8, p-Toluenesulfonanilide, 4'-chloro-2'-(o-chlorobenzoyl)-  
 (preparation of)

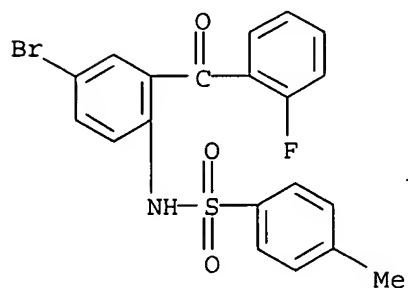
RN 747-99-9 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



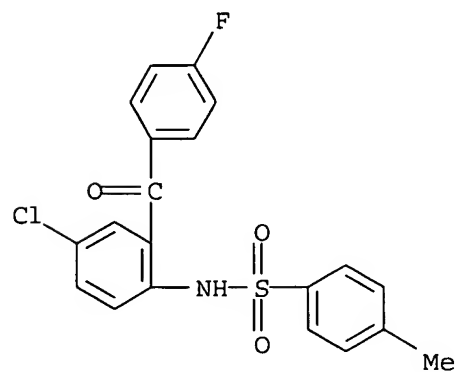
RN 805-61-8 CAPLUS

CN p-Toluenesulfonamide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)



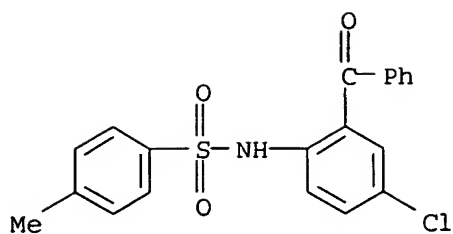
RN 909-51-3 CAPLUS

CN p-Toluenesulfonamide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)



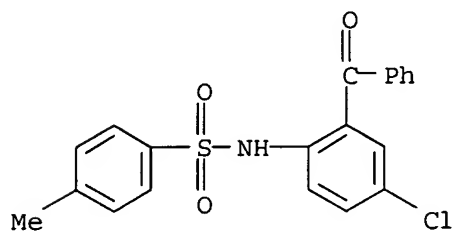
RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

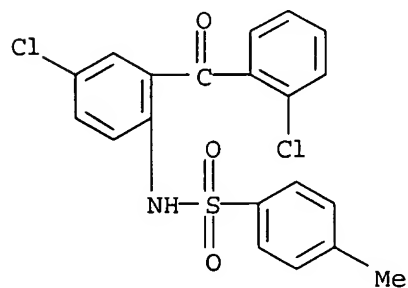


● Na

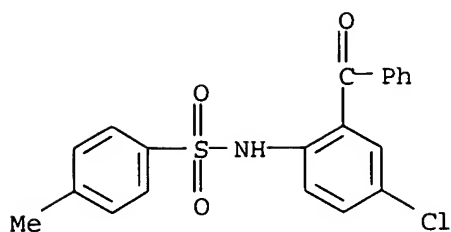
RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



RN 5649-39-8 CAPLUS  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



IT 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-  
 (sodium derivative)  
 RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 54 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1966:103892 CAPLUS

DN 64:103892

OREF 64:19497f-h,19498a

TI Alkyl substituted hydrocinnamaldehydes

PA Soda Aromatic Co., Ltd.

SO 21 pp.

DT Patent

LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	NL 6502482		19651025	NL JP	19640422

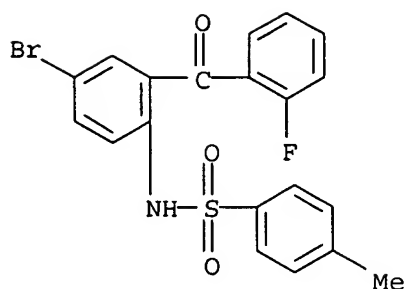
AB The title compds., useful as perfumes, can be prepared by selective hydrogenation of an unsatd. aldehyde p-R1C6H4CH:CR2CHO together with a saturated primary or secondary alc. or H in the vapor phase under reduced pressure at 200-400° and a hydrogenation catalyst. Thus, a mixture of 1 mole gaseous p-isopropyl- $\alpha$ -methylcinnamaldehyde (I), b6 130-3°, n20D 1.5800, and 4 moles cyclohexanol (II) is fed through a Cu-Zn catalyst reactor at 60 mm. Hg and 265  $\pm$  5° to yield .apprx.100% p-isopropyl- $\alpha$ -methylhydrocinnamaldehyde (III) (phys. consts. see below), and a trace of p-isopropyl- $\alpha$ -methylhydrocinnamic alc. (IV). Similarly, III is obtained from I with 2-octanol, with IV and H, or a mixture containing II and H. I (1 mole) was hydrogenated at 70-4° over 6 g. Raney Ni to yield 38% III, 46% IV, and 16% I. This mixture was fed through a Cu-Zn catalyst reactor at 260-70° to yield III, containing a trace I and a small amount IV; this reaction was also carried out in the presence of II or H to give similar results. Similarly were prepared the following substituted hydrocinnamic aldehydes (R1, R2, b.p./mm., n20D, d25, acid value, and % yield given): iso-Pr, Me (III), 104-5°/3, 1.5064, 0.947, 1.06, 95.65; tert-Bu, Me, 126-7°/6, 1.5050, 0.9390, 1.54, 98.52; sec-Bu, Me, 106-7°/1.5, 1.5030, 0.9391, 1.84, 98.3; H, amyl, 126-8°/4, 1.4990, --, 2.16, 98.1; H, Me, 95-6°/10, 1.5110, 0.9204, 1.45, .

IT 805-61-8, p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (preparation of)

RN 805-61-8 CAPLUS

CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)





L4 ANSWER 55 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1966:18973 CAPLUS

DN 64:18973

OREF 64:3425g-h,3426a

TI 2-Methyl (and benzyl) amino-5-chlorobenzophenones

IN Reeder, Earl; Sternbach, Leo H.

PA F. Hoffmann-La Roche & Co., A.-G.

SO 2 pp.

DT Patent

LA Unavailable

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 972975		19641021	GB	19601209
AB	Division of Brit. 972,966 (See Ger. 1,136,709, CA 59, 12827g). The title compds. (I) are prepared by methylating or benzylating 2-tosylamino-5-chlorobenzophenone (II), m. 120-1°, by treating the Na salt with methyl or benzyl halide, or with Me <sub>2</sub> SO followed by hydrolysis. These compds. are useful intermediates in the preparation of 1-substituted 5-phenyl-2,3-dihydro-1H-1,4-benzodiazepinones. In an example, II (0.0413 mole) was dissolved in (200 ml.) PhMe, and 50 ml. PhMe distilled off at 65°, 11.5 ml. of a solution of 10 g. Na in (100 ml.) MeOH was added, MeOH was distilled and the reaction mixture refluxed 1.5 hrs., PhMe (10 ml.) was distilled, and 0.066 mole Me <sub>2</sub> SO added and refluxed 1.5 hrs. The organic layer was separated from the cooled mixture and evaporated in vacuo.				

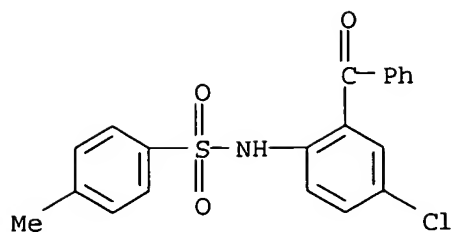
Crystallization from

C<sub>6</sub>H<sub>6</sub>-petroleum ether gave 2(N-methyl-p-tolylsulfonylamido)-5-chlorobenzophenone (III), m. 151-2° (EtOH). III was added to 200 ml. 70% (volume/volume) H<sub>2</sub>SO<sub>4</sub> at 105° and heated 8 min. at 145° to give a clear solution. The clear solution was poured onto crushed ice and diluted with H<sub>2</sub>O to give 2-methylamino-5-chlorobenzophenone, yellow needles, m. 95-6°. 2-Benzylamino-5-chlorobenzophenone, yellow prisms, m. 86-7° (EtOH), was prepared from II and PhCH<sub>2</sub>Cl, with NaI as the catalyst.

IT 4873-59-0, p-Toluenesulfonamide, 2'-benzoyl-4'-chloro-  
(preparation of)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA  
INDEX NAME)



L4 ANSWER 56 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1965:498022 CAPLUS

DN 63:98022

OREF 63:17978e-g

TI 2-Alkenylamino-5-halobenzophenones

IN Reeder, Earl; Sternbach, Leo H.

PA F. Hoffmann-La Roche & Co., A.-G.

SO 2 pp.

DT Patent

LA Unavailable

FAN.CNT 1

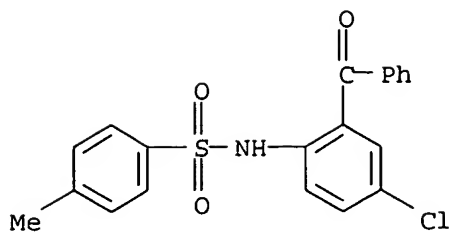
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	GB 972971		19641021	GB	19601209

AB Division of Brit. 972,968 (see Ger. 1,136,709, CA 59, 12827g).  
 2,5-H<sub>2</sub>NClC<sub>6</sub>H<sub>3</sub>Bz (231.5 g.) and 231.5 g. p-MeC<sub>6</sub>H<sub>4</sub>SO<sub>2</sub>Cl in 1 l. C<sub>5</sub>H<sub>5</sub>N was refluxed 1.5 hrs., 5 ml. C<sub>5</sub>H<sub>5</sub>N distilled, the mixture poured into H<sub>2</sub>O, the solid dissolved in 600 ml. boiling C<sub>6</sub>H<sub>6</sub>, and 150 ml. 40% (weight/volume) aqueous NaOH added to give 348.5 g. 2-tosyl-amino-5-chlorobenzophenone Na salt (I), m. 298-9 ° (HCONMe<sub>2</sub>-CHCl<sub>3</sub>). A suspension of 31.5 g. I in 300 ml. anhydrous MeCN was refluxed 1.5 hrs. with 18.7 g. CH<sub>2</sub>:CHCH<sub>2</sub>Br, NaBr filtered off, and the filtrate concentrated to an oil (II). A solution of 25 g. II in 40 ml. AcOH was added to 30 ml. 70% (by volume) H<sub>2</sub>SO<sub>4</sub> at 105° and the mixture heated to 145°, poured on 2 l. ice, and diluted with 1 l. H<sub>2</sub>O to give a gummy solid which was dissolved in 1.5 l. Et<sub>2</sub>O and the solution washed with aqueous NaOH, dried, and evaporated to yield 2-allylamino-5-chlorobenzophenone, m. 76-7° (MeOH), a useful intermediate in the preparation of sedatives, muscle relaxants, and anticonvulsants.

IT 4142-76-1, Sodium, [N-(2-benzoyl-4-chlorophenyl)-p-toluenesulfonamido] - (preparation of)

RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)



● Na

L4 ANSWER 57 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1965:43721 CAPLUS

DN 62:43721

OREF 62:7694b-e

TI 2(or 4)-Substituted-2'-aminobenzophenones

IN Fryer, Rodney I.; Sternbach, Leo H.

PA F. Hoffmann-La Roche & Co., A.-G.

SO 24 pp.

DT Patent

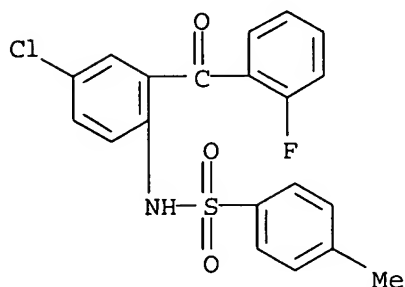
LA Unavailable

FAN.CNT 1

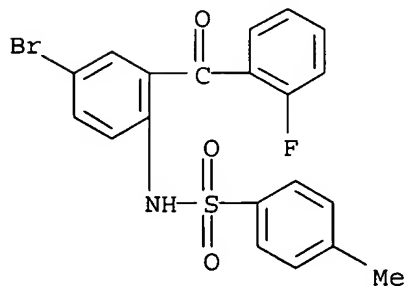
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	FR 1375300		19641016	FR	
				US	19621113
	BE 637329			BE	
	GB 982909			GB	
	NL 298186			NL	
	US 3261867		1966	US	

AB 2-Amino-2'-(or 4')-fluorobenzophenones are treated with NaOMe, NaSMe, or an amine to give compds. of the general formula I. Thus, 50 g. 4,2-Cl(o-FC<sub>6</sub>H<sub>4</sub>CO)C<sub>6</sub>H<sub>3</sub>-NH<sub>2</sub> in 300 ml. tetrahydrofuran is hydrogenated in the presence of 10 g. Norite, 30.0 g. KOAc, and 2.5 ml. 20% Pd chloride to give 2-amino-2'-fluorobenzophenone (II), m. 126-8° (MeOH). A solution of 1.4 millimoles II and NaOMe in MeOH (20 ml. containing 4.44 millimoles/ml.) in 50 ml. PhMe was refluxed 2 hrs. and evaporated in vacuo, the residue treated with 100 ml. H<sub>2</sub>O and 100 ml. CH<sub>2</sub>Cl<sub>2</sub>, and the CH<sub>2</sub>Cl<sub>2</sub> solution evaporated to give 2-amino-2'-methoxybenzophenone, m. 111-12° (MeOH). Similarly prepared were the following I (R, R', Y, X, X', and m.p. given): H, tosyl, H, MeO, H, 134-5° (MeOH); H, tosyl, Br, MeO, H, 114-15°; H, tosyl, Cl, H, MeO, 128-30°; Me, tosyl, Cl, MeO, H, 150-1° (EtOH); Me, tosyl, Br, MeO, H, 154-58; H, H, Cl, MeO, H, 81-3° (ether-hexane); H, H, Cl, MeS, H, 100-100.5° (hexane); H, H, Cl, NMe<sub>2</sub>, H, 85-6° (hexane-ether); H, H, Cl, piperidino, H, 110-14° (hexane). A mixture of 7.6 g. o-(o-FC<sub>6</sub>H<sub>4</sub>CO)C<sub>6</sub>H<sub>4</sub>CN (III), 6.7 g. PhCH<sub>2</sub>NH<sub>2</sub>, and 70 ml. PhMe was refluxed 2 hrs. to give o-(o-NCC<sub>6</sub>H<sub>4</sub>CO)C<sub>6</sub>H<sub>4</sub>NHCH<sub>2</sub>Ph (IV), m. 142-3.5° (ether). A mixture of 6.0 g. IV, 1.0 g. 10% Pd-C, and 1.4 ml. concentrated HCl in 150 ml. HOAc was treated with H to give 2-amino-2'-cyanobenzophenone, m. 132-3° (Me<sub>2</sub>CO-hexane). Similarly prepared was I (R = R' = X' = Y = H, X = NO<sub>2</sub>), m. 146-9° (MeOH). Also prepared were the following I (R, R', Y, X, X', and m.p. given): H, tosyl, H, F, H, 129.5-30° (EtOH); H, tosyl, Br, F, H, 114-15° (MeOH); H, H, Cl, H, F, 108-9°; H, tosyl, Cl, H, F, 126-8° (MeOH); H, tosyl, Cl, F, H, 119-20° (MeOH). Also prepared was III, m. 73-4° (ether-petr. ether).

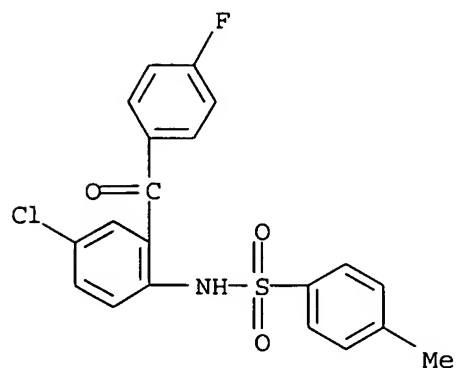
IT 747-99-9, p-Toluenesulfonanilide, 4'-chloro-2'-(o-fluorobenzoyl)-  
 805-61-8, p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)-  
 909-51-3, p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)-  
 1823-22-9, p-Toluenesulfonanilide, 2'-o-anisoyl-4'-bromo-  
 2237-07-2, p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro-  
 (preparation of)  
 RN 747-99-9 CAPLUS  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



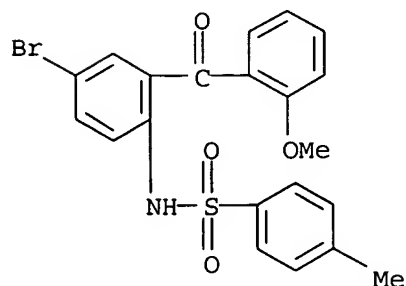
RN 805-61-8 CAPLUS  
 CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA  
 INDEX NAME)



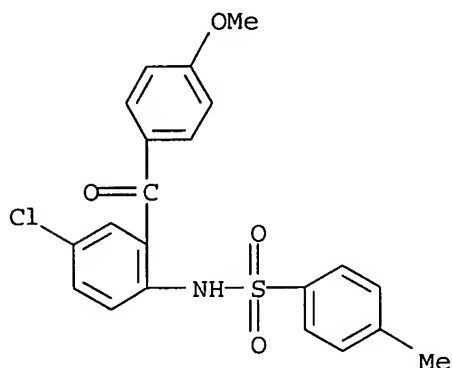
RN 909-51-3 CAPLUS  
 CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA  
 INDEX NAME)



RN 1823-22-9 CAPLUS  
 CN p-Toluenesulfonanilide, 2'-o-anisoyl-4'-bromo- (7CI, 8CI) (CA INDEX NAME)

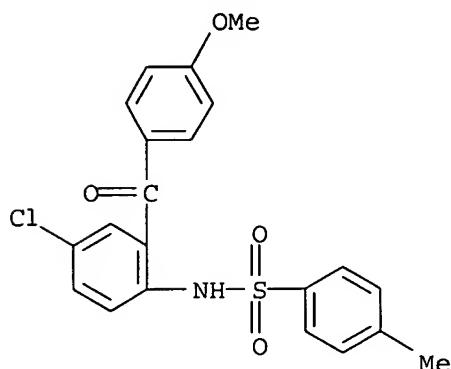


RN 2237-07-2 CAPLUS  
 CN p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro- (7CI, 8CI) (CA INDEX NAME)



L4 ANSWER 58 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1965:5004 CAPLUS  
 DN 62:5004  
 OREF 62:946e-f  
 TI Metabolism of diazepam in rabbits  
 AU Jommi, G.; Manitto, P.; Silanos, M. A.  
 CS Fac. Sci., Milano  
 SO Archives of Biochemistry and Biophysics (1964), 108(2), 334-40  
 CODEN: ABBIA4; ISSN: 0003-9861  
 DT Journal  
 LA English  
 AB Urine of rabbits treated with large doses of diazepam (I) was analyzed. After hydrolysis 3 compds. were isolated and identified: 2-methylamino-5-chlorobenzophenone (II), 2-amino-5-chlorobenzophenone, and 2-methylamino-5-chloro-4'-hydroxybenzophenone. Another substance was tentatively identified by thin-layer chromatography as 2-amino-5-chloro-4'-hydroxybenzophenone. These compds. were not present as such in urine, but were derived from conjugated precursors. Since diazepam itself was transformed into II after hydrolysis, it was impossible to determination whether the demethylation and hydroxylation occurred on diazepam or on one of its metabolites. The identified metabolites

represented <10% of the injected diazepam.  
 IT 2237-07-2, p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro-  
 (preparation of)  
 RN 2237-07-2 CAPLUS  
 CN p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro- (7CI, 8CI) (CA INDEX  
 NAME)



L4 ANSWER 59 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1964:454909 CAPLUS

DN 61:54909

OREF 61:9515f-h,9516a-h,9517a-e,9518a-b

TI 5-Aryl-3H-1,4-benzodiazepin-2(1H)-ones

IN Reeder, Earl; Sternbach, Leo H.

PA Hoffmann-La Roche Inc.

SO 26 pp.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 3136815		19640609	US	
	CH 396016			CH	19601202
	DE 1199776			DE	
	GB 972969			GB	

AB I, II, III, and IV are prepared Thus, 26.2 g. 5,2-Cl(H<sub>2</sub>N)C<sub>6</sub>H<sub>3</sub>CPh:NOH (β-form) is treated with 12.4 g. ClCH<sub>2</sub>COCl in the presence of 3N NaOH to give 2-chloroacetamido-5-chlorobenzophenone β-oxime (V), m. 161-2°. V (6.4 g.) is treated 15 hrs. with 20 ml. N NaOH to give 7-chloro-5-phenyl-3H-1,4-benzodiazepin-2(1H)-one (VI) 4-oxide (VII). A solution of 14.3 g. VII in 300 ml. dioxane is treated with H in the presence of 20 g. Raney Ni to give VI, m. 216-17° (Me<sub>2</sub>CO). A solution of 7.6 g. VII in 150 ml. HOAc is treated with H in the presence of 0.6 g. PtO<sub>2</sub> to give 7-chloro-4-hydroxy-5-phenyl-4,5-dihydro-3H-1,4-benzodiazepin-2(1H)-one, m. 215-16° (HOAc). A solution of 10.8 g. VI in 120 ml. HOAc is treated with H in the presence of 1.2 g. Pt oxide to give the 4,5-dihydro derivative, m. 184.5-5.5° (dilute HOCNMe<sub>2</sub>). Also prepared are the following I (R<sub>2</sub> = H): X, Ar, R, R<sub>1</sub>, m.p., X, Ar, R, R<sub>1</sub>, m.p.; Cl, Ph, Me, H, 188-9°; Me, Ph, H, H, 226-7°; Br, Ph, H, H, 230-1°; Me, Ph, H, Me, 234-5°; Br, p-tolyl, H, H, 237-8°; Cl, p-ClC<sub>6</sub>H<sub>4</sub>, H, H, 250-2°; Cl, Ph, allyl, H, 150-1°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, 248-9°; Cl, Ph, PhCH<sub>2</sub>, H, 151-2°; Cl, Ph, Et, H, 207-8°. Also prepared are the following II (R = R<sub>2</sub> = H): X, Ar, R<sub>1</sub>, and m.p. given): Br, p-tolyl, AcNMe,

209-10°; Br, p-tolyl, MeNH, 255-6°; Cl, p-ClC<sub>6</sub>H<sub>4</sub>, MeNH, 254-5°; Cl, p-ClC<sub>6</sub>H<sub>4</sub>, AcNMe, 191-2°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, MeNH, 247-8° (decomposition); Cl, Ph, AcNMe, 186-7°. Also prepared are the following III (R<sub>3</sub> = Z = H): X, Ar, R, R<sub>1</sub>, R<sub>2</sub>, m.p.; H, Ph, H, H, H, 182-3°; H, Ph, Me, H, H, 153.5-5.5°; Me, Ph, H, H, H, 209-10°; Me, Ph, H, H, Me, 210-11°; Cl, Ph, H, H, Cl, 207-8°; Cl, p-ClC<sub>6</sub>H<sub>4</sub>, H, H, H, 247-8°; Br, p-tolyl, H, H, H, 239-40°; Cl, Ph, Me, H, H, 125-6°; H, p-ClC<sub>6</sub>H<sub>4</sub>, H, H, H, 262-3°; Me, Ph, H, Me, H, 255-6°; Br, Ph, H, H, H, 220-1°; H, Ph, H, H, Cl, 174.5-6.5°; H, Ph, H, Cl, H, 214-15°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, H, 199-201°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, Me, H, H, 135-8°; Cl, o-tolyl, H, H, H, 180-1°; Cl, o-tolyl, Me, H, H, 137-9°; Cl, o-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 205-6°; Cl, m-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 200-1°; Br, o-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 187-8°; Cl, o-FC<sub>6</sub>H<sub>4</sub>, Me, H, H, --; Br, o-FC<sub>6</sub>H<sub>4</sub>, Me, H, H, 132-2.5°; Me, o-ClC<sub>6</sub>H<sub>4</sub>, H, Me, H, 259-60°; Cl, Ph, CH<sub>2</sub>OH, H, H, 201-2°; Cl, Ph, PhCH<sub>2</sub>, H, H, 174-5°; Cl, Ph, Et, H, H, 127-8°; Cl, Ph, allyl, H, H, 105-6°; H, Ph, H, H, Me, 184-5°; H, Ph, H, Me, H, 255-6°; Me, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, H, 223-4°; H, o-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 180-1°; H, o-FC<sub>6</sub>H<sub>4</sub>, Me, H, H, 173-14°; Cl, p-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 223-4°; F, Ph, H, H, H, 197-8°; H, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, H, 212-13°; H, o-ClC<sub>6</sub>H<sub>4</sub>, Me, H, H, 135-7°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, HC:CCH<sub>2</sub>, H, H, 140-2°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, iso-Pr, H, H, 148-50°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, allyl, H, H, 128-30°; Br, Ph, H, H, H, 219-20.5°; Me, Ph, H, H, H, 209-10°; Cl, m-tolyl, H, H, H, 148-9°; F, Ph, Me, H, H, 109-10°; Cl, p-ClC<sub>6</sub>H<sub>4</sub>, Me, H, H, 154-6°; Cl, Ph, (CH<sub>2</sub>)<sub>2</sub>CN, H, H, 117-18°; Br, o-FC<sub>6</sub>H<sub>4</sub>, H, H, H, 186-7°. Also prepared are the following IV: X, Ar, R, R<sub>1</sub>, m.p.; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, 235-7°; Cl, o-FC<sub>6</sub>H<sub>4</sub>, H, H, 214-15°; Br, o-FC<sub>6</sub>H<sub>4</sub>, H, H, 224-5°; Cl, o-ClC<sub>6</sub>H<sub>4</sub>, Me, H, 168-71°; Cl, Ph, Me, H, 139-41°; H, o-ClC<sub>6</sub>H<sub>4</sub>, H, H, 187-9°; H, o-ClC<sub>6</sub>H<sub>4</sub>, Me, Me, -- (1); H, o-ClC<sub>6</sub>H<sub>4</sub>, Me, H, 177-80°; Br, Ph, H, H, 191-2°; Br, Ph, Me, Me, 166-72°; H, Ph, H, H, 147-8°; Me, Ph, H, H, 174-6°; Me, Ph, Me, Me, 71-3° (2); Cl, o-tolyl, H, H, 248-9°; Cl, o-tolyl, Me, Me, -- (3); H, o-FC<sub>6</sub>H<sub>4</sub>, H, H, 162-3°; Cl, Ph, Me, H, 144-5°; Cl, Ph, Me, allyl, 108.5-109°; Cl, Ph, allyl, allyl, -- (4); H, Ph, H, Me, -- (5); Cl, o-FC<sub>6</sub>H<sub>4</sub>, H, Me, 185.6°; Cl, o-FC<sub>6</sub>H<sub>4</sub>, Me, Me, 124-5°; Cl, Ph, H, Me, 205-5.5°; Cl, Ph, Me, Me, 90-1°; Br, o-ClC<sub>6</sub>H<sub>4</sub>, Me, Me, 134-5°; H, Ph, Me, Me, 115-16°; (1) HCl salt m. 240-1° (Me<sub>2</sub>CO-ether), (2) 4-MeI salt m. 160-1° (decomposition) (MeOH-ether), (3) HCl salt m. 197-215° (MeOH-ether), (4) HCl salt m. 190-1° (CH<sub>2</sub>Cl<sub>2</sub>-ether), (5) MeI salt m. 190-1° (EtOH) and 4-MeCl salt m. 199-201° (MeOH-ether). Also prepared are the following III (Z = R = R<sub>1</sub> = R<sub>2</sub> = H, X = Cl, Ar = Ph): (R<sub>3</sub> and m.p. given): Me, 220-1°; Ph, 269-70°; m-HOC<sub>6</sub>H<sub>4</sub>CH<sub>2</sub>, 151-3°; iso-Bu, 213-14°; CH<sub>2</sub>OMe, 166-7°. Also prepared are the following (m.p. given): III (R = R<sub>1</sub> = R<sub>2</sub> = R<sub>3</sub> = X = H, Z = Cl, Ar = Ph), 243.5-45°; II [R = H, R<sub>1</sub> = AcNMe, Ar = Ph, X = R<sub>2</sub> = Me], 193-4° (decomposition); 7-chloro-2-methylamino-5-phenyl-3H-1,4-benzodiazepine, 240-1°; 7-chloro-2-(N-methylacetamido)-5-phenyl-3H-1,4-benzodiazepine, 162°; 6-bromo-2-chloromethyl-4-(p-tolyl)quinazoline 3-oxide, 162-4°; 6-chloro-2-chloromethyl-4-(4-chloromethyl)quinazoline 3-oxide, 163-4°; 5-chloro-2-methyl-4H-3,1-benzoxazin-4-one, 143.5-46°; 6,2-Cl (AcNH)C<sub>6</sub>H<sub>3</sub>CO<sub>2</sub>H, --; 8-chloro-2-methyl-4H-3,1-benzoxazine-4-one, 131.5-2.5°; 2-methyl-7-chloro-4H-3,1-benzoxazin-4-one, --; 6-chloro-2-chloromethyl-4-(2-chlorophenyl)quinazoline 3-oxide, 140-3°; O-methylserine Et ester-HCl, --; o-(o-ClC<sub>6</sub>H<sub>4</sub>CO)C<sub>6</sub>H<sub>4</sub>NHCOCH<sub>2</sub>Br, 119-21°; o-(o-ClC<sub>6</sub>H<sub>4</sub>CO)C<sub>6</sub>H<sub>4</sub>NHCOCH<sub>2</sub>NH<sub>2</sub>, 162-4°. Also prepared were the

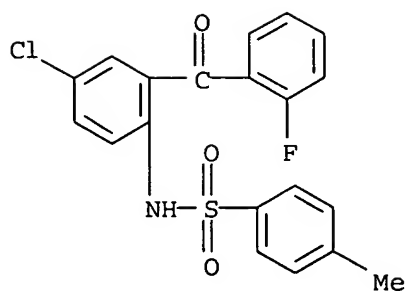
following 2-X1C6H4COC6H2(NRR1)R2X-2,3,5 VIII: R, R1, R2, X, X1, m.p.; H, ClCH2CO, H, Cl, H, 117-18°; H, H, Me, Me, H, 68-70°; H, Ac, Cl, Cl, H, 143-4°; H, H, Cl, Cl, H, 93-4°; H, MeCHBrCO, H, Cl, H, 114-15°; H, Ac, Cl, H, H, 129-31°; H, H, Cl, H, H, 56.8-58°; H, BrCH2CO, Cl, H, H, 129-30°; H, H, H, Cl, Cl, 88-9°; H, BrCH2CO, H, Cl, Cl, 136°; H, H2NCH2CO, H, Cl, Cl, 122-4°; H, H, H, Cl, Me, 50-5°; H, H, H, Cl, F, 94-5°; H, H, H, Br, F, 101-2°; H, BrCH2CO, H, Cl, F, 132.5-33°; H, H2NCH2CO, H, Cl, F, 115-15.5°; H, BrCH2CO, H, Br, F, 139-40°; H, H2NCH2CO, H, Br, F, 110-11°; Na, p-MeC6H4SO2, H, Cl, H, 298-9°; H, p-MeC6H4SO2, H, Cl, H, 120-1°; Me, p-MeC6H4SO2, H, Cl, H, 151-2°; H, Me, H, Cl, H, 95-6°; H, allyl, H, Cl, H, 76-7°; PhCH2, p-MeC6H4SO2, H, Cl, H, 116-18°; H, PhCH2, H, Cl, H, 86-7°; Me, BrCH2CO, H, Cl, H, 95-6°; allyl, BrCH2CO, H, Cl, H, 85-6°; PhCH2, BrCH2CO, H, Cl, H, 159-60°; H, Et, H, Cl, H, 56-7°; H, BrCH2CO, H, Cl, Me, 137-8°; H, p-MeC6H4SO2, H, Cl, Cl, 136-8°; Me, p-MeC6H4SO2, H, Cl, Cl, 145°, 153-5°; H, Me, H, Cl, Cl, 78-80°, 88-90°; H, p-MeC6H4SO2, H, Cl, F, 119-20°; Me, p-MeC6H4SO2, H, Cl, F, 151-2°; H, Me, H, Cl, F, 119-20°; H, H, Cl, Cl, H, 93-4°; H, H, Me, Cl, H, 88.5-90°; H, H, Me, H, H, 51-2°; H, BrCH2CO, Me, H, H, 117-18°; H, H, H, Me, F, 68.5-9.5°; H, H, H, Me, Cl, 106-7°; H, H, H, H, F, --; H, p-MeC6H4SO2, H, H, F, 129.5-30°; H, BrCH2CO, H, H, F, 117-18.5°; H, p-MeC6H4SO2, H, Br, F, 114-15°; Me, p-MeC6H4SO2, H, Br, F, 154-5°; H, Me, H, Br, F, 112-13°; H, H, H, Cl, Cl, 58-60°; H, ClCH2CO, H, Cl, Cl, 157-9°; H, BrCH2CO, H, Br, H, 117.5-18.5°; H, BrCH2CO, H, Me, H, 116-17°; H, BrCH2CO, H, F, H, 103-5°; Me, ClCH2CO, H, Cl, H, 123-4°; Me, ICH2CO, H, Cl, H, 95°; H, BrCH2CO, H, Br, F, 139-40°; H, H2NCH2CO, H, Br, F, 110-11°; H, ClCH2CO, H, Cl, F, 141-2°; H, BrCH2CO, H, H, H, 94-5°; H, BrCH2CO, Cl, Cl, H, 162-3°; (1) oxime m. 137-9° (C6H6-petr. ether). Also prepared were the following (m.p. given): p-[5,2-Br(H2N)C6H3CO]C6H4Me, 105-6° (α-oxime m. 204-5°; β-oxime m. 115-16°), p-[5,2-Br(ClCH2CONH)C6H3CO]C6H4Me α-oxime, 179-80°; p-[5,2-Cl(H2N)C6H3CO]C6H4Cl, 118-19° (α-oxime m. 151-4°); o-(p-ClC6H4CO)C6H4NH2, 98-9°; 6,2-Cl(AcNH)C6H3Bz, --; 6,2-Cl(H2N)C6H3Bz, 101-2.5°; 6,2-Cl(BrCH2CONH)C6H3Bz, 97-8°; 4,2-Cl(H2N)C6H3Bz, 84-5°; 4,2-Me(H2N)C6H3Bz, 68-70°; p-[5,2-Cl(H2N)C6H3CO]C6H4F, 108-9°; p-[5,2-Cl(p-MeC6H4SO2NH)C6H3CO]C6H4F, 126-8°; p-[5,2-Cl(BrCH2CONH)C6H3CO]C6H4F, 97-8°; o-(o-ClC6H4CO)C6H4NO2, 76-9°; o-(o-ClC6H4CO)C6H4NH2, 58-60°; m-[5,2-Cl(H2N)C6H3CO]C6H4Me, 90-1°; p-[5,2-Cl(BrCH2CO NH)C6H3CO]C6H4Cl, 127-8°; p-[5,2-Cl(H2NCH2CONH)C6H3CO]C6H4Cl, 139-40°.

IT 747-99-9, p-Toluenesulfonanilide, 4'-chloro-2'-(o-fluorobenzoyl)-  
805-61-8, p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)-  
909-51-3, p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)-  
4142-76-1, Sodium, [N-(2-benzoyl-4-chlorophenyl)-p-toluenesulfonamido]-  
4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-  
5649-39-8, p-Toluenesulfonanilide, 4'-chloro-2'-(o-chlorobenzoyl)-  
(preparation of)

RN 747-99-9 CAPLUS

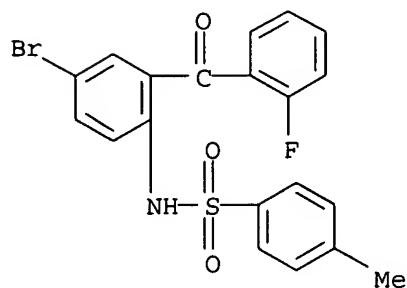
CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)  
(CA INDEX NAME)





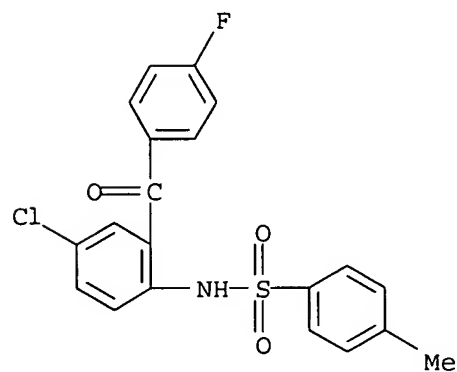
RN 805-61-8 CAPLUS

CN p-Toluenesulfonamide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)



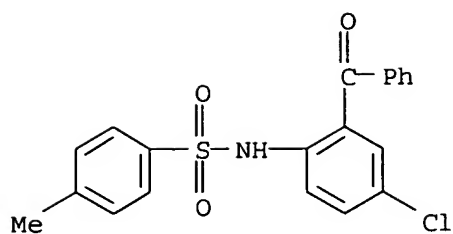
RN 909-51-3 CAPLUS

CN p-Toluenesulfonamide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)



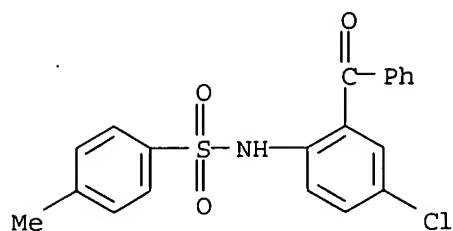
RN 4142-76-1 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)

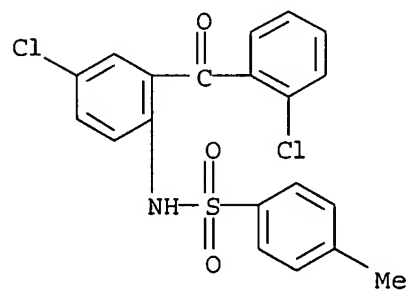


● Na

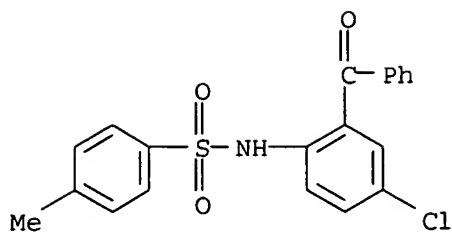
RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



RN 5649-39-8 CAPLUS  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI) (CA INDEX NAME)



IT 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-  
 (sodium derivative)  
 RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 60 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1964:68300 CAPLUS

DN 60:68300

OREF 60:12033h,12034a-h,12035a-e

TI 3H-1,4-Benzodiazepin-2(1H)-one derivatives

IN Reeder, Earl; Sternbach, Leo H.; Kell, Oscar; Steiger, Norbert; Stempel, Arthur; Fryer, Rodney I.; Saucy, Gabriel; Sach, George S.

PA F. Hoffmann-La Roche & Co., A.-G.

SO 16 pp.

DT Patent

LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1145626		19630321	DE US FR	19591210

AB 2-Amino-3,5-dimethylbenzophenone (I), m. 68-70°, was obtained by refluxing 2-benzamido-3,5-dimethylbenzophenone, glacial AcOH, concentrated H<sub>2</sub>SO<sub>4</sub>, and H<sub>2</sub>O 4 h. 2-Amino-3,5-dichlorobenzophenone (II), m. 93-4°, was prepared by keeping a HCl-saturated mixture of 2-acetamido-5-chlorobenzophenone, AcOH, and HNO<sub>3</sub> at room temperature 1 h. and refluxing the acetyl derivative (m. 143-4°) in alc. concentrated HCl 3 h. 2-Amino-4',5'-dichlorobenzophenone (III), m. 118-19° (EtOH), was prepared by stirring p-chlorobenzoyl chloride with p-chloroaniline at 120° to start of HCl evolution, adding ZnCl<sub>2</sub>, stirring 2 h. at 230-42°, pouring into 0.5N HCl, suspending the powdered reaction product in 0.5N HCl, refluxing 1 h., dissolving the filtrate residue in AcOH and concentrated HCl, and refluxing 18 h. 2-Amino-5-bromo-4'-methylbenzophenone (IV), m. 105-6°, was prepared by adding anhydrous ZnCl<sub>2</sub> to p-toluoyl chloride and p-bromoaniline at 200°, refluxing 2 h. at 230°, pouring into 0.5N HCl, and working up as above. 2-Chloro-5-trifluoromethylaniline was treated with NaNO<sub>2</sub>, concentrated H<sub>2</sub>SO<sub>4</sub>, NaCl, and ZnCl<sub>2</sub> in H<sub>2</sub>O, the ZnCl<sub>2</sub> double salt of the diazonium compound stirred 1 h. with NaCN, CuCN, and Na<sub>2</sub>CO<sub>3</sub> in H<sub>2</sub>O at 20°, then 0.5 h. at 70°, and the obtained 2-chloro-5-trifluoromethylbenzonitrile (m. 39-40°) in benzene refluxed with PhMgBr in absolute Et<sub>2</sub>O 16 h. to give 2-chloro-5-trifluoromethylbenzophenone imine as the HCl salt (V), m. 248-51°. V was stirred with toluene-25% H<sub>2</sub>SO<sub>4</sub> to give 2-chloro-5-trifluoromethylbenzophenone, m. 39-40°, which was heated with concentrated aqueous NH<sub>4</sub>OH in the presence of CuCl at 140° in a sealed tube to give 2-amino-5-trifluoromethylbenzophenone (VI), m. 81-2°. 2-Nitro-4-trifluoromethylaniline was converted over the diazonium ZnCl<sub>2</sub>-double salt into 2-nitro-4-trifluoromethylbenzonitrile, which was hydrogenated over Raney Ni in MeOH to the 2-amino analog, m. 151-2°, refluxed with 50% H<sub>2</sub>SO<sub>4</sub> 0.5 h. to give 4-trifluoromethylanthranilic acid (VIIa), m. 173-5°. VIIa refluxed 1 h. in Ac<sub>2</sub>O gave 2-methyl-7-trifluoromethyl-4H-3,1-benzoxazin-4-one, m. 71-2°, which was treated with PhMgBr, and the product refluxed 10 min. in methanolic 3N NaOH to give 2-amino-4-trifluoromethylbenzophenone

(VII), m. 55-6° (hexane). Anthranilic acid in Me<sub>2</sub>NCHO was cooled to 0°, treated dropwise with SOCl<sub>2</sub> at <40°, the isolated 2-dimethylformamidinoanthranilic acid-HCl (VIII) (m. 215-17°) refluxed 2.5 h. with PCl<sub>5</sub> in absolute C<sub>6</sub>H<sub>6</sub>, the mixture cooled to 20-5°, treated with anhydrous NH<sub>4</sub>Cl at <40°, refluxed 6 h., diluted with ice, treated dropwise with 40% NaOH at <50° to pH 11, and refluxed 5 h., and the oily product refluxed 20 h. with aqueous alc. 40% NaOH to give 2-aminobenzophenone (IX), m. 103-5°. IX in methanolic NaSCN was treated dropwise with Br in NaBr-saturated MeOH at 0° and the mixture stirred 0.5 h. to give 2-amino-5-thiocyanatobenzophenone (X), m. 83-4°, which was heated in EtOH on a steam bath with alternate addition of Na dithionite and 10% NaOH, the temperature increased to 80°, and the mixture treated with Me<sub>2</sub>SO<sub>4</sub> at 40°, and stirred 1 h. to give 2-amino-5-(methylthio)benzophenone (XI). 2-Amino-5-(ethylthio)benzophenone (XII), was similarly prepared from X with EtBr instead of Me<sub>2</sub>SO<sub>4</sub>. Also prepared were 2-amino-5-(butylthio)benzophenone and 2-amino-4-(2-hydroxyethylthio)benzophenone (XIII). Heating p-methylsulfonylaniline-HCl and BzCl at 120°, adding anhydrous ZnCl<sub>2</sub> at 170°, heating the mixture 2.5 h. at 210-20°, adding aqueous HCl at 160°, refluxing 5 min., and refluxing the isolated product 19 h. in concentrated HCl-glacial AcOH gave 2-amino-5-methylsulfonylbenzophenone, m. 156-61°. 2-Amino-5-chlorobenzophenone (XIV) was heated with S<sub>2</sub>Cl<sub>2</sub> 2 h. at 60-5° to give 4-benzoyl-6-chloro-2,3,1-benzothiazathiolium chloride, which was treated with aqueous alc. 40% NaOH and Na dithionite, and then with Me<sub>2</sub>SO<sub>4</sub> to give 2-amino-5-chloro-3-(methylthio)benzophenone (XV), also obtained from VIII, with S<sub>2</sub>Cl<sub>2</sub>, AlCl<sub>3</sub>, and glacial AcOH at 60-80° followed by treating the dried thiazathiolium compound as above. 2-Amino-4'-chlorobenzophenone (XVI), m. 98-9°, was obtained from VIII with PCl<sub>5</sub>. The Na salt (m. 298-9°) of 2-(p-toluenesulfonamido)-5-chlorobenzophenone (m. 120-1°) was methylated with Me<sub>2</sub>SO<sub>4</sub> in toluene to give 2-(N-methyl-p-toluenesulfonamido)-5-chlorobenzophenone (m. 151-2°), which was added to 70% H<sub>2</sub>SO<sub>4</sub> at 105°, and the mixture stirred 8 min. at 145° to give 2-methylamino-5-chlorobenzophenone (XVII), m. 93-4°. 2-Amino-4-chlorobenzophenone (XVIII), m. 84-5° (hexane), was prepared from 2-methyl-7-chloro-4H-3,1-benzoxazin-4-one and PhMgBr in Et<sub>2</sub>O. 2-Amino-4'-trifluoromethylbenzophenone (XIX), m. 99-100° (hexane), was prepared from p-F<sub>3</sub>CC<sub>6</sub>H<sub>4</sub>MgBr in absolute Et<sub>2</sub>O and 2-methyl-4H-3,1benzoxazin-4-one (XX) in CH<sub>2</sub>Cl<sub>2</sub>. Similarly prepared were 2-amino-3'-trifluoromethylbenzophenone (XXI), m. 97-9°, from m-F<sub>3</sub>CC<sub>6</sub>H<sub>4</sub>MgBr, and 2-amino-6-chlorobenzophenone (XXII), m. 101-2°, from 5-chloro-2-methyl-4H-3,1-benzoxazin-4-one (m. 153.5-56°) and PhMgBr. 2-Amino-2',5-dichlorobenzophenone (XXIII), m. 88-9°, was prepared from p-chloroaniline, o-chlorobenzoyl chloride, and ZnCl<sub>2</sub>. Similarly was prepared 2-amino-5-chloro-2'-methylbenzophenone (XXIV), m. 50-5°. 2-Amino-5-methoxybenzophenone (XXV), m. 50-2°, was prepared from 2-methyl-6-methoxy-4H-3,1-benzoxazin-4-one and PhMgBr. 2-Amino-5-hydroxybenzophenone (XXVI), m. 127-8°, was prepared from XXV and 48% HBr. Also prepared were 2-amino-5-chloro-2'-fluorobenzophenone (XXVII), m. 94-5° (MeOH), 2-amino-5-chloro-3'-fluorobenzophenone (XXVIII), m. 90-1° (MeOH), and 2-amino-5-bromo-3'-fluorobenzophenone (XXIX), m. 101-2° (MeOH). XXX (R = R<sub>1</sub> = R<sub>2</sub> = H, R<sub>3</sub> = 7-Cl) (XXXI) (2.9 g.), m. 216-17°, was prepared by distilling 25 mL. C<sub>5</sub>H<sub>5</sub>N from a mixture of 23.2 g. XIV, 15 g. glycine, 250 mL. C<sub>5</sub>H<sub>5</sub>N, and 25 g. anhydrous HCl, refluxing the mixture 24 h., distilling 50 mL. C<sub>5</sub>H<sub>5</sub>N, adding 25

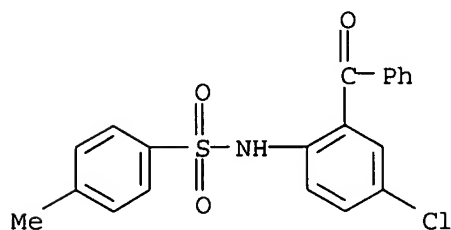
g.

HCl, distilling 50 mL. of C<sub>5</sub>H<sub>5</sub>N, and refluxing the mixture 24 h. XXXI (14 g.) was also obtained by refluxing 23.15 g. XIV, 20.8 g. Et glycinate-HCl (XXXII), and 50 mL. C<sub>5</sub>H<sub>5</sub>N 4 h. The 9-nitro derivative, m. 234-5° (CH<sub>2</sub>Cl<sub>2</sub>), of XXXI was prepared by treating XXXI 1 h. with concentrated

H<sub>2</sub>SO<sub>4</sub>-HNO<sub>3</sub>

at <0°. XXX (R = R1 = R2 = H, R3 = 7-Me) (16.5g.), m.  
 209-10° (Me2CO), was prepared from 22 g. 2-amino-5-methylbenzophenone, 21 g. XXXII, and 120 mL. I. Also prepared were the following XXX (R, R1, R2, R3, and m.p. given): H, H, H, 7,9-Me2, 210-11°; H, H, H, 7,9-Cl2, 207-8°; H, H, 4-Cl, 7-Cl, 247-8°; H, H, 4-Me, 7-Br, 239-40°; H, Me, H, 7-Cl, 217-19°; H, Me, H, 7-NO2, 219-21°; H, H, H, H, 182-3°; H, H, H, 7,9-(NO2)2, 240°; H, H, H, 7-NO2, 224-5°; H, H, H, 7-NH2, 236-9°; H, H, H, 7-NHAc, 278-9°; H, H, H, 7,8-Me2, 255-6°; H, H, H, 7-Br, 220-1°; H, H, H, 7-F3C, 198-9°; H, H, H, 8-F3C, 184-8°; H, H, H, 7-MeS, 216-18° (Me2CO); H, H, H, 7-MeS, - (HCl salt m. 273°); H, H, H, 7-BuS, - (HCl salt m. 247-9°); H, H, H, 7-HOCH2CH2S, - (HCl salt m. 252-3° (decomposition)); H, H, H, 7-MeSO2, 256-8°; H, H, H, 7,9-Cl(MeS), 189-91°; H, H, 4-Cl, H, 262-3°; H, H, 4-Cl, 7-NO2, 253-4°; Me, H, H, 7-Cl, 123-4°; Me, H, 2-Cl, 7-Cl, 135-8°; Me, H, 2-Me, 7-Cl, 137-9°; Me, H, H, H, 153.5-5.5°; Me, H, H, 7-NO2, 156-7°; PhCH2, H, H, 7-Cl, 174-5°; Et, H, H, 7-Cl, 127-8°; Me, H, 2-MeO, 7-Cl, 161-2°; Me, H, 2-F, 7-Cl, -; Me, H, 2-F, 7-Br, 132-5°; H, H, Ph, 8-Cl, 214-15°; H, H, 4-F3C, H, 219-20°; H, H, 3-F3C, H, 204-5°; H, H, H, 6-Cl, 243.5-45°; H, H, H, 9-Cl, 174.5-6.5°; H, H, 2-Cl, 7-Cl, - (HCl salt m. 199-201°); H, H, 2-Me, 7-Cl, 180-1°; H, H, 2-Cl, 7,8-Me2, 259-60°; H, H, H, 8-MeO, 186-8°; H, H, H, 7-MeO, 217-18°; H, H, H, 7-OH, 282-4°; H, Ph, H, 7-Cl, 269-70°; H, 4-HOC6H4CH2, H, 7-Cl, 151-3°; H, MeSCH2CH2, H, 7-Cl, 179-80°; H, H, 2-F, 7-Cl, 205-6°; H, H, 3-F, 7-Cl, 200-1°; H, H, 2-F, 7-Cl, 187-8°; H, H, H, 8-NO2, 252° (decomposition); H, H, H, 6-NO2, 297-9° (decomposition); H, H, H, 7-MeSO, 254° (decomposition); H, H, 2-F3C, 7-F3C, 226-7°; H, H, H, 7,8-Br(MeO), 260.5-1.5°; H, H, 2-MeO, 7-Cl, 205.5-6.5°; H, H, 3-MeO, 7-Cl, 219-21°; H, H, 4-MeO, 7-Cl, 212-14°; H, H, H, 9-NO2, 144-5°; H, H, 2-F3C, 7-NO2, 233-4°. XXX show sedative, muscle-relaxing, or anticonvulsive properties.

IT 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-  
 (preparation of)  
 RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 61 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1963:469206 CAPLUS  
 DN 59:69206  
 OREF 59:12827g-h,12828a-e  
 TI 2-Oxo-1,2-dihydro-1,4-benzodiazepines  
 IN Reeder, Earl; Sternbach, Leo H.; Steiger, Norbert; Keller, Oscar; Stempel, Arthur

PA F. Hoffmann-La Roche & Co., A.-G.  
 SO 14 pp.  
 DT Patent  
 LA Unavailable

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	DE 1136709		19620920	DE	
				US	19591210
	FR 1343475			FR	
	GB 972961			GB	
	GB 972962			GB	
	GB 972963			GB	
	GB 972964			GB	
	GB 972965			GB	
	GB 972966			GB	
	GB 972967			GB	
	GB 972968			GB	
	US 3051701		1962	US	

AB Compds. of the general formula I have sedative, anticonvulsant, and muscle relaxant properties. They were prepared by cyclizing the appropriate 2-( $\alpha$ -aminoacylamino)benzophenones (II), the II being obtained from the corresponding Cl compds., which were prepared by heating 2-aminobenzophenones with  $\alpha$ -halocarboxylic acid halides. E.g., refluxing 1.5 hrs. a solution of 231.5 g. 2-amino-5-chlorobenzophenone and 231.5 g. p-toluenesulfonyl chloride in 1 l. pyridine, distilling 500 ml. pyridine, pouring the residue into H<sub>2</sub>O, filtering off the solid, dissolving it in boiling benzene, adding carefully 150 ml. 40% NaOH, refluxing 1 hr. with stirring, cooling to 25°, filtering, washing with hot benzene and with H<sub>2</sub>O, drying at 80°, and recrystg. from HCONMe<sub>2</sub>-CHCl<sub>3</sub> yielded the Na salt of 2-(p-toluenesulfonamido)-5-chlorobenzophenone (III), m. 298-9°. Refluxing a suspension of 31.5 g. III in 300 ml. dry MeCN with 13.3 ml. allyl bromide, filtering after 1.5 hrs., concentrating in vacuo, dissolving in 40 ml. AcOH, adding 300

ml. 70% H<sub>2</sub>SO<sub>4</sub> at 105°, heating 8 min. at 145° with stirring, pouring into 2 l. crushed ice, diluting with 1 l. H<sub>2</sub>O, dissolving the rubbery solid in 1.5 l. Et<sub>2</sub>O, washing the organic layer with N NaOH and with H<sub>2</sub>O, drying, concentrating in vacuo, and crystallizing the residue from 75 ml. MeOH

yielded 2-allylamino-5-chlorobenzophenone, m. 76-7°. Treating 3.07 g. of the latter in 100 ml. Et<sub>2</sub>O with 1.1 ml. CH<sub>2</sub>BrCOBr, washing with 100 ml. H<sub>2</sub>O and with 0.5 and 3 + 0.3 ml. CH<sub>2</sub>BrCOBr, drying (Na<sub>2</sub>SO<sub>4</sub>) and concentrating yielded 2-(N-allyl-2-bromoacetamido)-5-chlorobenzophenone (IV),

m. 85-6° (hexane). A solution of 3.2 g. IV in 25 ml. MeOH and 30 ml. 21% methanolic NH<sub>3</sub> was kept overnight at 25° then concentrated in vacuo at 20-5°, 100 ml. Et<sub>2</sub>O added, NH<sub>4</sub>Br filtered off, and the solution decolorized, concentrated in vacuo, and crystallized (1:9 Et<sub>2</sub>O-petr. ether) to

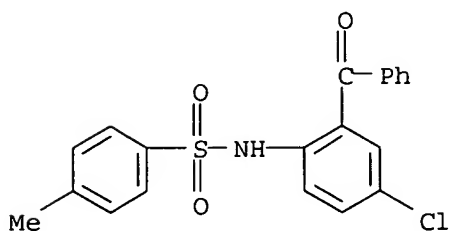
yield 57% 1-allyl-7-chloro derivative of I, m. 105-6° (hexane). The following I were prepared (substituents, % yield, and m.p. given): 7-Cl, 33, 214-15°; 7,9-Cl(O<sub>2</sub>N), -, 234-5° (CH<sub>2</sub>Cl<sub>2</sub>); 3,7-MeCl, -, 220-1° (C<sub>6</sub>H<sub>6</sub>-petr. ether); 7-NO<sub>2</sub>, 11.7, -, 8-NO<sub>2</sub>, -, 252° (decomposition); 3,7-Me(O<sub>2</sub>N), 15, 219-21°; 1,7-MeCl, 46.5, 125-6°; 1-benzyl-7-chloro, 60.2, 173-4°; 7-CF<sub>3</sub>, -, 205-6° (C<sub>6</sub>H<sub>6</sub>-hexane); 2'-CF<sub>3</sub>, -, 187-8° (ether-hexane); 2',5-(CF<sub>3</sub>)<sub>2</sub>, 43, 226-7° (C<sub>6</sub>H<sub>6</sub>-hexane); 6-Cl, -, 244-5° (AcOEt); 9-Cl, 20, 174-5.5° (C<sub>6</sub>H<sub>6</sub>-hexane); 2',7-Cl<sub>2</sub>, 75, 199-201° (MeOH); 8-OMe, 47, 190-1.5° (Me<sub>2</sub>CO-hexane); 7,8-Br(MeO), 42, 260-1.5° (C<sub>6</sub>H<sub>6</sub>-hexane); 7,2'-Cl(MeO), 45,

205.5-6.5°; 7,2-Cl(HO), 36, 286-8° (MeCN); 7,3'-Cl(MeO), 54, 219-21° (Me2CO-hexane); 7,4'-Cl(MeO), 52, 212-14°; 9-NO2, 35, 144-5° (EtOH); 2',7-FCl, 72, 205-6° (Me2CO-hexane); 2',7-FBr, 84, 186-7° (Me2CO); 7-Me, -, 209-10°; 7,9-Me2, -, 210-11°; 7,9-Cl2, -, 207-8°; 4',7-Cl2, -, 247-8°; 4',7-MeBr, 239-40°; 7,8-Me2, -, 255-6°; 7-Br, -, 220-1°; 7-SMe, -, 216-18°; 7-SEt, -, 273° (hydrochloride); 7-SBu, -, 247-9° (hydrochloride); 7-SC2H4OH, -, 252-3° (decomposition) (hydrochloride); 7-MeSO2, -, 256-8°; 7,9-Cl(MeS), -, 189-91°; 1,7-Me(O2N), -, 156-7°; 5'-Cl, -, 262-3°; 7-MeSO, -, 254 (decomposition); 8-Cl, -, 214-15°; 8-CF3, -, 184-6°; 4'-CF3, -, 219-20°; 3'-CF3, -, 204-5°; 1,2',7-MeCl2, -, 135-8°; 2',7-MeCl, -, 180-1°; 1,2',7-Me2Cl, -, 137-9°; 1-Me, -, 153.5-5.5°; 2',7,8-ClMe2, -, 259-60°; 7,1-Cl(CH2OH), -, 201-2°; 1,7-EtCl, -, 127-8°; 7-OMe, -, 217-18°; 7-OH, -, 282-4°; 1,2',7-Me(MeO)Cl, -, 161-2°; 3,7-PhCl, -, 269-70°; 3',7-FCl, -, 200-1°; 1,2',7-MeFCl, -, oil; 1,2',7-MeFBr, -, 132-2.5°; 7,9-(O2N)2, -, 240°; 7-NH2, -, 236-9°; 7-NHAc, -, 278-9°; 4',7-Cl(O2N), -, 253-4°.

IT 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-  
(preparation of)

RN 4873-59-0 CAPLUS

CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA  
INDEX NAME)



L4 ANSWER 62 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1963:20757 CAPLUS

DN 58:20757

OREF 58:3436c-d

TI Quinazolines and 1,4-benzodiazepines. VI. Halo-, methyl-, and methoxy-substituted 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones

AU Sternbach, L. H.; Fryer, R. Ian; Metlesics, W.; Reeder, E.; Sach, G.; Saucy, G.; Stempel, A.

CS Hoffmann-La Roche Inc., Nutley, NJ

SO Journal of Organic Chemistry (1962), 27, 3788-96

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA Unavailable

OS CASREACT 58:20757

AB Two new methods for the synthesis of 1,4-benzodiazepin-2-ones were reported. A number of new 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones (I), and intermediates leading to these compds. was described.

IT 747-99-9, p-Toluenesulfonanilide, 4'-chloro-2'-(o-fluorobenzoyl)-

805-61-8, p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)-

859-04-1, p-Toluenesulfonanilide, 4'-chloro-2'-(m-fluorobenzoyl)-

909-51-3, p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)-

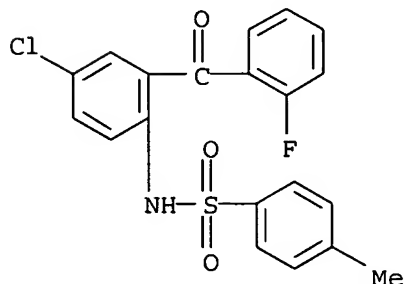
4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-

5649-39-8, p-Toluenesulfonanilide, 4'-chloro-2'-(o-chlorobenzoyl)-

94579-32-5, p-Toluenesulfonanilide, 2'-benzoyl-4'-bromo-  
(preparation of)

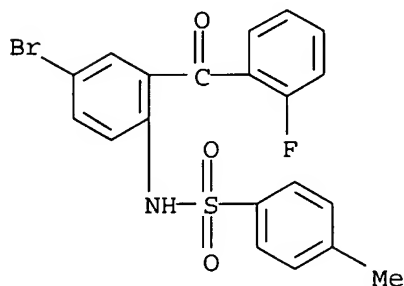
RN 747-99-9 CAPLUS

CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)  
(CA INDEX NAME)



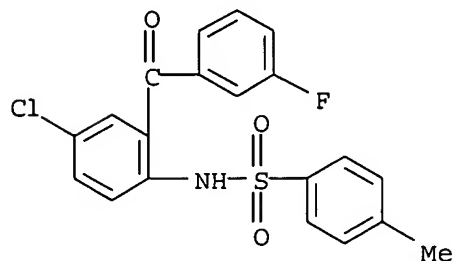
RN 805-61-8 CAPLUS

CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA  
INDEX NAME)



RN 859-04-1 CAPLUS

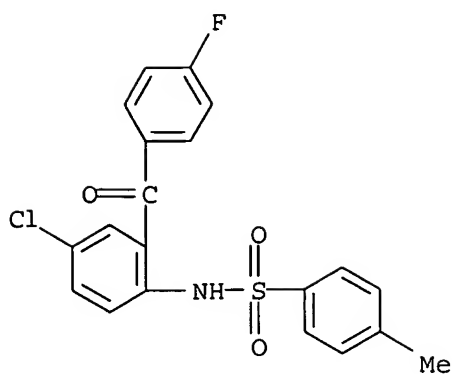
CN Benzenesulfonamide, N-[4-chloro-2-(3-fluorobenzoyl)phenyl]-4-methyl- (9CI)  
(CA INDEX NAME)



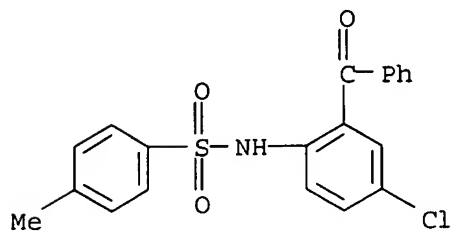
RN 909-51-3 CAPLUS

CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA  
INDEX NAME)

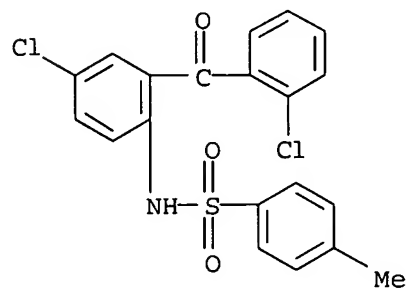




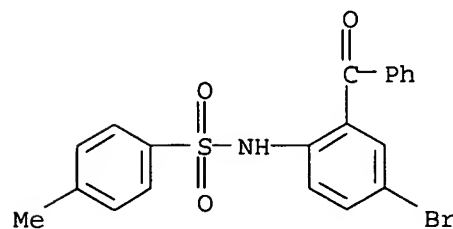
RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



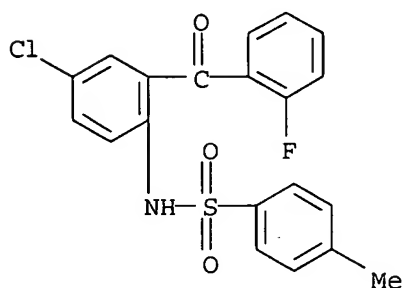
RN 5649-39-8 CAPLUS  
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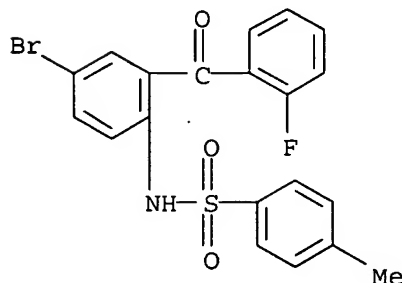
RN 94579-32-5 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-methyl- (9CI) (CA INDEX NAME)



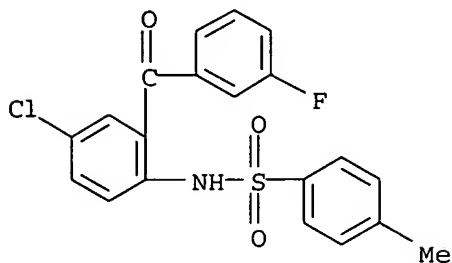
L4 ANSWER 63 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN  
 AN 1963:20756 CAPLUS  
 DN 58:20756  
 OREF 58:3436b-c  
 TI Quinazolines and 1,4-benzodiazepines. V. o-Aminobenzophenones  
 AU Sternbach, L. H.; Fryer, R. Ian; Metlesics, W.; Sach, G.; Stempel, A.  
 CS Hoffmann-La Roche Inc., Nutley, NJ  
 SO Journal of Organic Chemistry (1962), 27, 3781-8  
 CODEN: JOCEAH; ISSN: 0022-3263  
 DT Journal  
 LA Unavailable  
 OS CASREACT 58:20756  
 AB cf. CA 57, 14296c. A series of substituted o-aminobenzophenones was prepared. Some of these compds. were converted via their tosyl derivs. into N-mono-substituted o-aminobenzophenones. These primary and secondary amines were needed as intermediates for the synthesis of 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones.  
 IT 747-99-9, p-Toluenesulfonanilide, 4'-chloro-2'-(o-fluorobenzoyl)-  
 805-61-8, p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)-  
 859-04-1, p-Toluenesulfonanilide, 4'-chloro-2'-(m-fluorobenzoyl)-  
 909-51-3, p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)-  
 4142-76-1, Sodium, [N-(2-benzoyl-4-chlorophenyl)-p-toluenesulfonamido]-  
 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-  
 5649-39-8, p-Toluenesulfonanilide, 4'-chloro-2'-(o-chlorobenzoyl)-  
 94579-32-5, p-Toluenesulfonanilide, 2'-benzoyl-4'-bromo-  
 (preparation of)  
 RN 747-99-9 CAPLUS  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



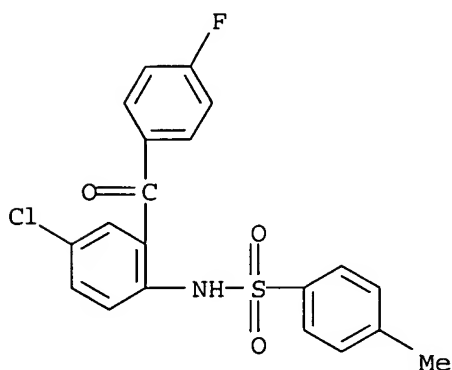
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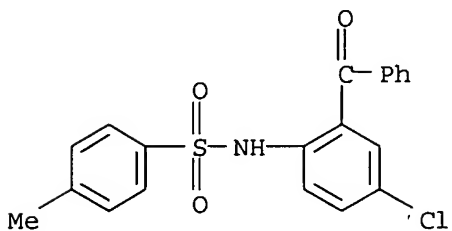
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 CN Benzenesulfonamide, N-[4-chloro-2-(3-fluorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



RN 909-51-3 CAPLUS  
 CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA  
 INDEX NAME)

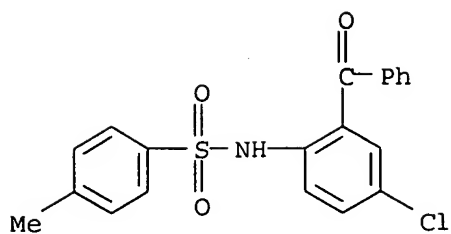


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 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt  
 (9CI) (CA INDEX NAME)

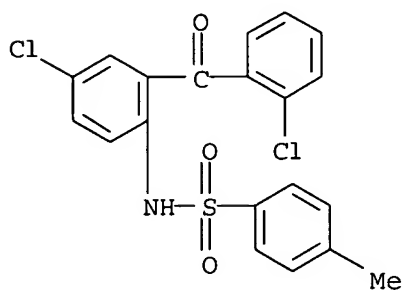


● Na

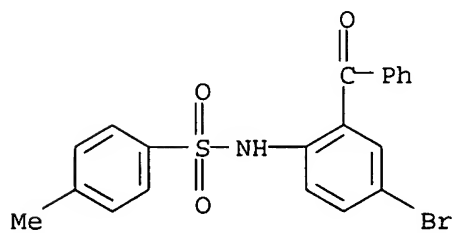
RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA  
 INDEX NAME)



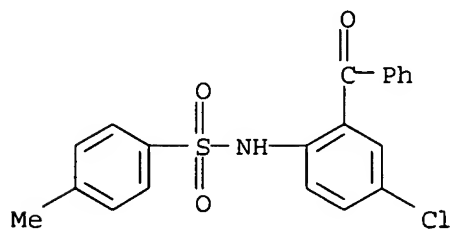
RN 5649-39-8 CAPLUS  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



RN 94579-32-5 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-methyl- (9CI) (CA INDEX NAME)



IT 4873-59-0, p-Toluenesulfonanilide, 2'-benzoyl-4'-chloro-  
 (sodium derivative)  
 RN 4873-59-0 CAPLUS  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 64 OF 64 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1962:404021 CAPLUS

DN 57:4021

OREF 57:830a-i,831a-h

TI 1,3-Dihydro-2H-1,4-benzodiazepin-2-ones and their 4-oxides

AU Bell, Stanley C.; Sulkowski, Theodore S.; Gochman, Carl; Childress, Scott J.

CS Wyeth Labs., Inc., Radnor, PA, USA

SO Journal of Organic Chemistry (1962), 27, 562-6

CODEN: JOCEAH; ISSN: 0022-3263

DT Journal

LA Unavailable

AB Alc. NaOH converted 6-chloro-2-chloromethyl-4-phenylquinazoline 3-oxide (I) into 7-chloro-5-phenyl-1,3-dihydro-2H-1,4-benzo-diazepin-2-one 4-oxide (II). 7-Chloro-5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one (III) was prepared by reduction of II and by several alternate routes. A number of

analogs

were made. The following methods were employed. Method A. I (1.5 g.) added to 2 g. NaOH in 30 ml. 85% alc., the mixture stirred 0.5 hr., diluted with 30 ml. H<sub>2</sub>O, and acidified gave 1 g. II, m. 238-9°. Method A also afforded the product prepared from 2-( $\alpha$ -bromoethyl)-6-chloro-4-phenylquinazoline 3-oxide. Alc. was used as the solvent. In addition a 22% yield of 7-chloro-2-ethoxy-3-methyl-5-phenyl-3H-1,4-benzodiazepine 4-oxide, m. 156-7°, was isolated. Method B. III (1 g.) and 1 ml. 40% AcO<sub>2</sub>H in 25 ml. AcOH kept 24 hrs. at room temperature, diluted with 200 ml. H<sub>2</sub>O, neutralized, and crystallized gave 0.5 g. II. Method C. 2-Amino-5-chlorobenzophenone (23 g.) in 100 ml. CHCl<sub>3</sub> treated at room temperature with 8.5 ml. ClCH<sub>2</sub>COCl in 50 ml. CHCl<sub>3</sub>, after 1 hr. the solvent removed, and the residue crystallized gave 24 g. 2-chloroacetamido-5-chlorobenzophenone (IV), m. 119-21°. IV (5 g.) added to 125 ml. alc. saturated with NH<sub>3</sub> and containing a trace of NaI, the mixture stirred 2

days,

evaporated, the solid extracted with dilute HCl, and neutralized gave 1.2 g.

III, m.

214-16°; MeI salt m. 250-1°. III.MeI (3 g.) in 300 ml. H<sub>2</sub>O treated dropwise with NaBH<sub>4</sub> in H<sub>2</sub>O and the precipitate recrystd. gave 1.8 g. 7-chloro-4-methyl-5-phenyl-1,3,4,5-tetrahydro-2H-1,4-benzo-diazepin-2-one, m. 206-8°. Method D. The compound (2.5 g.) in 120 ml. 80% alc. and 2 ml. 6N HCl shaken with H in the presence of 1 g. 5% Pd-C, the filtrate evaporated, MeCN added, the salt separated, and treated with Na<sub>2</sub>CO<sub>3</sub>

gave

1.3 g. 5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one (V), m. 170-80° (C<sub>6</sub>H<sub>6</sub>). V was isolated by catalytic reduction of II. When a third mole of H was added, saturation of the double bond occurred to give 50% 5-phenyl-1,3,4,5-tetrahydro-2H-1,4-benzodiazepin-2-one, m. 145-6°.

Method E.  $\alpha$ -Carbo-benzoxamidophenylacetyl chloride (from 10 g.  $\alpha$ -carbo-benzoxamidophenylacetic acid and 7.9 g. PCl<sub>5</sub> in 200 ml.

Et<sub>2</sub>O) left overnight with 8 g. 2-amino-5-chlorobenzophenone gave 9.8 g. product, m. 137°. This product (8 g.) in 25 ml. AcOH containing 30% HBr left 1 hr., the product dissolved in 100 ml. 75% aqueous MeOH, neutralized, and poured on ice gave a solid, presumably

2-( $\alpha$ -aminophenylacetamido)-5-chlorobenzophenone, which was refluxed in PhMe over-night to give 90% 7-chloro-3,5-diphenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one, m. 270° (decomposition) (PhMe).

2-( $\alpha$ -Carbobenzoxamidoacetamido)-5-chlorobenzophenone (VI), m.

115-16° (alc.), was prepared as in the above example and used in method E to give III. Method F. 1-Aminocyclopentanecarboxylic acid (12.9 g.), 40 g. PCl<sub>5</sub>, and 300 ml. CCl<sub>4</sub> shaken 18 hrs., the solid filtered off, washed, and dried gave 18.3 g. acid chloride-HCl, m. above 300°. This product in 20 g. 2-amino-5-chlorobenzophenone in 400

ml. CCl<sub>4</sub> shaken overnight, the mixture evaporated, and the residue extracted with

hot PhMe gave 13.5 g. 7-chloro-5-phenylspiro(3H-1,4-benzodiazepin-3,1'-cyclopentan)-2(1H)-one, m. 238-40° (alc.). When 2-amino-5-chlorobenzophenone and glycol chloride-HCl were used in method F, a 15% yield of 3-amino-6-chloro-4-phenyl-2(1H)-quinoline (VII) was obtained, m. 239-41° (alc.). VII (6 g.), 30 ml. 95% alc., and 6 ml. H<sub>2</sub>SO<sub>4</sub> heated on the steam bath to give a clear solution, cooled to 5°, 4 g. NaNO<sub>2</sub> in 10 ml. H<sub>2</sub>O added, the mixture stirred 20 min., 1 g. Cu powder added, the mixture heated to reflux, poured onto ice, made basic, and the solid crystallized gave 2.1 g. 6-chloro-4-phenyl-2(1H)-quinoline (VIII), m. 262° (alc.). Di-Et malonate (10 g.) and 11.6 g. 2-amino-5-chlorobenzophenone heated 1 hr. at 150-60°, cooled, triturated with hexane, and the product crystallized gave 9.5 g. 3-carbethoxy-6-chloro-4-phenyl-2(1H)-quinolone (IX), m. 235° (alc.). IX (8 g.), 150 ml. 20% NaOH, and 30 ml. alc. refluxed 1 hr., cooled, and acidified gave 7 g. 3-carboxy-5-chloro-4-phenyl-2(1H)-quinolone (X), m. 305°. X (1.5 g.) refluxed 1 hr. in 50 ml. Dowtherm, diluted, and chilled gave 1.1 g. VIII. Method G. II (50.8 g.) and 8.1 g. NaOH in 1.5 l. H<sub>2</sub>O and 300 ml. alc. treated with 17.5 ml. Me<sub>2</sub>SO<sub>4</sub> gave after 1 hr. 36.5 g. 7-chloro-1-methyl-5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one 4-oxide (XI), m. 179-80° (alc.). Method H. PCl<sub>3</sub> (10 ml.) in 10 ml. C<sub>6</sub>H<sub>6</sub> slowly added to 12.5 g. XI in 50 ml. CHCl<sub>3</sub> and 150 ml. C<sub>6</sub>H<sub>6</sub>, the mixture refluxed 20 min., treated with 3 ml. alc. and 10 ml. C<sub>6</sub>H<sub>6</sub>, the precipitate separated, stirred in 300 ml. H<sub>2</sub>O containing 3 ml. HCl,

and the

product recrystd. gave 8.7 g. 7-chloro-1-methyl-5-phenyl-1,3-dihydro-2H-1,4-benzodiazepin-2-one, m. 122-4° (cyclohexane). II (2 g.) in 15 ml. alc. and 30 ml. 5N NaOH warmed 10 min., the Na salt, m. 220-2°, collected, dissolved in H<sub>2</sub>O, acidified, and recrystd. gave 1 g. N-(2-amino-5-chloro- $\alpha$ -phenylbenzylidene)glycine N-oxide, m. 150-1° (decomposition) (MeCN). N-(2-Methylamino-5-chloro- $\alpha$ -phenylbenzylidene)glycine N-oxide was similarly prepared, m. 150-1° (decomposition). The 2 preceding compds. could be recycled by heating 5 min. in 3N aqueous alc. HCl. III (2 g.) in 15 ml. alc. and 30 ml. 5N NaOH refluxed 10 min. and the 1.5 g. Na salt (XII) of N-(2-amino-5-chloro- $\alpha$ -phenylbenzylidene)glycine acidified gave 2-amino-5-chlorobenzophenone and glycine. XII (3 g.) treated with 0.5 g. NaBH<sub>4</sub> in 15 ml. H<sub>2</sub>O and the mixture after 15 min. cautiously acidified gave 2.5 g. N-(2-amino-5-chloro- $\alpha$ -phenylbenzyl)glycine, m. 192-4°. 2-Aminoacetophenone oxime (4.6 g.) in 50 ml. AcOH treated overnight with 5 ml. ClCH<sub>2</sub>COCl gave 4.6 g. 2-chloromethyl-4-methylquinazoline 3-oxide, m. 169-70°. p-Chlorobenzoyl chloride (100 g.) added to 45 g. p-bromoaniline, the mixture heated to 180°, 35 g. fused ZnCl<sub>2</sub> added in 15 min., the mixture heated a further 1.5 hrs., cooled, mixed into 300 ml. alc., heated 4 days in a mixture of 250 ml. H<sub>2</sub>SO<sub>4</sub>, 250 ml. H<sub>2</sub>O, and 300 ml. alc., the unhydrolyzed material removed, and the filtrate diluted with H<sub>2</sub>O gave 14 g. 2-amino-5-bromo-4'-chlorobenzophenone, m. 122-4°; oxime (XIII) m. 175-7° (C<sub>6</sub>H<sub>6</sub>). XIII (12 g.) in 100 ml. AcOH treated with 5.8 ml. ClCH<sub>2</sub>COCl and HCl passed in gave 6.6 g. 6-bromo-2-chloromethyl-4-(p-chlorophenyl)quinazoline 3-oxide, m. 180-1°. The following intermediates were prepared as described in method C for 2-chloroacetamido-5-chlorobenzophenone: 2-chloroacetamido-5-chloro-4'-methoxybenzophenone, m. 138-40° (alc.); 2-chloroacetamido-5-chlorophenyl cyclohexyl ketone, m. 116-18° (alc.); 2-( $\alpha$ -bromopropionamido)-5-chlorobenzophenone, m. 113-14° (MeOH). 6-Chloro-2-chloromethyl-4-phenylquinazoline (3 g.) slowly added to 2 g. NaOH in 45 ml. alc., the mixture stirred 1 hr., heated 0.5 hr. at 60°, cooled, kept overnight at room temperature, treated with H<sub>2</sub>O, and crystallized gave 1.6 g. 6-chloro-2-ethoxymethyl-4-phenylquinazoline, m.

94-6° (MeCN). 2-Benzamido-4'-chloroacetanilide (2 g.) and 50 ml. polyphosphoric acid heated 1 hr. gave 0.9 g. solid, identified as hippuric acid, but no III was obtained. 2-Amino-5-chlorobenzophenone (23 g.) in 50 ml. C5H5N treated with 21 g. p-MeC6H4SO2Cl gave 36 g. 2'-benzoyl-5'-chloro-p-toluenesulfonanilide (XIV), m. 115-16°. XIV in dilute NaOH treated with Me2SO4 gave quant. N-methyl-2'-benzoyl-5'-chloro-p-toluenesulfonanilide (XV), m. 150-2°. Crude XV (35 g.) in 100 ml. concentrated H2SO4 warmed 0.5 hr. on the steam bath, the solution cooled,

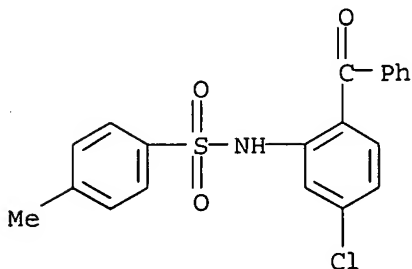
poured

into H2O, made basic, and crystallized gave 19 g. 2-methylamino-5-chlorobenzophenone, m. 94-6°. II (0.5 g.) refluxed 10 min. with 5 ml. SOCl2 gave 0.3 g. III. The following 1,3-dihydro-2H-1,4-benzodiazepin-2-ones were prepared in addition to the above by the described methods (substituents at 1, 3, 4, 5, and 7 positions, m.p. of product, method, recrystn. solvent, and % yield given): H, H2, -, Me, H, 285-6°, D, alc., 45; H, H2, O, Me, H, 235-6°, A, H2O, 59; H, H2, -, C6H11, Cl, 200-2°, C, MeCN, 25; H, H2, O, Ph, H, 250°, A, repptd. from alkali, 84; H, H2, -, Ph, Me, 204-6°, D, PhMe, 77; H, H2, O, Ph, Me, 234-6°, A, EtOAc, 90; H, H2, -, Ph, Cl, 214-16°, C (D, E, F, H), alc., 27 (C); H, H (Me), -, Ph, Cl, 220-1°, C, alc., 30; H, H2, O, 2-C4H3S, Cl, 255-6°, A, alc., 55; H, H2, -, p-MeOC6H4, Cl, 213-14°, C, alc., 20; H, H2, O, p-ClC6H4, Br, 260-1° (decomposition), A, alc., 67; Et, H2, -, Ph, Cl, 129-31°, H, MeOH, 63; Et, H2, O, Ph, Cl, 211-12°, G, alc., 22; Me2NCH2CH2, H2, O, Ph, Cl, 211-12°, G, alc.-Et2O, 10; H, H(Ph), -, Me, Cl, 245-7°, F, alc., 50; H, Me2, -, Ph, Cl, 209-11°, F, alc., 8.

IT 97296-01-0, p-Toluenesulfonanilide, 2'-benzoyl-5'-chloro-  
(preparation of)

RN 97296-01-0 CAPLUS

CN p-Toluenesulfonanilide, 2'-benzoyl-5'-chloro- (7CI) (CA INDEX NAME)



=> d his

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FILE 'REGISTRY' ENTERED AT 18:53:29 ON 27 JUN 2005

L1 STRUCTURE UPLOADED

L2 1 S L1

L3 67 SEARCH L1 FULL

FILE 'CAPLUS' ENTERED AT 19:05:47 ON 27 JUN 2005

L4 64 S L3

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SINCE FILE	TOTAL
ENTRY	SESSION
350.26	520.67

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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L5 12 L3

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SCAN ----- TI, IT (random display, no answer numbers;  
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IBIB ----- BIB, indented with text labels  
ISTD ----- STD, indented with text labels  
  
HIT ----- Fields containing hit terms  
HITIND -- IT



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HITSTR -- HIT RN, its CA index name and its structure diagram  
FHITSTR - First HIT RN, its CA index name and its structure diagram  
OCC ----- Number of occurrence of hit term and file id in which it occurs

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SCAN must be entered on the same line as the DISPLAY,  
e.g., D SCAN or DISPLAY SCAN)  
STD ----- BIB  
  
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IBIB ---- BIB, indented with text labels  
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HITRN --- HIT RN  
HITSTR -- HIT RN, its CA index name and its structure diagram  
FHITSTR - First HIT RN, its CA index name and its structure diagram  
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L5 ANSWER 1 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
AN CA65:18558e CAOLD  
TI trichloroacetoacetates - (I) synthesis and reactions of ethyl and  
 $\beta,\beta,\beta$ -trifluoroethyl trichloroacetoacetates  
AU Wald, David K.; Joullie, M. M.  
IT 133-08-4 492-27-3 918-00-3 3702-98-5 **4873-59-0**  
5044-52-0 6590-65-4 7597-56-0 10174-60-4 10174-61-5 10174-62-6  
10174-63-7 10174-66-0 10174-67-1 10174-70-6 10174-71-7 10174-72-8  
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L5 ANSWER 2 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
AN CA64:19498a CAOLD  
TI 2-(N-substituted amino)halobenzophenones  
AU Reeder, Earl; Sternbach, L. H.  
DT Patent  
PATENT NO. KIND DATE  
-----  
PI US 3239564 1966  
IT 439-14-5 723-99-9 728-09-6 **747-99-9** 784-38-3  
784-39-4 784-40-7 **805-61-8** 806-68-8 837-58-1  
**909-51-3** 1022-13-5 1479-58-9 1548-36-3 1581-13-1  
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**4142-76-1** 4142-77-2 4873-37-4 4873-58-9 **4873-59-0**  
4937-64-8 4958-55-8 5054-32-0 5445-77-2 5543-95-3 5571-61-9  
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5621-82-9 5621-83-0 5621-84-1 5621-85-2 5621-86-3 5627-62-3  
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L5 ANSWER 3 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
AN CA64:3425g CAOLD  
TI 2-methyl (and benzyl)amino-5-chlorobenzophenones  
AU Reeder, Earl; Sternbach, L. H.  
DT Patent  
TI 2-methyl (and benzyl)amino-5-chlorobenzophenones  
PA Hoffmann-La Roche, F., & Co. A.-G.  
DT Patent  
PATENT NO. KIND DATE  
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PI GB 972975  
IT 1022-13-5 1843-10-3 1843-11-4 4873-37-4 4873-58-9  
**4873-59-0** 4890-54-4 5543-91-9 5543-92-0 5543-93-1  
5543-94-2 5543-95-3

L5 ANSWER 4 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
AN CA63:17978e CAOLD  
TI 2-alkenylamino-5-halobenzophenones  
AU Reeder, Earl; Sternbach, L. H.  
PA Hoffmann-La Roche, F., & Co. A.-G.  
DT Patent  
PATENT NO. KIND DATE  
-----  
PI GB 972971

IT 4142-76-1

L5 ANSWER 5 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

AN CA62:7694b CAOLD

TI 2'-aminobenzophenones (2(or 4)-substituted)

PA Hoffmann-La Roche, F., & Co. A.-G.

DT Patent

TI 2(or 4)-substituted-2'-aminobenzophenones

AU Fryer, R. Ian; Sternbach, L. H.

DT Patent

PATENT NO.	KIND	DATE
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PI FR 1375300

BE 637329

GB 982909

NL 298186

US 3261867 1966

IT 747-99-9	784-40-7	805-61-8	839-86-1	
909-51-3	1424-76-6	1444-66-2	1444-68-4	1444-69-5
1444-70-8	1444-71-9	1444-72-0	1581-13-1	1823-21-8
1823-22-9	1823-23-0	1823-24-1	1823-25-2	2237-07-2
3109-35-1	3876-93-5			

L5 ANSWER 6 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

AN CA62:946e CAOLD

TI metabolism of diazepam

AU Jommi, Giancarlo; Manitto, P.; Silanos, M. A.

IT 439-14-5 589-41-3 719-59-5 728-10-9 784-41-8 1022-13-5

1609-46-7 2139-85-7 2139-87-9 2139-90-4 2139-93-7 2139-94-8

2237-07-2

L5 ANSWER 7 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

AN CA61:9517h CAOLD

TI hydroboration of ureido-substituted olefins

AU Butler, D. N.; Soloway, A. H.

IT 747-99-9 784-39-4 784-40-7 837-58-1

909-51-3 2647-49-6 2894-44-2 2894-51-1 4076-50-0

4937-62-6 5041-13-4 5041-14-5 5041-15-6 5621-60-3 5627-71-4

5627-75-8 5627-78-1 5649-38-7 7703-29-9 14421-89-7 14439-59-9

14439-60-2 90644-60-3 97470-05-8

L5 ANSWER 8 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

AN CA61:9515f CAOLD

TI 5-aryl-3H-1,4-benzodiazepin-2(1H)-ones

AU Reeder, Earl; Sternbach, L. H.

PA Hoffmann-La Roche Inc.

DT Patent

PATENT NO.	KIND	DATE
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PI US 3136815 1964

CH 396016

DE 1199776

GB 972969

IT 723-99-9	728-09-6	747-99-9	784-38-3	
805-61-8	806-68-8	844-11-1	844-15-5	844-16-6
846-84-4	963-39-3	1022-13-5	1479-58-9	1492-96-2
1548-36-3	1581-13-1	1584-62-9	1647-74-1	1799-13-9
1824-69-7	1894-70-8	1995-73-9	2559-01-5	2619-57-0
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<b>4142-76-1</b>	4142-77-2	4177-79-1	4306-26-7	4393-72-0	
4525-86-4	4695-47-0	4699-82-5	4873-37-4	4873-58-9	
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5627-72-5	5627-73-6	5627-74-7	5627-79-2	5627-82-7	5627-86-1
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7555-02-4	7563-73-7	7569-15-5	13949-49-0	13949-50-3	13949-51-4
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14439-71-5	14439-72-6	14462-50-1	14810-81-2	14841-95-3	15509-75-8
17972-70-2	17972-71-3	17972-72-4	17972-73-5	17972-75-7	17972-76-8
17972-77-9	17972-78-0	17977-76-3	18100-68-0	42389-80-0	98024-36-3

L5 ANSWER 9 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

AN CA60:12033h CAOLD

TI 3H-1,4-benzodiazepin-2(1H)-one derivs.

AU Reeder, Earl; Sternbach, L. H.; Keller, O.; Steiger, N.; Stempel, A.;  
Fryer, R. I.; Saucy, G.; Sach, G. S.

PA Hoffmann-La Roche, F., & Co. A.-G.

DT Patent

PATENT NO.	KIND	DATE
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PI DE 1145626

FR 1343476

IT	328-87-0	402-13-1	731-83-9	732-34-3	732-54-7	784-38-3
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	2011-67-8	2011-72-5	2195-52-0	2285-16-7	2410-36-8	2647-50-9
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	<b>4873-59-0</b>	4928-02-3	4928-03-4	4928-04-5	4928-07-8	
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	97833-36-8					

L5 ANSWER 10 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

AN CA59:12827g CAOLD

TI 2-oxo-1,2-dihydro-1,4-benzodiazepines

AU Reeder, Earl; Sternbach, L. H.; Steiger, N.; Keller, O.; Stempel, A.

PA Hoffmann-La Roche, F., & Co. A.-G.

DT Patent

PATENT NO.	KIND	DATE
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PI DE 1136709

FR 1343475

GB 972961  
GB 972962  
GB 972963  
GB 972964  
GB 972965  
GB 972966  
GB 972967  
GB 972968  
US 3051701

1962

IT	328-87-0	657-05-6	657-06-7	731-84-0	732-34-3	784-38-3
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	1163-24-2	1427-45-8	1479-58-9	1584-62-9	1647-74-1	1764-97-2
	1858-71-5	2011-67-8	2011-69-0	2011-70-3	2285-16-7	2647-50-9
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	2886-65-9	2891-12-5	2894-49-7	2894-52-2	2894-61-3	2894-64-6
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L5 ANSWER 11 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

AN CA58:3436c CAOLD

TI quinazolines and 1,4-benzodiazapines - (VI) halo-, methyl-, and  
methoxy-substituted 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones  
AU Sternbach, Leo H.; Fryer, R. I.; Metlesics, W.; Reeder, E.; Sach, G. S.;  
Saucy, G.; Stempel, A.

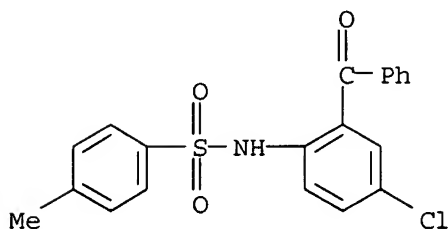
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	2994-61-8	3023-44-7	3034-65-9	3109-35-1	3829-35-4	3900-31-0
	4016-85-7	<b>4142-76-1</b>	4142-77-2	4695-47-0	4699-82-5	
	4873-37-4	4873-58-9	<b>4873-59-0</b>	5358-29-2	5358-30-5	
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	5621-85-2	5621-86-3	5627-72-5	5627-86-1	<b>5649-39-8</b>	
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	96261-61-9	96585-11-4				

L5 ANSWER 12 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

AN CA57:830a CAOLD  
 TI 1,3-dihydro-2H-1,4-benzodiazepin-2-ones and their 4-oxides  
 AU Bell, Stanley C.; Sulkowski, T. S.; Gochman, C.; Childress, S. J.  
 IT 719-59-5 793-99-7 963-39-3 1022-13-5 1789-31-7 1789-33-9  
 2109-57-1 2120-68-5 2888-64-4 2890-44-0 2898-08-0 3037-74-9  
 3966-78-7 3967-09-7 3967-13-3 4016-85-7 4047-73-8 4177-79-1  
 4187-02-4 4695-47-0 4699-82-5 5220-83-7 5358-91-8 5571-63-1  
 5571-65-3 6640-59-1 14421-80-8 14439-50-0 29176-43-0 30169-33-6  
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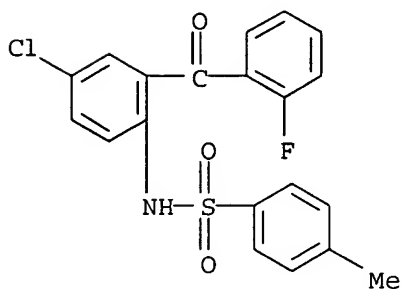
L5 ANSWER 1 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
 AN CA65:18558e CAOLD  
 TI trichloroacetoacetates - (I) synthesis and reactions of ethyl and  
 $\beta,\beta,\beta$ -trifluoroethyl trichloroacetoacetates  
 AU Wald, David K.; Joullie, M. M.  
 IT 133-08-4 492-27-3 918-00-3 3702-98-5 4873-59-0  
 5044-52-0 6590-65-4 7597-56-0 10174-60-4 10174-61-5 10174-62-6  
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 RN 4873-59-0 CAOLD  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA  
 INDEX NAME)



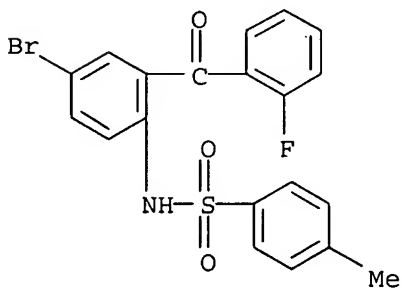
L5 ANSWER 2 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
 AN CA64:19498a CAOLD  
 TI 2-(N-substituted amino)halobenzophenones  
 AU Reeder, Earl; Sternbach, L. H.  
 DT Patent  

PATENT NO.	KIND	DATE
US 3239564		1966
439-14-5	723-99-9	728-09-6
784-39-4	784-40-7	805-61-8
909-51-3	1022-13-5	1479-58-9
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2955-31-9	2955-32-0	2994-61-8
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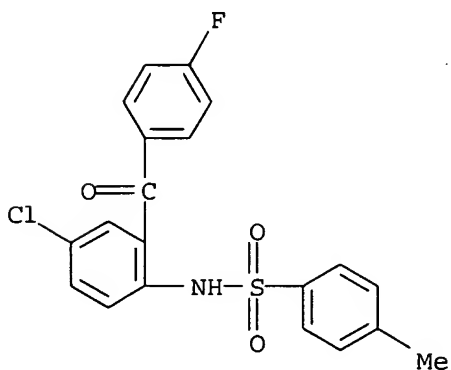
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	5627-92-9	5649-38-7	<b>5649-39-8</b>	5992-75-6	6021-21-2	
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IT	<b>747-99-9</b>	<b>805-61-8</b>	<b>909-51-3</b>			
	<b>4142-76-1</b>	<b>4873-59-0</b>	<b>5649-39-8</b>			
RN	747-99-9	CAOLD				
CN	Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)					
	(CA INDEX NAME)					



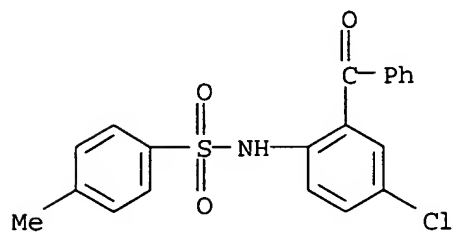
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RN	909-51-3	CAOLD				
CN	p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)					

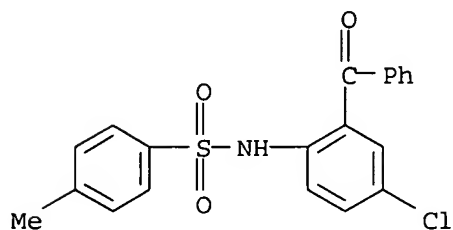


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 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt  
 (9CI) (CA INDEX NAME)

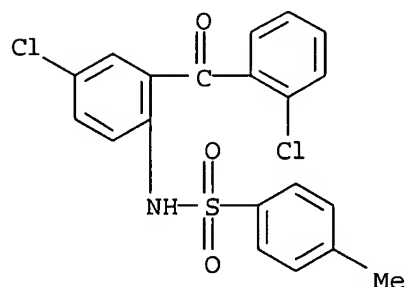


● Na

RN 4873-59-0 CAOLD  
 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)



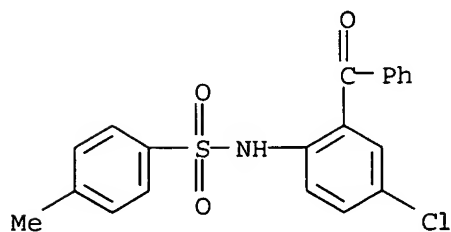
RN 5649-39-8 CAOLD  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



L5 ANSWER 3 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
 AN CA64:3425g CAOLD  
 TI 2-methyl (and benzyl)amino-5-chlorobenzophenones  
 AU Reeder, Earl; Sternbach, L. H.  
 DT Patent  
 TI 2-methyl (and benzyl)amino-5-chlorobenzophenones  
 PA Hoffmann-La Roche, F., & Co. A.-G.  
 DT Patent

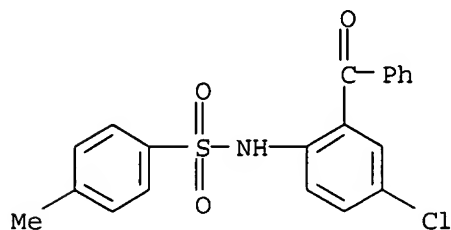


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IT	4873-59-0		
RN	4873-59-0	CAOLD	
CN	Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA INDEX NAME)		



L5 ANSWER 4 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
 AN CA63:17978e CAOLD  
 TI 2-alkenylamino-5-halobenzophenones  
 AU Reeder, Earl; Sternbach, L. H.  
 PA Hoffmann-La Roche, F., & Co. A.-G.  
 DT Patent

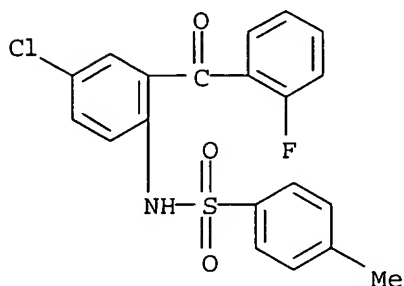
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PI	GB 972971		
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IT	4142-76-1		
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CN	Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl-, sodium salt (9CI) (CA INDEX NAME)		



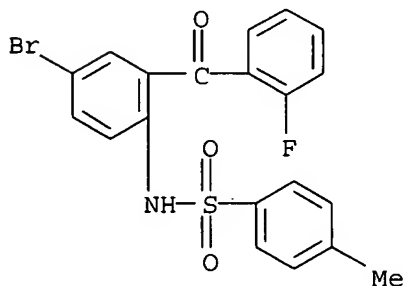
● Na

L5 ANSWER 5 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
 AN CA62:7694b CAOLD  
 TI 2'-aminobenzophenones (2(or 4)-substituted)  
 PA Hoffmann-La Roche, F., & Co. A.-G.  
 DT Patent  
 TI 2(or 4)-substituted-2'-aminobenzophenones

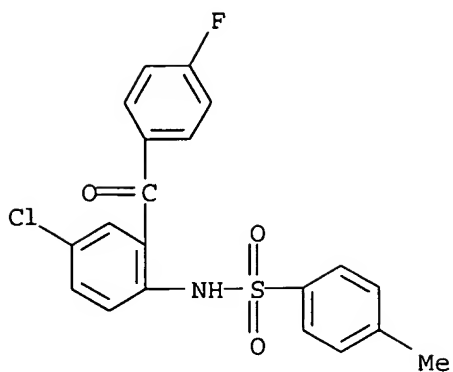
AU Fryer, R. Ian; Sternbach, L. H.  
 DT Patent  
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 PI FR 1375300  
 BE 637329  
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 CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



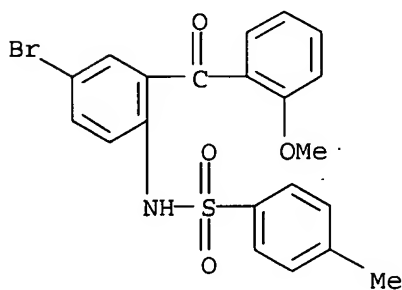
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 CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA  
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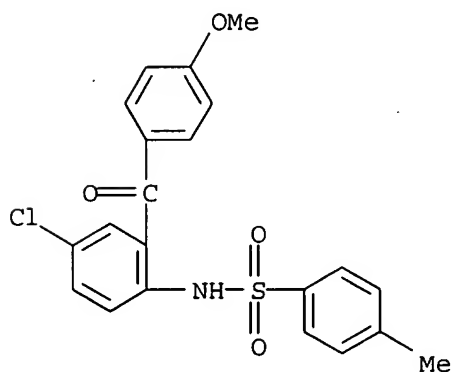
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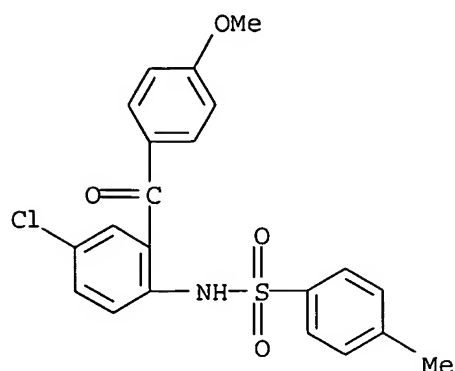


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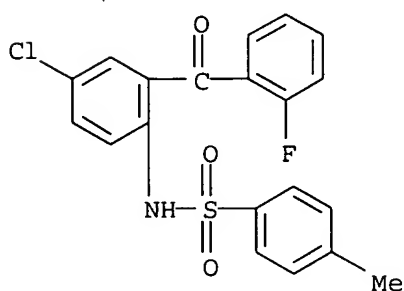


L5 ANSWER 6 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
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 TI metabolism of diazepam  
 AU Jommi, Giancarlo; Manitto, P.; Silanos, M. A.  
 IT 439-14-5 589-41-3 719-59-5 728-10-9 784-41-8 1022-13-5  
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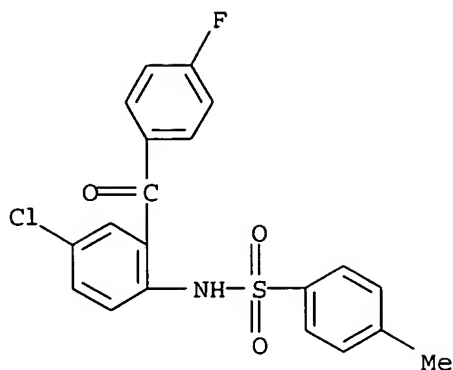
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 CN p-Toluenesulfonanilide, 2'-p-anisoyl-4'-chloro- (7CI, 8CI) (CA INDEX NAME)



L5 ANSWER 7 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
 AN CA61:9517h CAOLD  
 TI hydroboration of ureido-substituted olefins  
 AU Butler, D. N.; Soloway, A. H.  
 IT 747-99-9 784-39-4 784-40-7 837-58-1  
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 RN 747-99-9 CAOLD  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-fluorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



RN 909-51-3 CAOLD  
 CN p-Toluenesulfonanilide, 4'-chloro-2'-(p-fluorobenzoyl)- (7CI, 8CI) (CA INDEX NAME)



L5 ANSWER 8 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

AN CA61:9515f CAOLD

TI 5-aryl-3H-1,4-benzodiazepin-2(1H)-ones

AU Reeder, Earl; Sternbach, L. H.

PA Hoffmann-La Roche Inc.

DT Patent

PATENT NO. KIND DATE

PI US 3136815 1964

CH 396016

DE 1199776

GB 972969

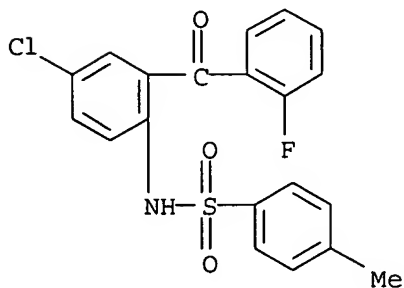
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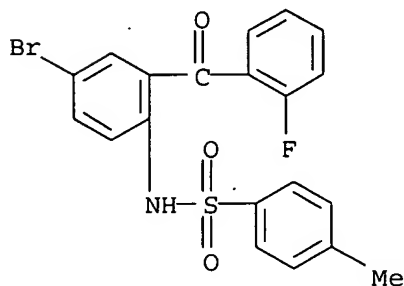
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(CA INDEX NAME)



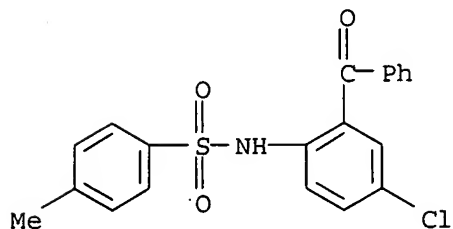
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CN p-Toluenesulfonanilide, 4'-bromo-2'-(o-fluorobenzoyl)- (7CI, 8CI) (CA  
INDEX NAME)



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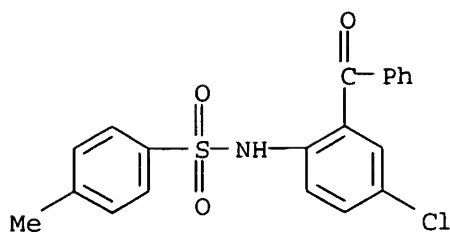
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(9CI) (CA INDEX NAME)



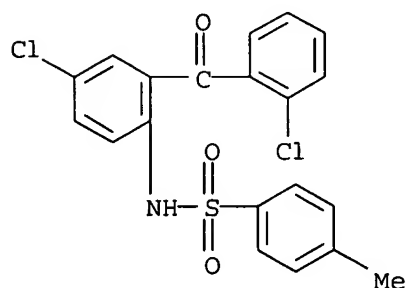
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RN 4873-59-0 CAOLD

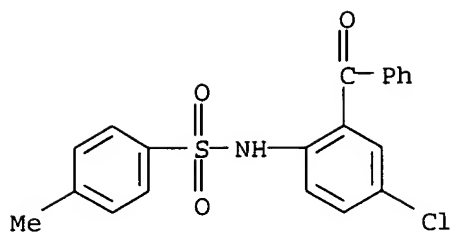
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INDEX NAME)



RN 5649-39-8 CAOLD  
 CN Benzenesulfonamide, N-[4-chloro-2-(2-chlorobenzoyl)phenyl]-4-methyl- (9CI)  
 (CA INDEX NAME)



L5 ANSWER 9 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
 AN CA60:12033h CAOLD  
 TI 3H-1,4-benzodiazepin-2(1H)-one derivs.  
 AU Reeder, Earl; Sternbach, L. H.; Keller, O.; Steiger, N.; Stempel, A.;  
 Fryer, R. I.; Saucy, G.; Sach, G. S.  
 PA Hoffmann-La Roche, F., & Co. A.-G.  
 DT Patent  
 PATENT NO. KIND DATE  
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 PI DE 1145626  
 FR 1343476  
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 CN Benzenesulfonamide, N-(2-benzoyl-4-chlorophenyl)-4-methyl- (9CI) (CA  
 INDEX NAME)



L5 ANSWER 10 OF 12 CAOLD COPYRIGHT 2005 ACS on STN

AN CA59:12827g CAOLD

TI 2-oxo-1,2-dihydro-1,4-benzodiazepines

AU Reeder, Earl; Sternbach, L. H.; Steiger, N.; Keller, O.; Stempel, A.

PA Hoffmann-La Roche, F., & Co. A.-G.

DT Patent

PATENT NO.	KIND	DATE
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PI DE 1136709

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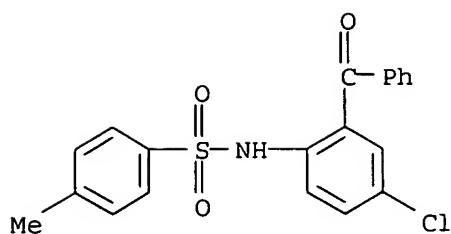
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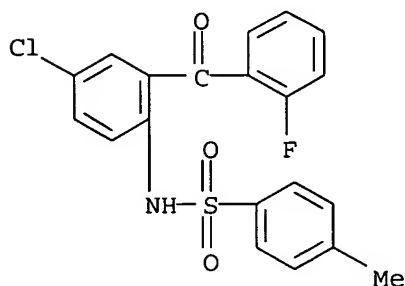
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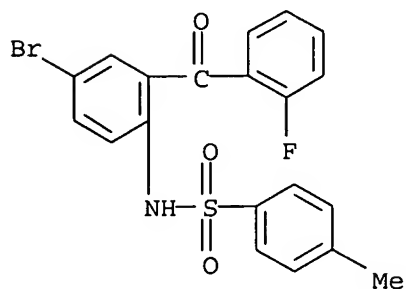




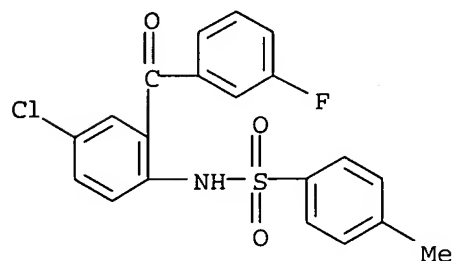
L5 ANSWER 11 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
 AN CA58:3436c CAOLD  
 TI quinazolines and 1,4-benzodiazapines - (VI) halo-, methyl-, and methoxy-substituted 1,3-dihydro-5-phenyl-2H-1,4-benzodiazepin-2-ones  
 AU Sternbach, Leo H.; Fryer, R. I.; Metlesics, W.; Reeder, E.; Sach, G. S.; Saucy, G.; Stempel, A.  
 IT 728-09-6 744-15-0 **747-99-9** **805-61-8**  
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**5649-39-8** **94579-32-5**  
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 (CA INDEX NAME)



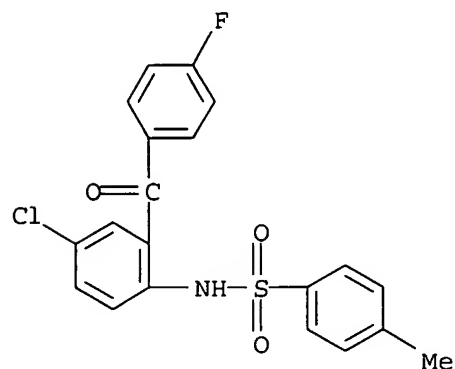
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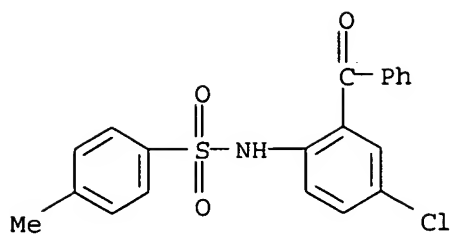
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 (CA INDEX NAME)



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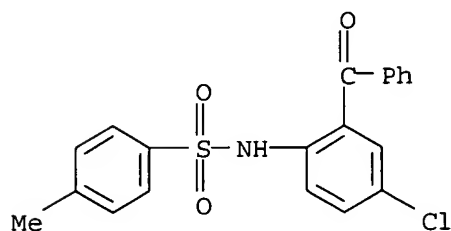


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 (9CI) (CA INDEX NAME)

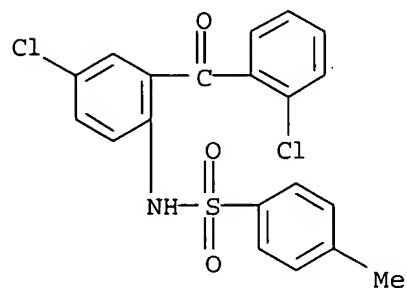


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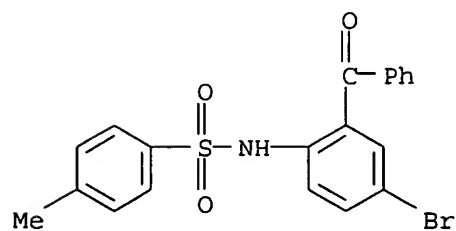
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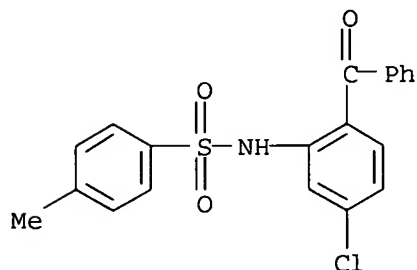
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RN 94579-32-5 CAOLD  
 CN Benzenesulfonamide, N-(2-benzoyl-4-bromophenyl)-4-methyl- (9CI) (CA INDEX NAME)



L5 ANSWER 12 OF 12 CAOLD COPYRIGHT 2005 ACS on STN  
 AN CA57:830a CAOLD  
 TI 1,3-dihydro-2H-1,4-benzodiazepin-2-ones and their 4-oxides  
 AU Bell, Stanley C.; Sulkowski, T. S.; Gochman, C.; Childress, S. J.  
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 CN p-Toluenesulfonanilide, 2'-benzoyl-5'-chloro- (7CI) (CA INDEX NAME)



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Answer 8:

## Bibliographic Information

**Synthesis of substituted amides and their bioactivity.** Wu, Jingping; Chen, Fuheng. Department of Applied Chemistry, Beijing Agricultural University, Beijing, Peop. Rep. China. Yingyong Huaxue (1995), 12(4), 80-3. CODEN: YIHUED ISSN: 1000-0518. Journal written in Chinese. CAN 123:285437 AN 1995:811922 CAPLUS (Copyright 2004 ACS on SciFinder (R))

## Abstract

Thirty substituted amides e.g. 2,4-RCIC<sub>6</sub>H<sub>3</sub>NHXR<sub>1</sub> (R = Bz, PhCHOH, R<sub>1</sub> = substituted Ph; X = CO, SO<sub>2</sub>) have been synthesized from 5-chloro-2-aminobenzophenone. Most of the compds. showed an inhibition effect on rice growth.

**Indexing -- Section 25-19 (Benzene, Its Derivatives, and Condensed Benzenoid Compounds)**

Section cross-reference(s): 5

Amides, preparation

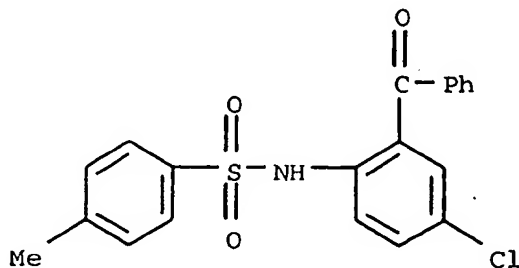
Plant hormones and regulators

Role: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

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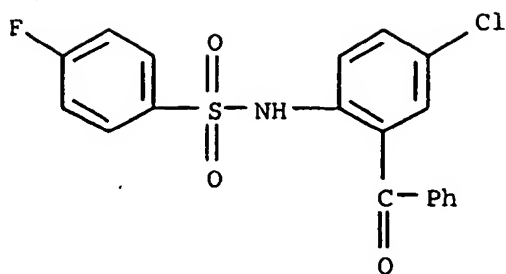
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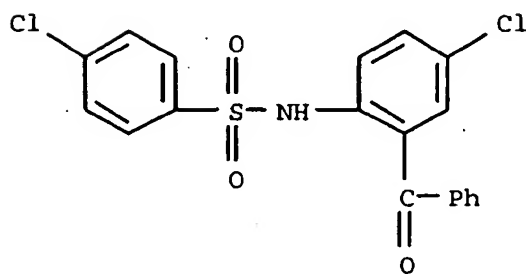
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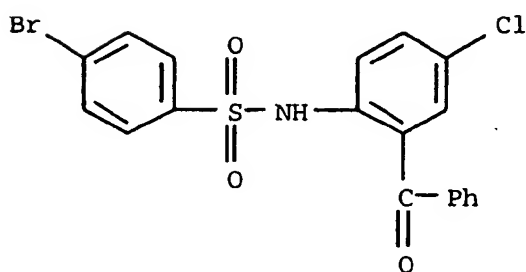
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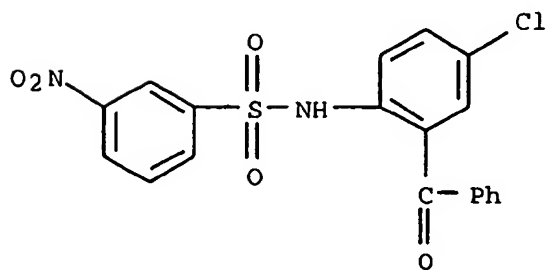


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169263-22-3P



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169263-25-6P

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**Patent Family Information**

<u>Patent No.</u>	<u>Kind</u>	<u>Date</u>	<u>Application No.</u>	<u>Date</u>
US 5338755	A	19940816	US 1992-923839	19920803
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HU 219351	B	20010328	HU 1971-99045	19910731
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AU 658664	B2	19950427		
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JP 06501960	T2	19940303	JP 1993-503337	19920731
RU 2104268	C1	19980210	RU 1993-5168	19920731
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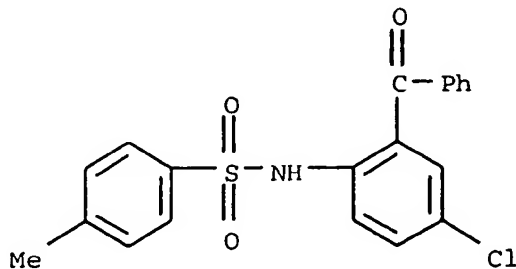
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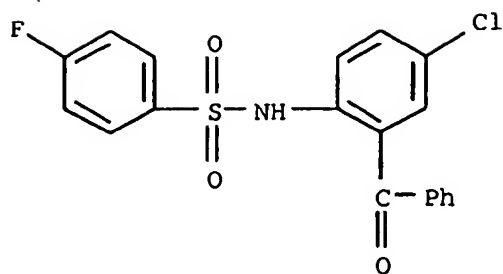
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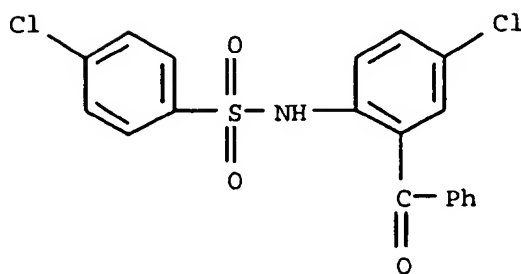
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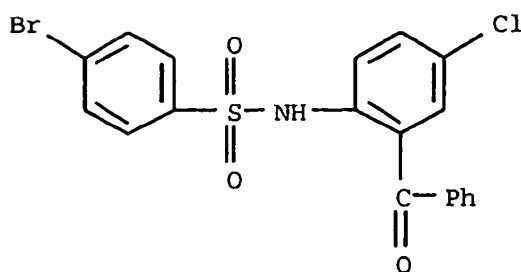
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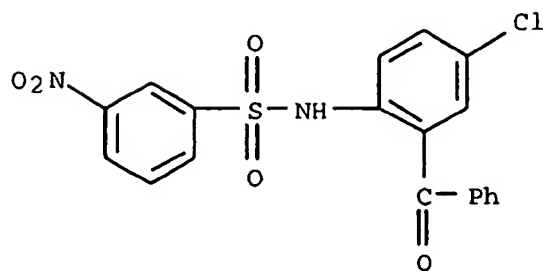


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